KH

Day : Wednesday
Date: 11/17/2004
Time: 13:52:45

PALM INTRANET

Inventor Information for 10/713859

Inventor Name	City	State/Country
EMIG, PETER	BRUCHKOBEL	GERMANY
GUNTHER, ECKHARD	MAINTAL	GERMANY
SCHMIDT, JURGEN	UHLDINGEN-MUHLHOFEN	GERMANY
NICKEL, BERND	MUHLTAL	GERMANY
KUTSCHER, BERNHARD	MAINTAL	GERMANY

Appln Info | Contents | Petition Info | Atty/Agent Info | Continuity Data | Foreign Data

Search Another: Application# [ ] Search **or Patent#** [ ] Search

PCT / [ ] / [ ] Search **or PG PUBS #** [ ] Search

Attorney Docket # [ ] Search

Bar Code # [ ] Search

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Back to PALM | ASSIGNMENT | OASIS | Home page

h e eb cg b e e f e

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L1	3928	540/460, 540/470, 540/492, 540/553, 540/575, 514/314, 514/218	USPAT	OR	OFF	2004/11/17 14:20
L2	37733	quinolin$	USPAT	OR	OFF	2004/11/17 14:20
L3	1652	l1 and l2	USPAT	OR	OFF	2004/11/17 14:21

G2:Ak,Cb,Hy,C,O,N

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:CLASS 18:CLASS 20:CLASS
23:CLASS

L1 STRUCTURE UPLOADED

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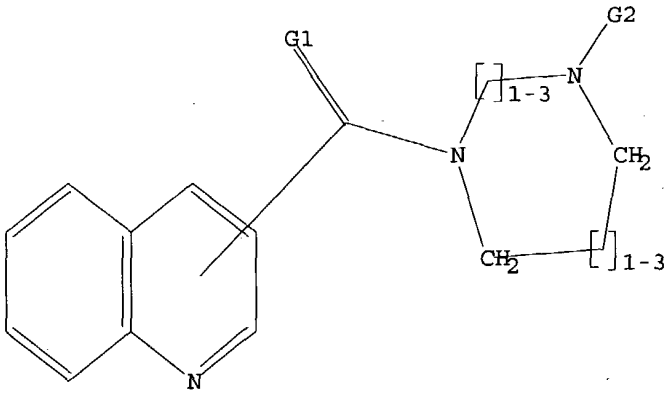
L1 HAS NO ANSWERS
L1 STR



G1 O,S
G2 Ak,Cb,Hy,C,O,N

Structure attributes must be viewed using STN Express query preparation.

=> s l1
SAMPLE SEARCH INITIATED 12:37:00 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 633 TO ITERATE

100.0% PROCESSED 633 ITERATIONS 1 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 11151 TO 14169
PROJECTED ANSWERS: 1 TO 80

L2 1 SEA SSS SAM L1

=> s l1 sss full
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FULL SCREEN SEARCH COMPLETED - 12489 TO ITERATE

100.0% PROCESSED 12489 ITERATIONS 30 ANSWERS
SEARCH TIME: 00.00.01

L3 30 SEA SSS FUL L1

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COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                   ENTRY    SESSION
FULL ESTIMATED COST                              155.42     155.63

FILE 'CAPLUS' ENTERED AT 12:37:14 ON 17 NOV 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)
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FILE COVERS 1907 - 17 Nov 2004  VOL 141 ISS 21
FILE LAST UPDATED: 16 Nov 2004  (20041116/ED)

  This file contains CAS Registry Numbers for easy and accurate
  substance identification.


=> s l3
L4            1 L3

=> d ibib abs hitstr tot
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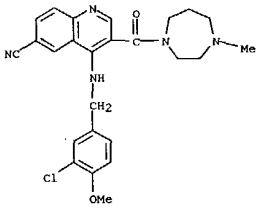
L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:185086 CAPLUS
DOCUMENT NUMBER: 136:247505
TITLE: Preparation of aminoquinolines as inhibitors of cGMP phosphodiesterase
INVENTOR(S): Bi, Yingzhi; Yu, Guixue; Rotella, David P.; Macor, John E.
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 96 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

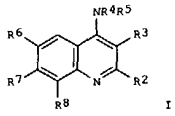
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002020489	A2	20020314	WO 2001-US26130	20010821
WO 2002020489	A3	20020606		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2002177587	A1	20021128	US 2001-933066	20010820
US 6576644	B2	20030610		
AU 2001085163	A5	20020322	AU 2001-85163	20010821
JP 2004527459	T2	20040909	JP 2002-525111	20010821
US 2003225128	A1	20031204	US 2003-412969	20030414
PRIORITY APPLN. INFO.:			US 2000-230267P P	20000906
			US 2001-933066 A3	20010820
			WO 2001-US26130 W	20010821

OTHER SOURCE(S): MARPAT 136:247505
GI

L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
RN 403840-23-3 CAPLUS
CN 1H-1,4-Diazepine, 1-[[4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-6-cyano-3-quinolinyl]carbonyl]hexahydro-4-methyl- (9CI) (CA INDEX NAME)



L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



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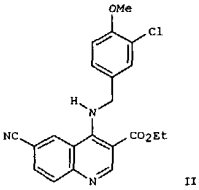


II

AB Title compds. I [R2, R6, R7, and R8 = independently H, halo, (un)substituted alkyl, alkoxy, nitro, etc.; R4 and R5 = independently H, (un)substituted alkyl, cycloalkyl, aryl, or heteroaryl with provision R4 and R5 are not both H; R3 = (CH2)zY, wherein z = 0-3 and Y is independently selected from (un)substituted imidazole, triazole, OR9, CO2R9, CH(CO2R9)2, NR10R11, NR10CONR11R12, etc.; or R4 and R5 together with Y form a heterocyclic ring; R9 = H, OH, (un)substituted alkyl, alkoxy, aryl, heteroaryl, etc.; R10, R11 and R12 = independently H, (un)substituted alkyl, alkoxy, cycloalkyl, heterocyclo, heteroaryl, etc.; or R10 forms a 3-7 membered heterocyclo ring with R11 or R12, or R11 forms a 3-7 membered ring with R12] are prepared and disclosed as inhibitors of cGMP PDE, especially type 5. Thus, II was prepared via substitution of 4-chloro-6-cyanoquinoline-3-carboxylic acid Et ester with 3-chloro-4-methoxybenzylamine hydrochloride (97% yield). As inhibitors of cGMP phosphodiesterase, I are useful in treatment of cardiovascular disorders, diabetes, gastrointestinal disorders and sexual dysfunction, in particular erectile dysfunction (no data).

IT **403840-23-3P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(target compound; preparation of aminoquinolines as inhibitors of cGMP phosphodiesterase)

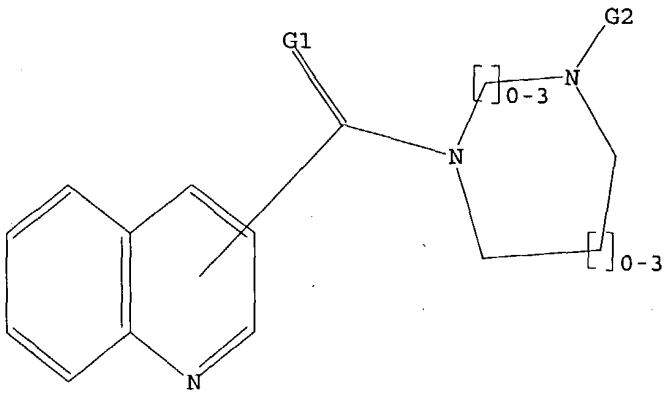
12 Broad

G2:Ak,Cb,Hy,C,O,N

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:CLASS 18:CLASS 20:CLASS
23:CLASS

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



G1 O,S
G2 Ak,Cb,Hy,C,O,N

Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SCREEN SEARCH COMPLETED - 3143 TO ITERATE

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INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 59498 TO 66222
PROJECTED ANSWERS: 1198 TO 2322

L2 28 SEA SSS SAM L1

=> s l1 sss full
FULL SEARCH INITIATED 13:00:39 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 62672 TO ITERATE

100.0% PROCESSED 62672 ITERATIONS 1376 ANSWERS
SEARCH TIME: 00.00.02

L3 1347 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	155.42	155.63

FILE 'CAPLUS' ENTERED AT 12:41:09 ON 17 NOV 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 17 Nov 2004 VOL 141 ISS 21
FILE LAST UPDATED: 16 Nov 2004 (20041116/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l3
L4 56 L3

=> d ibib abs hitstr tot

L4 ANSWER 1 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:756696 CAPLUS
DOCUMENT NUMBER: 141:260561
TITLE: A preparation of focused library of quinolinecarboxylic acid derivatives, useful as caspase enzyme inhibitors
INVENTOR(S): Ivashchenko, Alexander Vasilievich; Kobak, Vladimir Vasilievich; Kysil, Volodymyr Mikhailovich; Kuzovkova, Yulia Aleksandrovna; Ilyin, Alexey Petrovich; Kravchenko, Dmitri Vladimirovich; Tkachenko, Sergey Yevgenievich; Khvat, Alexander Viktorovich; Okun, Ilya Matusovich
PATENT ASSIGNEE(S): Chemical Diversity Research Institute, Ltd., Russia
SOURCE: PCT Int. Appl., 182 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Russian
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

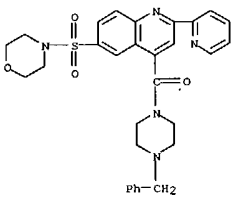
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004078731	A1	20040916	WO 2004-RU81	20040303
W: AE, AE, AG, AL, AL, AM, AM, AM, AT, AT, AU, AZ, AZ, BA, BB, BG, BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR, CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES, ES, FI, FI, GB, GD, GE, GE, GH, GM, HR, HR, HU, HU, ID, IL, IN, IS, JP, JP, KE, KE, KG, KG, KP, KP, KR, KR, KZ, KZ, KZ, LC, LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX, MZ, MZ, NA, NI				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
RU 2229475	C1	20040527	RU 2003-106182	20030306
PRIORITY APPLN. INFO.:			RU 2003-106182	A 20030306
			RU 2003-124470	A 20030808
			RU 2003-125937	A 20030826

GI

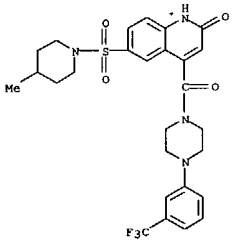
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to a preparation of focused library of quinolinecarboxylic acid derivs. of formulas I, II, and III [wherein: R1 is H, halogen, CF3, CN, NO2, or OH, etc.; R2 is halogen, (un)substituted alkyl, NH2, or OH; R3 is H, halogen, alk(en)yl, (un)substituted NH2 or OH;

L4 ANSWER 1 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

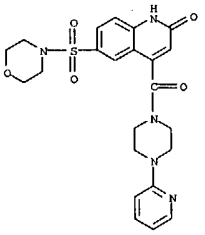


RN 753481-68-4 CAPLUS
CN Piperazine, 1-[[1,2-dihydro-6-[(4-methyl-1-piperidinyl)sulfonyl]-2-oxo-4-quinolinyl]carbonyl]-4-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 1 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
R4 is H, CO2H, or C(O)NH2; R5 is (un)substituted hydroxy- or mercapto-group, NH2, or heterocycle, etc.; R6 is H or other inert substituent; R7 is H, CN, CF3, NO2, NH2, alkylsulfonyl, or hydroxysulfonyl, etc.; W is O, NH, or N-alkyl, etc.], useful as caspase enzyme inhibitors (no biol. data). For instance, quinolinecarboxylate deriv. IV was prepd. via esterification of quinolinecarboxylic acid deriv.
V by 2-FC6H4CH2Br with a yield of 74% (example 5).
IT **697250-96-7P 697271-61-7P 753481-68-4P**
RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation); USES (Uses)
(preparation of focused library of quinolinecarboxylic acid derivs. useful as caspase enzyme inhibitors)
RN 697250-96-7 CAPLUS
CN Piperazine, 1-[[1,2-dihydro-6-(4-morpholinylsulfonyl)-2-oxo-4-quinolinyl]carbonyl]-4-(2-pyridinyl)- (9CI) (CA INDEX NAME)

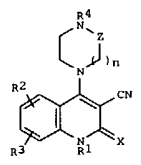


RN 697271-61-7 CAPLUS
CN Piperazine, 1-[[6-(4-morpholinylsulfonyl)-2-(2-pyridinyl)-4-quinolinyl]carbonyl]-4-(phenylmethyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:718490 CAPLUS
DOCUMENT NUMBER: 141:243567
TITLE: Preparation of piperazinylquinolones as inhibitors of macrophage migration inhibitory factor
INVENTOR(S): Sircar, Jagadish C.; Kumar, Sunil K. C.; Ying, Wenbin
PATENT ASSIGNEE(S): Avanir Pharmaceuticals, USA
SOURCE: PCT Int. Appl., 220 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

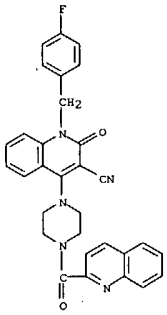
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004074218	A2	20040902	WO 2004-US4433	20040213
W: AE, AE, AG, AL, AL, AM, AM, AM, AT, AT, AU, AZ, AZ, BA, BB, BG, BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR, CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES, ES, FI, FI, GB, GD, GE, GE, GH, GM, HR, HR, HU, HU, ID, IL, IN, IS, JP, JP, KE, KE, KG, KG, KP, KP, KP, KR, KR, KZ, KZ, KZ, LC, LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX, MZ, MZ, NA, NI				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004204586	A1	20041014	US 2004-778884	20040213
PRIORITY APPLN. INFO.:			US 2003-448427P	P 20030214

OTHER SOURCE(S): MARPAT 141:243567
GI



AB Title compds. [I; X = O, S; Z = CH2, CO; n = 0-2; R1 = H, alkyl, (substituted) alkylaryl, aryl, aralkyl, acylalkyl, acylaryl, heterocyclyl, heterocyclylalkyl, etc.; R2, R3 = halo, R5, OR5, SR5, NR5R6; R4 = CH2R7, CONR5R6, CO2R7, COR7, furylcarbonyl, thienylcarbonyl, R8; R5, R6 = H, alkyl, (substituted) alkylaryl, aryl, arylalkyl, acylalkyl, heterocyclyl, heterocyclylalkyl, etc.; R7 = alkyl, (substituted) alkylaryl, aryl, acylalkyl, heterocyclyl, acylaryl, etc.; R8 = H, alkyl, (substituted)

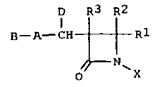
L4 ANSWER 2 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
alkylaryl, aryl, arylalkyl, acylalkyl, acylaryl, heterocyclyl, heterocyclylalkyl, etc.; with provisos], were prepd. Thus, 4-chloro-1-methyl-2-oxo-1,2-dihydroquinoline-3-carbonitrile (prepn. given)
was refluxed with piperazin-1-yl thiophen-2-yl methanone in PhMe overnight
to give 1-methyl-2-oxo-4-[4-(thiophen-2-carbonyl)piperazin-1-yl]-1,2-dihydroquinoline-3-carbonitrile. This inhibited tautomerase activity with
av. IC50 = 0.32 μM.
IT **749865-04-1P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperazinylquinolones as inhibitors of macrophage migration inhibitory factor)
RN 749865-04-1 CAPLUS
CN Piperazine, 1-[3-cyano-1-[(4-fluorophenyl)methyl]-1,2-dihydro-2-oxo-4-quinolinyl]-4-(2-quinolinylcarbonyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 3 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:612492 CAPLUS
DOCUMENT NUMBER: 141:156959
TITLE: Preparation of β-lactam compounds as inhibitors of tryptase
INVENTOR(S): Bisacchi, Gregory S.; Sutton, James C.; Slusarchyk, William A.; Treuner, Uwe; Zhao, Guohua
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 109 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 2004147502	A1	20040729	US 2003-728276		20031204
PRIORITY APPLN. INFO.:			US 2002-434060P	P	20021217

OTHER SOURCE(S): MARPAT 141:156959
GI



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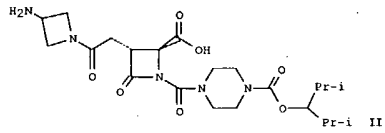
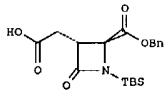
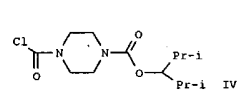


II



III

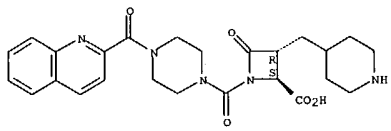


IV

AB Beta lactam compds., such as I [R1 = H, carboxy, alkoxycarbonyl, alkenylaryl, CO-heterocyclyl, etc.; R2, R3 = H, alkyl; D = H, ORa; Ra = H,
alkyl; A = CO-heterocyclyl, cycloheterocyclyl-CO, substituted amido,

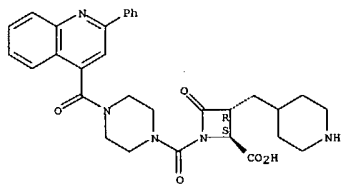
L4 ANSWER 3 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
cycloalkyl, aryl, heteroaryl, cycloheteroalkyl; B = amino, aminoalkyl, aminocycloalkyl, cycloheteroalkyl, aryl, heteroaryl, alkylamino, carboxamido], are prepd. Thus, II was prepd. via a multistep synthetic sequence starting from [1-(diphenylmethyl)-3-azetidinyl]-carbamic acid-1,1-dimethylethyl ester, III, and piperazinyl deriv. IV. These compds. are useful as inhibitors of tryptase, thrombin, trypsin, Factor Xa, Factor VIIa, and urokinase-type plasminogen activator and may be employed in preventing and/or treating asthma and allergic rhinitis.
IT **705962-20-5P 727725-31-7P 727725-32-8P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of β-lactam compds. as tryptase inhibitors)
RN 705962-20-5 CAPLUS
CN 2-Azetidinecarboxylic acid, 4-oxo-3-(4-piperidinylmethyl)-1-[[4-(2-quinolinylcarbonyl)-1-piperazinyl]carbonyl]-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



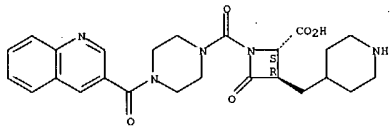
RN 727725-31-7 CAPLUS
CN 2-Azetidinecarboxylic acid, 4-oxo-1-[[4-[(2-phenyl-4-quinolinyl)carbonyl]-1-piperazinyl]carbonyl]-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 727725-32-8 CAPLUS
CN 2-Azetidinecarboxylic acid, 4-oxo-3-(4-piperidinylmethyl)-1-[[4-(3-quinolinylcarbonyl)-1-piperazinyl]carbonyl]-, (2S,3R)- (9CI) (CA INDEX NAME)

L4 ANSWER 3 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
Absolute stereochemistry.



L4 ANSWER 4 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:354923 CAPLUS
DOCUMENT NUMBER: 140:375196
TITLE: Preparation of substituted piperazines, [1,4]diazepines, and 2,5-diazabicyclo[2.2.1]heptanes as histamine H1 and/or H3 antagonists or histamine H3 reverse antagonists
INVENTOR(S): Ancliff, Rachael; Eldred, Colin David; Fogden, Yvonne C.; Hancock, Ashley Paul; Heightman, Thomas Daniel; Hobbs, Heather; Hodgson, Simon Teanby; Lindon, Matthew J.; Wilson, David Matthew
PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 140 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

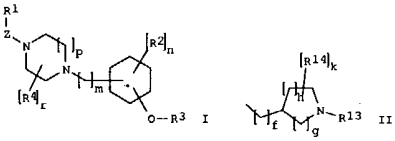
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004035556	A1	20040429	WO 2003-EP11423	20031014

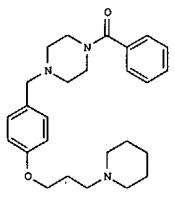
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: GB 2002-24084 A 20021016

OTHER SOURCE(S): MARPAT 140:375196
GI

L4 ANSWER 4 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)





III

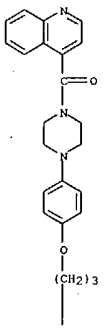
AB The title compds. [I; R1 = H, alkyl, alkoxy, etc.; Z = a bond, CO, (un)substituted CONH, SO2; p = 1-2; m, n, r = 0-2; R2 = halo, alkyl, alkoxy, etc.; R3 = (CH2)qNR11R12, II (wherein q = 2-4; R11, R12 = alkyl, cycloalkyl; NR11R12 = heterocyclyl; R13 = H, alkyl, cycloalkyl, etc.; R14 = halo, alkyl, haloalkyl, etc.; f, k = 0-2; g = 0-2; h = 0-3, such that g and h cannot both be 0); R4 = H, alkyl such that when r = 2, two R4 groups may instead be linked to form CH2, (CH2)2, (CH2)3; with the provisos], useful in the treatment of neurodegenerative disorders including Alzheimer's disease, and inflammatory diseases of the upper respiratory tract, were prepared Thus, reacting 1-[4-(3-piperidin-1-ylpropoxy)benzyl]piperazine.3HCl (preparation given) with benzoic acid afforded 77% III which was tested in the histamine H3 functional antagonist assay and showed pKb of > 6.5. The pharmaceutical composition comprising the compound I is claimed.

IT **684246-30-8P 684247-28-7P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted piperazines, [1,4]diazepines, and 2,5-diazabicyclo[2.2.1]heptanes as histamine H1 and/or H3 antagonists or histamine H3 reverse antagonists)

RN 684246-30-8 CAPLUS
CN Piperazine, 1-[4-[3-(1-piperidinyl)propoxy]phenyl]-4-(4-

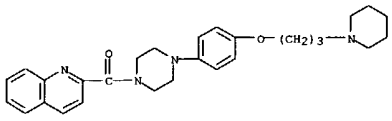
L4 ANSWER 4 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
quinolinylcarbonyl)- (9CI) (CA INDEX NAME)

PAGE 1-A



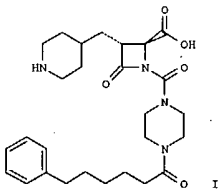
PAGE 2-A

RN 684247-28-7 CAPLUS
CN Piperazine, 1-[4-[3-(1-piperidinyl)propoxy]phenyl]-4-(2-quinolinylcarbonyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 5 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:303297 CAPLUS
DOCUMENT NUMBER: 141:54096
TITLE: Solid-phase synthesis and SAR of 4-carboxy-2-azetidinone mechanism-based tryptase inhibitors
AUTHOR(S): Sutton, James C.; Bolton, Scott A.; Davis, Malcolm E.; Hartl, Karen S.; Jacobson, Bruce; Mathur, Arvind; Ogletree, Martin L.; Slusarchyk, William A.; Zahler, Robert; Seiler, Steven M.; Bisacchi, Gregory S.
CORPORATE SOURCE: The Bristol-Myers Squibb Pharmaceutical Research Institute, Princeton, NJ, 08543-4000, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2004), 14(9), 2233-2239
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 141:54096
GI



I

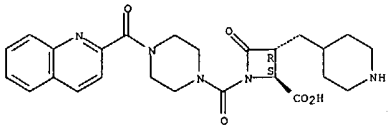
AB A series of non-guanidine N1-activated C4-carboxy azetidinone tryptase inhibitors, e.g. I, was prepared by solid-phase methodol. to quickly assess the SAR associated with distal functionality on the N1-activating group. From these studies, potent inhibitors with improved specificity were discovered.

IT **705962-20-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(solid-phase synthesis and SAR of 4-carboxy-2-azetidinone mechanism-based tryptase inhibitors)

RN 705962-20-5 CAPLUS
CN 2-Azetidinecarboxylic acid, 4-oxo-3-(4-piperidinylmethyl)-1-[[4-(2-quinolinylcarbonyl)-1-piperazinyl]carbonyl]-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 5 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

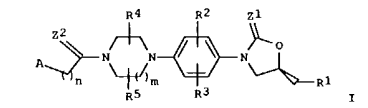


REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:182853 CAPLUS
DOCUMENT NUMBER: 140:217664
TITLE: Preparation of piperazinophenyl-substituted oxazolidinones as antibacterial agents
INVENTOR(S): Agarwal, Shiv Kumar; Guha, Mrinal Kanti; Pandey, Surendrakumar Satyanarayan; Samuel, Matte Marianna
PATENT ASSIGNEE(S): Orchid Chemicals & Pharmaceuticals Ltd, India
SOURCE: PCT Int. Appl., 97 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004018439	A1	20040304	WO 2003-IB3459	20030821
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:			IN 2002-MA618 A	20020822

OTHER SOURCE(S): MARPAT 140:217664
GI



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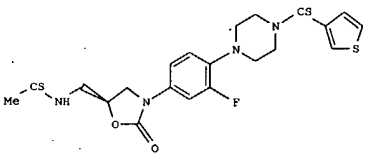


II

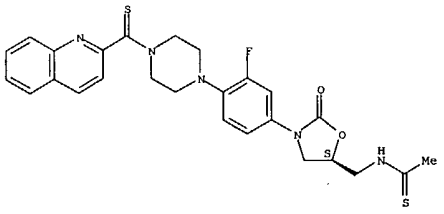
AB The present invention provides piperazinophenyl-substituted

L4 ANSWER 6 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
(shown as I; variables defined below; all examples are oxazolidinones, e.g. II), their derivs., analogs, tautomeric forms, stereoisomers, polymorphs, hydrates, solvates, pharmaceutically acceptable salts and pharmaceutically acceptable compns. contg. them, methods for their prepn., and their use against infections, particularly bacterial infections. Min. inhibitory concns. were obtained for 12 examples of I for Staphylococcus aureus, Enterococcus faecalis, Moraxella catarrhalis and Staphylococcus epidermidis. Characterization data and/or preparative details are given for 51 examples of I and 39 intermediates. For example, II was prepd. in 81% yield from N-[[(S)-3-[3-fluoro-4-[4-(thiophen-3-ylcarbonyl)piperazin-1-yl]phenyl]-2-oxooxazolidin-5-yl]methyl]acetamide using Lawesson's reagent; the reactant was prepd. in 10 steps starting with substitution of 3,4-difluoronitrobenzene by piperazine (98%) and followed by N-protection with Boc, redn. to amine (93%), carbamate formation with benzyl chloroformate, cyclization with (R)-glycidyl butyrate to give [(R)-3-[3-fluoro-4-[4-(tert-butoxycarbonyl)piperazin-1-yl]phenyl]-2-oxooxazolidin-5-yl]methanol, conversion to mesylate, conversion to azide, redn./acetylation, deprotection, and acylation with thiophene-3-carboxylic acid (54%). For I: Z1 and Z2 = O or S; R1 = halogen, azido, nitro, cyano, XR6 (X = O or S; R6 = H, formyl, (un)substituted (C1-C6)alkyl, cycloalkyl, aryl, aralkyl, acyl, thioacyl, heterocyclyl, heteroaryl, alkylsulfonyl, arylsulfonyl, aralkylsulfonyl), N(R7aR7b) (R7a and R7b = H, formyl, (un)substituted (C1-C6)alkyl, aryl, aralkyl, heteroaryl, heteroaralkyl or an amino acid residue which is attached through acid moiety, or R7a and R7b together with N = mono or bicyclic (un)satd. ring system which may contain ≥1 O, S or N), or -NHC(:Y)R8 (Y = O or S; R8 is H, (un)substituted (C1-C6)alkyl, (C1-C6)alkoxy, aryl, (C3-C6)cycloalkyl, amino, monoalkylamino, dialkylamino, cycloalkylamino, arylamino, aroylamino, alkylcarbonylamino, arylcarbonylamino, heteroaryl, heterocyclyl, heteroaralkyl, heteroaroylamino) or R1 is NHS(O)p(C1-C4)alkyl, -NHS(O)p(C1-C4)aryl or -NHS(O)p(C1-C4)heteroaryl (p = 0-2). R2 and R3 = H, halogen, hydroxy, alkyl, alkoxy; R4 and R5 = H, cyano, nitro, amino, halogen, hydroxy, (un)substituted (C1-C6)alkyl, haloalkyl, (C1-C6) alkoxy, (C1-C6)alkylthio, (C3-C6)cycloalkyl or either of R4 or R5 = oxo or thioxo; n = 0-2; when Z2 = S, A = NHR9 or (un)substituted cycloalkyl, aryl, 5-7 membered heteroaryl, heterocyclyl (attached through C atom), heteroarylalkenyl, heterocyclylalkenyl; wherein R9 = H or (un)substituted alkyl, aryl, alkoxy, alkenyl, cycloalkyl, heteroaryl or heterocyclyl; when Z2 = O, A = NHR9, where R9 = Ph substituted by nitro; (un)substituted alkoxy, alkenyl, cycloalkyl, heteroaryl or heterocyclyl group. M = 0-2; n = 0-4, with a proviso that when n is 0, R9 does not = H or alkyl.

IT **665011-82-5P**, N-[[(S)-3-[3-Fluoro-4-[4-(quinolin-2-ylthiocarbonyl)piperazin-1-yl]phenyl]-2-oxooxazolidin-5-yl]methyl]thioacetamide **665011-84-7P**, N-[[(S)-3-[3-Fluoro-4-[4-(quinolin-3-ylthiocarbonyl)piperazin-1-yl]phenyl]-2-oxooxazolidin-5-yl]methyl]thioacetamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

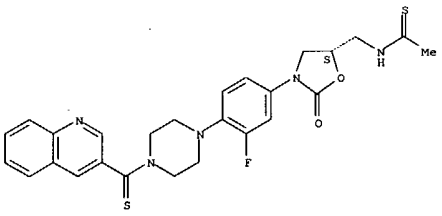
L4 ANSWER 6 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
(drug candidate; prepn. of piperazinophenyl-substituted oxazolidinones as antibacterial agents)
RN 665011-82-5 CAPLUS
CN Ethanethioamide, N-[[(5S)-3-[3-fluoro-4-[4-(2-quinolinylthioxomethyl)-1-piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 665011-84-7 CAPLUS
CN Ethanethioamide, N-[[(5S)-3-[3-fluoro-4-[4-(3-quinolinylthioxomethyl)-1-piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

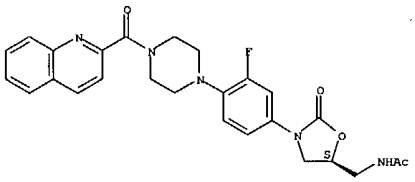
Absolute stereochemistry.



IT **665011-55-2P**, N-[[(S)-3-[3-Fluoro-4-[4-[(quinolin-2-yl)carbonyl]piperazin-1-yl]phenyl]-2-oxooxazolidin-5-yl]methyl]acetamide
665011-56-3P, N-[[(S)-3-[3-Fluoro-4-[4-[(quinolin-3-yl)carbonyl]piperazin-1-yl]phenyl]-2-oxooxazolidin-5-yl]methyl]acetamide
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of piperazinophenyl-substituted oxazolidinones as antibacterial

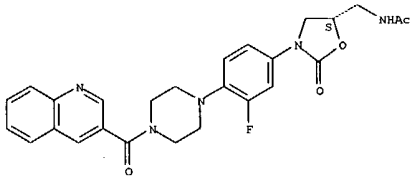
L4 ANSWER 6 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
agents)
RN 665011-55-2 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-[4-(2-quinolinylcarbonyl)-1-piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



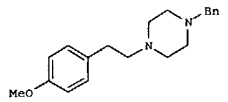
RN 665011-56-3 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-[4-(3-quinolinylcarbonyl)-1-piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:156950 CAPLUS
DOCUMENT NUMBER: 140:321330
TITLE: Biologically active compounds through catalysis: Efficient synthesis of N-(heteroarylcarbonyl)-N'-(arylalkyl)piperazines
AUTHOR(S): Kumar, Kamal; Michalik, Dirk; Castro, Ivette Garcia; Tillack, Annegret; Zapf, Alexander; Arlt, Michael; Heinrich, Timo; Boettcher, Henning; Beller, Matthias
CORPORATE SOURCE: Leibniz-Institut fuer Organische Katalyse an der Universitaet Rostock e.V., Rostock, 18055, Germany
SOURCE: Chemistry--A European Journal (2004), 10(3), 746-757
CODEN: CEUJED; ISSN: 0947-6539
PUBLISHER: Wiley-VCH Verlag GmbH & Co. KGaA
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



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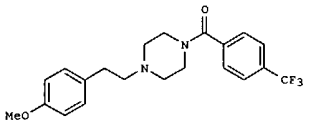
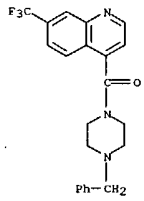


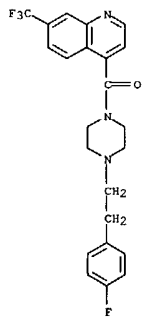
II

AB A practical route for the synthesis of biol. active 5-HT2A receptor antagonists has been developed. In only three catalytic steps, this class of central nervous system active compds. can be synthesized efficiently with high diversity. As the initial step, an anti-Markovnikov addition of amines to styrenes provided an easy route to N-(arylalkyl)piperazines, e.g., I, which constitute the core structure of the active mols. Base-catalyzed hydroamination reactions of styrenes with benzylated piperazine proceeded in high yields. After catalytic debenzylation, the free amines were carbonylated with different aryl halides and carbon monoxide to yield the desired compds., e.g., II, in good to excellent yields. The two key reactions, base-catalyzed hydroamination of styrenes and palladium-catalyzed aminocarbonylation of haloarenes, showed tolerance towards various functional groups, thereby demonstrating the potential to synthesize a wide variety of derivs. of this promising class of pharmaceuticals.
IT **678999-76-3P 678999-80-9P**

L4 ANSWER 7 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of N-aroylpiperazines via aminocarbonylation of aryl halides with piperazines)
RN 678999-76-3 CAPLUS
CN Piperazine, 1-(phenylmethyl)-4-[[7-(trifluoromethyl)-4-quinolinyl]carbonyl]- (9CI) (CA INDEX NAME)

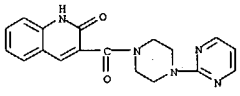


RN 678999-80-9 CAPLUS
CN Piperazine, 1-[2-(4-fluorophenyl)ethyl]-4-[[7-(trifluoromethyl)-4-quinolinyl]carbonyl]- (9CI) (CA INDEX NAME)

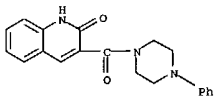


REFERENCE COUNT: 65 THERE ARE 65 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

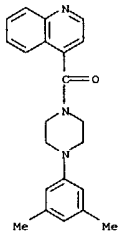
L4 ANSWER 8 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:84533 CAPLUS
DOCUMENT NUMBER: 141:157009
TITLE: Facile preparation of β-ketoamide from β-ketoester
AUTHOR(S): Wang, Zheqing
CORPORATE SOURCE: Shanghai Institute of Pharmaceutical Industry, Shanghai, 200040, Peop. Rep. China
SOURCE: Zhongguo Yiyao Gongye Zazhi (2002), 33(10), 469-471
CODEN: ZYGZEA; ISSN: 1001-8255
PUBLISHER: Zhongguo Yiyao Gongye Zazhi Bianjibu
DOCUMENT TYPE: Journal
LANGUAGE: Chinese
OTHER SOURCE(S): CASREACT 141:157009
AB Several synthetic routes for the preparation of kilogram grade N-p-fluorobenzyl-2(1H)-quinolone-3-carboxamide were designed and compared.
The best route was simply mixing Et 2(1H)-quinolone-3-carboxylate with 4-fluorobenzylamine and heated at 145° for 2 h. The method had advantages of only one step, nearly quant. yield, high purity, solvent free, and no waste generated. More than 50 analogs were prepared by this facile method.
IT **728041-19-8P 728041-20-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of β-ketoamide from β-ketoester)
RN 728041-19-8 CAPLUS
CN Piperazine, 1-[(1,2-dihydro-2-oxo-3-quinolinyl)carbonyl]-4-(2-pyrimidinyl)- (9CI) (CA INDEX NAME)



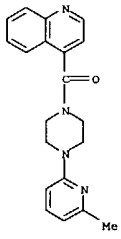
RN 728041-20-1 CAPLUS
CN Piperazine, 1-[(1,2-dihydro-2-oxo-3-quinolinyl)carbonyl]-4-phenyl- (9CI) (CA INDEX NAME)



L4 ANSWER 9 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
(Uses)
(prepn. of arylcarbonylpiperazines and heteroarylcarbonylpiperazines for treating benign and malignant tumor diseases)
RN 640286-92-6 CAPLUS
CN Piperazine, 1-(3,5-dimethylphenyl)-4-(4-quinolinylcarbonyl)- (9CI) (CA INDEX NAME)



RN 640286-93-7 CAPLUS
CN Piperazine, 1-(6-methyl-2-pyridinyl)-4-(4-quinolinylcarbonyl)- (9CI) (CA INDEX NAME)

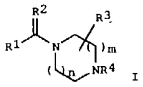


REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:20666 CAPLUS
DOCUMENT NUMBER: 140:77166
TITLE: Preparation of arylcarbonylpiperazines and heteroarylcarbonylpiperazines for treating benign and malignant tumor diseases
INVENTOR(S): Emig, Peter; Gerlach, Matthias; Polymeropoulos, Emmanuel; Mueller, Gilbert; Schmidt, Peter; Baasner, Silke; Guenther, Eckhard
PATENT ASSIGNEE(S): Zentaris Gmbh, Germany
SOURCE: PCT Int. Appl., 45 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004002965	A1	20040108	WO 2003-EP6555	20030620
W: AU, BR, BY, CA, CN, CO, GE, HR, HU, ID, IL, IN, IS, JP, KR, KZ, LT, LV, MK, MX, NO, NZ, PH, PL, RO, RU, SG, UA, UZ, YU, ZA				
RW: AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR				
US 2004097734	A1	20040520	US 2003-608520	20030627
PRIORITY APPLN. INFO.:			US 2002-393027P P	20020629

OTHER SOURCE(S): MARPAT 140:77166
GI



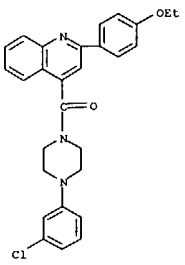
AB Title compds. [I; R1 = (substituted) fluoren-9-one, isoxazolyl, cinnolinyl, isothiazolyl, isoquinolinyl, 9H-fluorenyl, 9H-xanthenyl, 1H-pyrazolyl; R2 = O, S; R3 = H, (substituted) alkyl, halo, CO2H, CONH2; R4 = (substituted) (hetero)aryl, alkylaryl, alkylhetaryl; m, n = 0-3], were prepared Thus, 9-fluorenone-4-carbonyl chloride in DMF was successively treated with N-methylmorpholine, 1-(3,5-dimethoxyphenyl)piperazine, and 1-benzotriazolyltripyrrolidinophosphonium hexafluorophosphate followed by stirring for 12 h at room temperature to give
79,3% 4-[4-(3,5-dimethoxyphenyl)piperazine-1-carbonyl]fluoren-9-one. The latter inhibited proliferation in XTT cytotoxicity test in human tumor cells with EC50 = 0,2-0,555 μg/mL.
IT **640286-92-6P 640286-93-7P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

L4 ANSWER 10 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:855803 CAPLUS
DOCUMENT NUMBER: 139:345908
TITLE: Modulators of hedgehog signaling pathways, compositions and uses related thereto
INVENTOR(S): Beachy, Philip A.; Chen, James K.; Taipale, Anssi Jussi Nikolai
PATENT ASSIGNEE(S): Johns Hopkins University School of Medicine, USA
SOURCE: PCT Int. Appl., 106 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003088970	A2	20031030	WO 2003-US12406	20030422
WO 2003088970	A3	20040226		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:			US 2002-374371P P	20020422
			US 2002-405689P P	20020823
			US 2002-415822P P	20021003

OTHER SOURCE(S): MARPAT 139:345908
AB The present invention makes available methods and reagents for inhibiting aberrant growth states resulting from hedgehog gain-of-function, patched (ptc) loss-of-function or smoothened gain-of-function comprising contacting the cell with a hedgehog antagonist, such as a small mol., in a
sufficient amount to inhibit aberrant growth states, e.g., to agonize a normal ptc pathway or antagonize smoothened or hedgehog activity. Such methods and reagents may also inhibit the hedgehog pathway in normal cells, e.g., where normal levels of hedgehog signaling are unwanted.
IT **303136-60-9**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(modulators of hedgehog signaling pathways and cosmetic and therapeutic
uses to inhibit aberrant growth states in relation to effect on patched
and smoothened activity)
RN 303136-60-9 CAPLUS
CN Piperazine, 1-(3-chlorophenyl)-4-[[2-(4-ethoxyphenyl)-4-quinolinyl]carbonyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 10 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



L4 ANSWER 11 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN

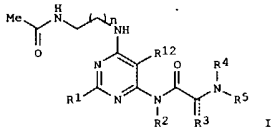
ACCESSION NUMBER: 2003:511098 CAPLUS
DOCUMENT NUMBER: 139:85366
TITLE: Preparation of N-(pyrimidin-4-yl)acetamides as A2b adenosine receptor selective antagonists
INVENTOR(S): Castelhano, Arlindo; McKibben, Bryan; Steinig, Arno; Collington, Eric William
PATENT ASSIGNEE(S): OSI Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 150 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003053366	A2	20030703	WO 2002-US41273	20021220
WO 2003053366	A3	20040129		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
US 2003162764	A1	20030828	US 2002-326204	20021220
BR 2002015202	A	20041013	BR 2002-15202	20021220
EP 1465631	A2	20041013	EP 2002-805676	20021220
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK			

PRIORITY APPLN. INFO.: US 2001-342595P P 20011220
WO 2002-US41273 W 20021220

OTHER SOURCE(S): MARPAT 139:85366
GI

L4 ANSWER 11 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



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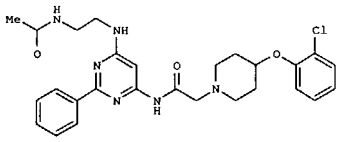


II

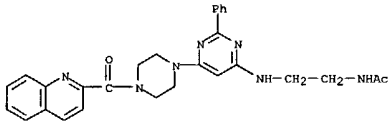
AB Title compds. I [wherein R1 = (un)substituted Ph, heterocyclyl, or heteroaryl; R2 and R3 = independently H or (un)substituted (cyclo)alkyl, alkanoyl, alkoxy(carbonyl), alkenyl, monocyclic or bicyclic aryl, heteroaryl, or heterocyclyl; or R2 and R3 are joined to form a heterocyclic ring; wherein the dashed line = a double bond which may be present or absent, and when present R3 = O; R4 and R5 = independently (un)substituted (cyclo)alkyl, alkanoyl, alkoxy(carbonyl), alkenyl, monocyclic or bicyclic aryl, heteroaryl, or heterocyclyl; or NR4R5 = (un)substituted monocyclic or bicyclyl, heterocyclyl, or heteroaryl; R12 = H, alkyl, halo, or cyano; n = 0-4; or enantiomers, tautomers, or pharmaceutically acceptable salts thereof] were prepared as A2b adenosine receptor antagonists. For example, cycloaddn. of benzamidine·HCl and di-Et malonate using DBU in DMF gave 2-phenylpyrimidine-4,6-diol (73%). Chlorination (95%), amination (93%), substitution with N-(2-aminoethyl)acetamide (57%), and amidation with chloroacetyl chloride (91%) provided N-[6-(2-acetylaminoethylamino)-2-phenylpyrimidin-4-yl]-2-chloroacetamide. Coupling of the chloroacetamide with 4-(2-chlorophenoxy)piperidine in the presence of NaI and DIPEA in 3:1 acetonitrile:THF afforded II (86%). Compds. of the invention showed greater than tenfold selectivity for the human A2b adenosine receptor (Ki values <100 nM) over the A1, A2a, and A3 receptors in radioligand binding assays. Thus, I and pharmaceutical compns. comprising I are useful for the treatment of diseases associated with the A2b adenosine receptor, such as asthma, diabetes, or proliferating tumors associated with mast cell degranulation (no data).

IT **552870-75-4P**, N-[2-[[2-Phenyl-6-[4-[(quinolin-2-yl)carbonyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide
552871-81-5P, N-[2-[[6-[4-[(2-Hydroxyquinolin-4-yl)carbonyl]piperazin-1-yl]-2-phenylpyrimidin-4-yl]amino]ethyl]acetamide
552871-93-9P, N-[2-[[6-[4-[(8-Hydroxyquinolin-2-yl)carbonyl]piperazin-1-yl]-2-phenylpyrimidin-4-yl]amino]ethyl]acetamide
552872-58-9P, N-[2-[[2-(4-Chlorophenyl)-6-[4-[(quinolin-2-

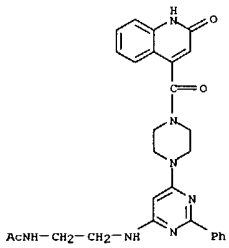
L4 ANSWER 11 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

yl)carbonyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide
552873-74-2P, N-[2-[[2-(4-Chlorophenyl)-6-[4-[(2-hydroxyquinolin-4-yl)carbonyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide
552873-85-5P, N-[2-[[2-(4-Chlorophenyl)-6-[4-[(8-hydroxyquinolin-2-yl)carbonyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(A2b antagonist; prepn. of N-(pyrimidinyl)acetamides as A2b adenosine receptor selective antagonists for treatment of asthma, diabetes, tumors, and other A2b assocd. diseases)

RN 552870-75-4 CAPLUS
CN Acetamide, N-[2-[[2-phenyl-6-(4-(2-quinolinylcarbonyl)-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (9CI) (CA INDEX NAME)

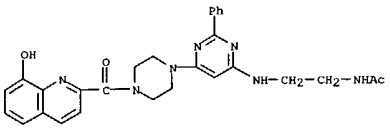


RN 552871-81-5 CAPLUS
CN Acetamide, N-[2-[[6-[4-[(1,2-dihydro-2-oxo-4-quinolinyl)carbonyl]-1-piperazinyl]-2-phenyl-4-pyrimidinyl]amino]ethyl]- (9CI) (CA INDEX NAME)

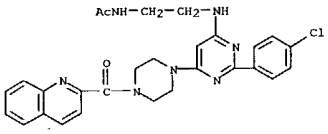


RN 552871-93-9 CAPLUS
CN Acetamide,
N-[2-[[6-[4-[(8-hydroxy-2-quinolinyl)carbonyl]-1-piperazinyl]-2-phenyl-4-pyrimidinyl]amino]ethyl]- (9CI) (CA INDEX NAME)

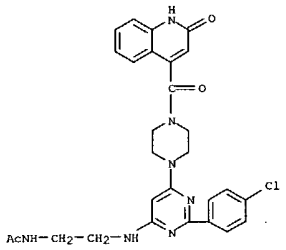
L4 ANSWER 11 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 552872-58-9 CAPLUS
CN Acetamide, N-[2-[[2-(4-chlorophenyl)-6-[4-(2-quinolinylcarbonyl)-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (9CI) (CA INDEX NAME)

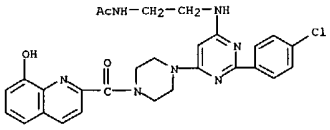


RN 552873-74-2 CAPLUS
CN Acetamide, N-[2-[[2-(4-chlorophenyl)-6-[4-[(1,2-dihydro-2-oxo-4-quinolinyl)carbonyl]-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (9CI) (CA INDEX NAME)



RN 552873-85-5 CAPLUS

L4 ANSWER 11 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
CN Acetamide, N-[2-[[2-(4-chlorophenyl)-6-[4-[(8-hydroxy-2-quinolinyl)carbonyl]-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (9CI) (CA INDEX NAME)



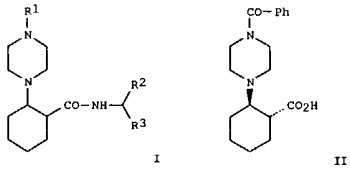
L4 ANSWER 12 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2003:319888 CAPLUS
DOCUMENT NUMBER: 138:338170
TITLE: Preparation of piperazinecyclohexanecarboxylic acid amides as adenosine uptake inhibitors for the treatment of cardiovascular diseases
INVENTOR(S): Bischoff, Erwin; Krahn, Thomas; Paulsen, Holger; Schuhmacher, Joachim; Steinhagen, Henning; Thielemann, Wolfgang
PATENT ASSIGNEE(S): Bayer Aktiengesellschaft, Germany
SOURCE: PCT Int. Appl., 162 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

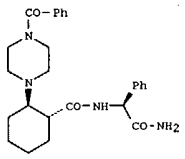
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003033484	A1	20030424	WO 2002-EP10978	20021001
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10150310	A1	20030424	DE 2001-10150310	20011011
EP 1436273	A1	20040714	EP 2002-764891	20021001
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
PRIORITY APPLN. INFO.:			DE 2001-10150310	A 20011011
			WO 2002-EP10978	W 20021001

OTHER SOURCE(S): MARPAT 138:338170
GI

L4 ANSWER 12 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



I II



III

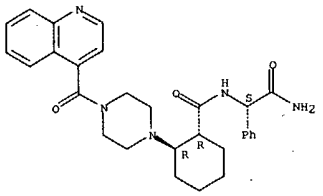
AB Title compds. I [R1 = COR4, (CH2)aR4, SO2R4, etc.; R4 = (un)substituted alkyl, cycloalkyl, alkylaryl, etc.; R2 = (un)substituted alkyl, e.g., OH, oxo; R3 = CH2OH, CONR8R9; R8, R9 = H, alkyl; a = 0-3]and their pharmaceutically acceptable salts were prepared For example, coupling of an enantiomeric mixture of trans-aminocyclohexane carboxylic acids·TFA II, e.g., prepared from 1-cyclohexene-1-carboxylic acid in 4-steps, and (αS)-aminobenzeneacetamide·HCl, followed by HPLC separation of the diastereomeric mixture, afforded claimed piperazine III in 35% yield. In rabbit erythrocyte adenosine uptake inhibition assays, 10-examples of compds. I exhibited IC50 values ranging from 15-80 nM, e.g., the IC50 value of piperazine III was 30 nM. Compds. I are claimed useful for the prophylaxis and/or the treatment of cardiovascular diseases.

IT **515147-78-1P 515147-86-1P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of piperazinecyclohexanecarboxylic acid amides as adenosine uptake inhibitors for the treatment of cardiovascular diseases)

RN 515147-78-1 CAPLUS
CN Benzeneacetamide, α-[[[(1R,2R)-2-[4-(4-quinolinylcarbonyl)-1-piperazinyl]cyclohexyl]carbonyl]amino]-, (αS)- (9CI) (CA INDEX NAME)

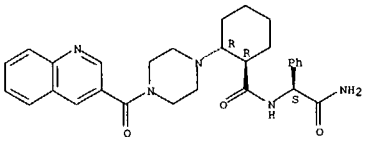
Absolute stereochemistry.

L4 ANSWER 12 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 515147-86-1 CAPLUS
CN Benzeneacetamide, α-[[[(1R,2R)-2-[4-(3-quinolinylcarbonyl)-1-piperazinyl]cyclohexyl]carbonyl]amino]-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L4 ANSWER 13 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2003:221465 CAPLUS
DOCUMENT NUMBER: 138:255249
TITLE: Preparation of piperazine and homopiperazine compounds

useful in the treatment of thrombosis and to inhibit ADP-mediated platelet aggregation
INVENTOR(S): Levy, Daniel E.; Smyth, Mark S.; Scarborough, Robert M.
PATENT ASSIGNEE(S): Millennium Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 260 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003022214	A2	20030320	WO 2002-US28618	20020906
WO 2003022214	A3	20040325		

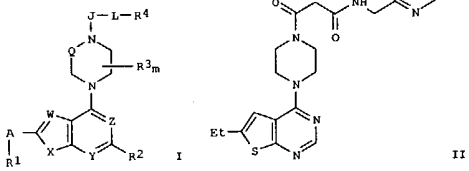
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2003153556	A1	20030814	US 2002-237153	20020906
PRIORITY APPLN. INFO.:			US 2001-317192P	P 20010906

OTHER SOURCE(S): MARPAT 138:255249
GI

L4 ANSWER 13 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



I II

AB Piperazine and homopiperazine compds. I, wherein Q is (CH2)n; n is 1, 2; m is 0-4; W is N, CR5; X is S, O, NR6; Y is N, CR7; Z is N, CR8; J is CO, CS, CNR9, SO, SO2; A is O, S, NR10, CO, CH(OH); L is a direct link or a divalent linker; R1 is H, halo, CN, NO2, N3, alkyl, cycloalkyl, alkene, alkyne; R2 is H, halo, CN, NO2, N3, alkyl, cycloalkyl, alkene, alkyne, acyl; R3 is alkyl, cycloalkyl, acyl; R4 is H, F, CF3, CN, N3, NO2, alkyl, amino, alkylamino, cycloalkyl, heterocycloalkyl, heteroalkyl, fused bicycloalkyl, fused bicycloalkaryl, fused bicycloaryl; R5-R8 are independently H, alkyl, cycloalkyl; R9 is H, CN, NO2, alkyl; R10 is H, alkyl, acyl; are provided having a piperazine or homopiperazine ring which are useful in the treatment of thrombosis. Thus piperazine II was prepared and tested in vitro to inhibit ADP-mediated platelet aggregation (activity ranges are: > 20 μmol; 10-20 μmol; and < 10 μmol).

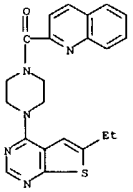
IT **502648-18-2P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperazine and homopiperazine compds. useful in treatment of thrombosis and to inhibit ADP-mediated platelet aggregation)

RN 502648-18-2 CAPLUS
CN Piperazine, 1-(6-ethylthieno[2,3-d]pyrimidin-4-yl)-4-(2-quinolinylcarbonyl)-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 502648-17-1
CMF C22 H21 N5 O S

L4 ANSWER 13 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



CM 2

CRN 76-05-1
CMF C2 H F3 O2

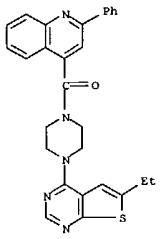
IT **502648-16-0P 502648-20-6P 502648-22-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of piperazine and homopiperazine compds. useful in treatment of thrombosis and to inhibit ADP-mediated platelet aggregation)

RN 502648-16-0 CAPLUS
CN Piperazine, 1-(6-ethylthieno[2,3-d]pyrimidin-4-yl)-4-[(2-phenyl-4-quinolinyl)carbonyl]-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 502648-15-9
CMF C28 H25 N5 O S

L4 ANSWER 13 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



CM 2

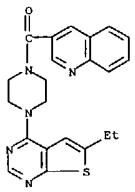
CRN 76-05-1
CMF C2 H F3 O2

RN 502648-20-6 CAPLUS
CN Piperazine, 1-(6-ethylthieno[2,3-d]pyrimidin-4-yl)-4-(3-quinolinylcarbonyl)-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 502648-19-3
CMF C22 H21 N5 O S

L4 ANSWER 13 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



CM 2

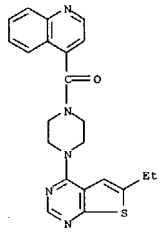
CRN 76-05-1
CMF C2 H F3 O2

RN 502648-22-8 CAPLUS
CN Piperazine, 1-(6-ethylthieno[2,3-d]pyrimidin-4-yl)-4-(4-quinolinylcarbonyl)-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 502648-21-7
CMF C22 H21 N5 O S

L4 ANSWER 13 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



CM 2

CRN 76-05-1
CMF C2 H F3 O2

L4 ANSWER 14 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2002:847770 CAPLUS
DOCUMENT NUMBER: 137:353063
TITLE: Preparation of piperazines as antidiabetic agents
INVENTOR(S): Maruta, Katsunori; Iwai, Kiyotaka; Yoshida, Kozo; Nagata, Tatsu
PATENT ASSIGNEE(S): Sumitomo Pharmaceuticals Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 32 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2002322163	A2	20021108	JP 2001-123655	20010420
PRIORITY APPLN. INFO.:			JP 2001-123655	20010420

OTHER SOURCE(S): MARPAT 137:353063
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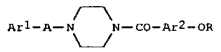


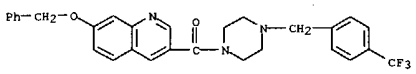
I

AB The compds. I (Ar1 = substituted Ph, (un)substituted monocyclic heteroaryl, dicyclic aryl, dicyclic heteroaryl; Ar2 = (un)substituted phenylene, dicyclic arylene, monocyclic heteroarylene, dicyclic heteroarylene; A = methylene, ethylene; R = XYAr3; X = C1-3 alkylene; Y = single bond, NR1, O; R1 = H, Me, Et; Ar3 = (un)substituted Ph, monocyclic heteroaryl, dicyclic aryl, dicyclic heteroaryl) or their pharmaceutically acceptable salts are prepared 2-(5-Ethyl-2-pyridyl)ethanol was esterified with mesyl chloride in the presence of Et3N in THF at room temperature for 1 h and reacted with 4-[[4-[4-(trifluoromethyl)benzyl]-1-piperazinyl]carbonyl]phenol in the presence of K2CO3 in DMF at 100° for 5 h to give 63% 1-[4-[2-(5-ethyl-2-pyridyl)ethoxy]benzoyl]-4-[4-(trifluoromethyl)benzyl]piperazine, which was administered in mice at 128 mg/kg/day, resulting in blood glucose level 522.3±89.4 mg/dL, while 548.8±61.6 mg/dL at 0 mg/kg/day.

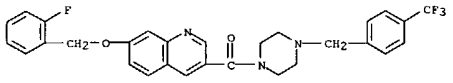
IT **474659-29-5P 474659-34-2P 474659-35-3P 474659-37-5P 474659-38-6P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperazines as antidiabetic agents)

RN 474659-29-5 CAPLUS
CN Piperazine, 1-[[7-(phenylmethoxy)-3-quinolinyl]carbonyl]-4-[[4-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

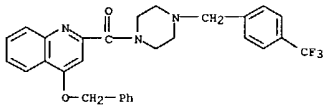
L4 ANSWER 14 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 474659-34-2 CAPLUS
CN Piperazine, 1-[[7-[(2-fluorophenyl)methoxy]-3-quinolinyl]carbonyl]-4-[[4-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

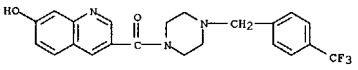


RN 474659-35-3 CAPLUS
CN Piperazine, 1-[[4-(phenylmethoxy)-2-quinolinyl]carbonyl]-4-[[4-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

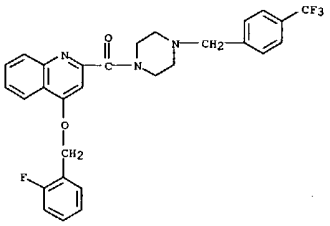


RN 474659-37-5 CAPLUS
CN Piperazine, 1-[[4-[(2-fluorophenyl)methoxy]-2-quinolinyl]carbonyl]-4-[[4-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

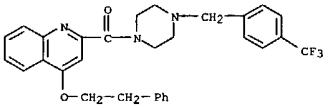
L4 ANSWER 14 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



L4 ANSWER 14 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

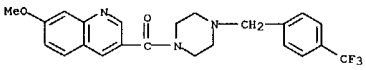


RN 474659-38-6 CAPLUS
CN Piperazine, 1-[[4-(2-phenylethoxy)-2-quinolinyl]carbonyl]-4-[[4-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)



IT **474659-27-3P 474659-28-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of piperazines as antidiabetic agents)

RN 474659-27-3 CAPLUS
CN Piperazine, 1-[(7-methoxy-3-quinolinyl)carbonyl]-4-[[4-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)



RN 474659-28-4 CAPLUS
CN Piperazine, 1-[(7-hydroxy-3-quinolinyl)carbonyl]-4-[[4-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

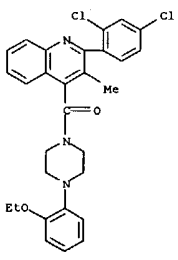
L4 ANSWER 15 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2002:826913 CAPLUS
DOCUMENT NUMBER: 138:49321
TITLE: Property-based design of GPCR-targeted library
AUTHOR(S): Balakin, Konstantin V.; Tkachenko, Sergey E.; Lang, Stanley A.; Okun, Ilya; Ivashchenko, Andrey A.; Savchuk, Nikolay P.
CORPORATE SOURCE: Chemical Diversity Labs Inc., San Diego, CA, 92121, USA
SOURCE: Journal of Chemical Information and Computer Sciences (2002), 42(6), 1332-1342
CODEN: JCISD8; ISSN: 0095-2338
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The design of a GPCR-targeted library, based on a scoring scheme for the classification of mols. into "GPCR-ligand-like" and "non-GPCR-ligand-like", is outlined. The methodol. is a valuable tool that can aid in the selection and prioritization of potential GPCR ligands for bioscreening from large collections of compds. It is based on the distillation of knowledge from large databases of GPCR and non-GPCR active agents. The method employed a set of descriptors for encoding the mol. structures and by training of a neural network for classifying the mols. The mol. requirements were profiled and validated by using available databases of GPCR- and non-GPCR-active agents. The method enables efficient qualification or disqualification of a mol. as a potential GPCR ligand and represents a useful tool for constraining the size of GPCR-targeted libraries that will help speed up the development of new GPCR-active drugs.

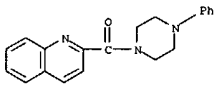
IT **361983-99-5**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(property-based design of GPCR-targeted library)

RN 361983-99-5 CAPLUS
CN Piperazine, 1-[[2-(2,4-dichlorophenyl)-3-methyl-4-quinolinyl]carbonyl]-4-(2-ethoxyphenyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 15 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 16 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 16 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:640897 CAPLUS
DOCUMENT NUMBER: 137:337840
TITLE: Use of Statistical Design of Experiments in the Optimization of Amide Synthesis Utilizing Polystyrene-Supported N-Hydroxybenzotriazole Resin
AUTHOR(S): Gooding, Owen W.; Vo, Lanchi; Bhattacharyya, Sukanta; Labadie, Jeff W.
CORPORATE SOURCE: Argonaut Technologies, Foster City, CA, 94404, USA
SOURCE: Journal of Combinatorial Chemistry (2002), 4(6), 576-583
CODEN: JCCHFF; ISSN: 1520-4766
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 137:337840

AB Statistical process optimization is used to determine appropriate reagents, order of addition, and stoichiometry for amidation reactions of amines and carboxylic acids using a resin-bound hydroxybenzotriazole coupling reagent. Previous reactions used the expensive coupling reagent PyBOP and carboxylic acids in excess and required the premixing of reagents, both of which are not amenable either to automated synthesis or to the preparation of combinatorial libraries. The less expensive coupling reagent diisopropyl carbodiimide (DIC) is used instead of PyBOP with 4-(dimethylamino)pyridine as a catalyst. Using these reagents, it is important that the acid be added first; neither the time of addition nor the amount of acid is important if sufficient DIC (optimally 4.4 equivalent) is used. A mixture of DMF and methylene chloride is the optimal solvent; the fraction of DMF is minimized to maximize the amount of acid coupled to the resin-bound hydroxybenzotriazole. The type of acid also affects the efficiency of coupling. This routine is used to generate a combinatorial library of amides by coupling of amines and carboxylic acids; the products are isolated by filtration of the hydroxybenzotriazole resin followed by removal of solvent.

IT **473254-23-8P**
RL: CPN (Combinatorial preparation); CMBI (Combinatorial study); PREP (Preparation)
(statistical process optimization for amidation reactions using a resin-bound hydroxybenzotriazole and their use in the preparation of a combinatorial library of amides from amines and carboxylic acids)
RN 473254-23-8 CAPLUS
CN Piperazine, 1-phenyl-4-(2-quinolinylcarbonyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 17 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:538102 CAPLUS
DOCUMENT NUMBER: 137:93771
TITLE: Preparation of piperazinocarbonyl(iso)quinolines as 5-HT2A receptor antagonists
INVENTOR(S): Boettcher, Henning; Bartoszyk, Gerd; Harting, Juergen; Van Amsterdam, Christoph; Seyfried, Christoph
PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany
SOURCE: Ger. Offen., 10 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

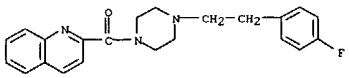
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 10102053	A1	20020718	DE 2001-10102053	20010117
WO 2002057256	A1	20020725	WO 2001-EP15311	20011224
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1366039	A1	20031203	EP 2001-273302	20011224
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001016774	A	20040106	BR 2001-16774	20011224
JP 2004517145	T2	20040610	JP 2002-557935	20011224
US 2004077657	A1	20040422	US 2003-466487	20030717
PRIORITY APPLN. INFO.:			DE 2001-10102053 A	20010117
			WO 2001-EP15311 W	20011224

OTHER SOURCE(S): MARPAT 137:93771

AB R2COIZ1R1 (Z = piperazine-1,4-diyl)[I; R1 = (un)substituted Ph, -naphthyl, -heteroaryl; R2 = (un)substituted (iso)quinolyl; Z1 = alkylene] were prepared Thus, isoquinoline-1-carboxylic acid was amidated by HZCH2CH2C6H4F-4 to give I (R1 = C6H4F-4, R2 = 1-isoquinolyl, Z1 = CH2CH2). Data for biol. activity of I were given.

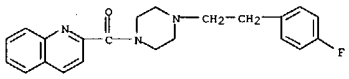
IT **442520-23-2P 442520-27-6P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperazinocarbonyl(iso)quinolines as 5-HT2A receptor antagonists)
RN 442520-23-2 CAPLUS
CN Piperazine, 1-[2-(4-fluorophenyl)ethyl]-4-(2-quinolinylcarbonyl)-, hydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 17 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



●x HCl

RN 442520-27-6 CAPLUS
CN Piperazine, 1-[2-(4-fluorophenyl)ethyl]-4-(2-quinolinylcarbonyl)- (9CI) (CA INDEX NAME)

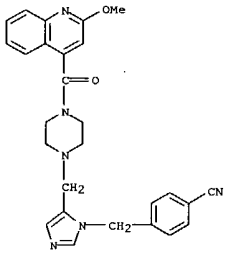


L4 ANSWER 18 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:450255 CAPLUS
DOCUMENT NUMBER: 137:17431
TITLE: Geranylgeranyl transferase inhibitor screening assay
INVENTOR(S): Eng, Wai-si; Lobell, Robert B.; Lumma, William C.; Smith, Anthony M.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 42 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

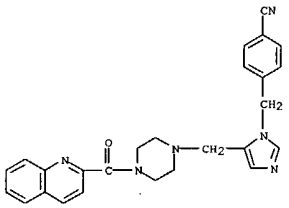
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002072081	A1	20020613	US 2001-947903	20010906
PRIORITY APPLN. INFO.:			US 2000-230270P	P 20000906

OTHER SOURCE(S): MARPAT 137:17431
AB The invention concerns a GGTase-I competitive binding assay which can be used to determine the relative GGTase-I inhibitory potency of test compds. The present invention is also directed toward radiolabeled geranylgeranyl-protein transferase type-I inhibitor compds. which are useful to label GGTase-I in assays, whether cell-based, tissue-based or in whole animal.
IT **290819-31-7 290819-49-7**
RL: ARG (Analytical reagent use); PAC (Pharmacological activity); PRP (Properties); ANST (Analytical study); BIOL (Biological study); USES (Uses)
(geranylgeranyl transferase inhibitor screening assay)
RN 290819-31-7 CAPLUS
CN Piperazine, 1-[[1-[(4-cyanophenyl)methyl]-1H-imidazol-5-yl]methyl]-4-[(2-methoxy-4-quinolinyl)carbonyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 18 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 290819-49-7 CAPLUS
CN Piperazine, 1-[[1-[(4-cyanophenyl)methyl]-1H-imidazol-5-yl]methyl]-4-(2-quinolinylcarbonyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 19 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:312037 CAPLUS
DOCUMENT NUMBER: 136:325436
TITLE: Preparation of quinolinylindoles as antimicrobial agents
INVENTOR(S): Cuny, Gregory D.; Hauske, James R.; Hoemann, Michael Z.; Chopra, Ian
PATENT ASSIGNEE(S): Sepracor Inc., USA
SOURCE: U.S., 167 pp., Cont. of U.S. Ser. No. 639,622.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

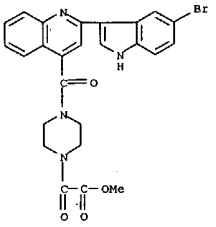
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6376670	B1	20020423	US 2000-658690	20000908
US 6207679	B1	20010327	US 1998-45051	19980319
US 6172084	B1	20010109	US 1998-99640	19980618
US 6103905	A	20000815	US 1998-213385	19981211
PRIORITY APPLN. INFO.:			US 1997-878781	B2 19970619
			US 1998-45051	A2 19980319
			US 1998-99640	A2 19980618
			US 1998-213385	A1 19981211
			US 2000-639622	A2 20000815

OTHER SOURCE(S): MARPAT 136:325436
GI

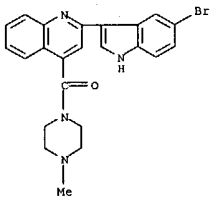
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; Z = CO, CR2; R = H, alkyl; R5-R8, R14-R17 = H, halo, alkyl, etc.; R9, R10 = H, alkyl, cycloalkyl, etc.; R3 = H, alkyl; R11 = H, alkyl; R12 = H, alkyl] which are bactericidal to a Gram-pos. bacterium via a non-lytic mechanism at its MIC (data given), were prepared E.g., a multi-step synthesis of II, was given.
IT **218463-16-2P 218463-17-3P 218463-19-5P**
218463-32-2P 218463-49-1P 218463-50-4P
218463-51-5P 218463-52-6P 218463-53-7P
218463-54-8P 218463-55-9P 218463-56-0P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(preparation of quinolinylindole derivs. as antimicrobial agents)
RN 218463-16-2 CAPLUS
CN 1-Piperazineacetic acid, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-α-oxo-, methyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 19 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

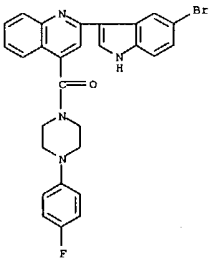


RN 218463-17-3 CAPLUS
CN Piperazine, 1-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)

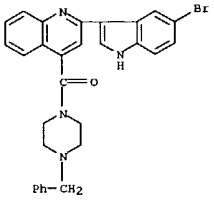


RN 218463-19-5 CAPLUS
CN Piperazine, 1-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-4-(4-fluorophenyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 19 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



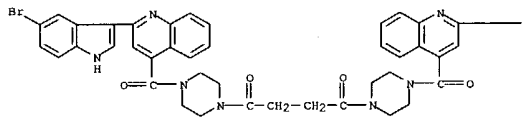
RN 218463-32-2 CAPLUS
CN Piperazine, 1-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-4-(phenylmethyl)- (9CI) (CA INDEX NAME)



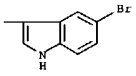
RN 218463-49-1 CAPLUS
CN Piperazine, 1,1'-(1,4-dioxo-1,4-butanediyl)bis[4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 19 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

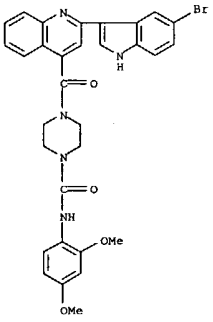
PAGE 1-A



PAGE 1-B

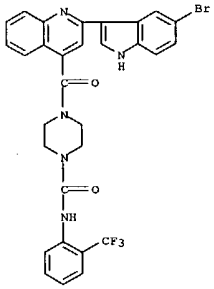


RN 218463-50-4 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-(2,4-dimethoxyphenyl)- (9CI) (CA INDEX NAME)

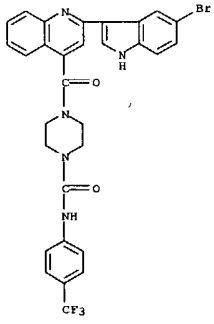


RN 218463-51-5 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 19 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

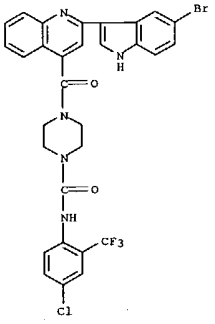


RN 218463-52-6 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

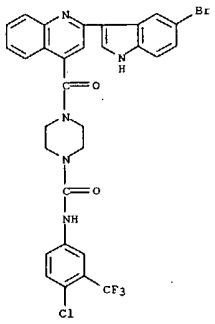


RN 218463-53-7 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-[4-chloro-2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

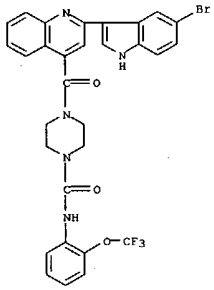
L4 ANSWER 19 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 218463-54-8 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-[4-chloro-3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



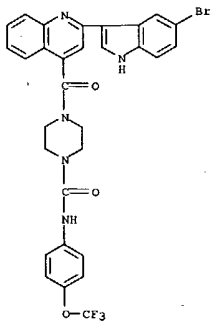
L4 ANSWER 19 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



REFERENCE COUNT: 42 THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 19 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 218463-55-9 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



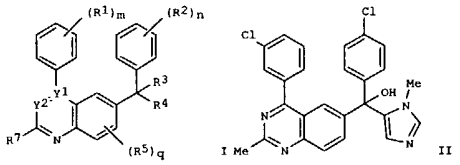
RN 218463-56-0 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-[2-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 20 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:	2002:240759 CAPLUS
DOCUMENT NUMBER:	136:279469
TITLE:	Preparation of quinoline and quinazoline derivatives as farnesyl transferase inhibitors for treatment of tumors and proliferative diseases
INVENTOR(S):	Angibaud, Patrick Rene; Venet, Marc Gaston; Pilatte, Isabelle Noelle Constance
PATENT ASSIGNEE(S):	Janssen Pharmaceutica N.V., Belg.
SOURCE:	PCT Int. Appl., 66 pp. CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	1
PATENT INFORMATION:	

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002024682	A1	20020328	WO 2001-EP10867	20010918
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1322635	A1	20030702	EP 2001-974271	20010918
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004509883	T2	20040402	JP 2002-529092	20010918
AU 2001093826	A5	20020402	AU 2001-93826	20020402
US 2003203904	A1	20031030	US 2003-381363	20030324
PRIORITY APPLN. INFO.:			EP 2000-203365 A	20000925
			WO 2001-EP10867 W	20010918

OTHER SOURCE(S): MARPAT 136:279469
GI



AB Title compds. I [wherein m and n = independently 0-5; q = 0-3; Y1Y2 = C:N or C:CR9; C9 = H, halo, CN, (cyclo)alkyl, hydroxyalkyl, alkoxy(alkyl), aminoalkyl, (amino)alkenyl, (amino)alkynyl, halocarbonyl, hydroxycarbonyl,

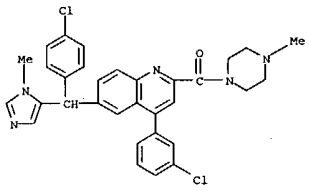
L4 ANSWER 20 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

alkoxycarbonyl, aryl, (un)substituted amino or carbamoyl, etc.; R1 and R2= independently azido, OH, halo, CN, NO2, trihalomethyl, alkoxy, aryloxy, heterocyclyloxy, alkylthio, or (un)substituted (cyclo)alkyl, alkenyl, alkynyl, carbamoyl, amino, sulfamoyl, etc.; or R1R2 = OCH2O, OCH2CH2O, OCH:CH, OCH2CH2, OCH2CH2CH2, CH:CHCH:CH; R3 = H, halo, CN, alkenyl, alkynyl, hydroxycarbonyl, alkoxycarbonyl, aryl, heterocyclyl, alkoxy, alkylthio, (un)substituted (cyclo)alkyl or amino, etc.; R4 = (un)substituted imidazolyl, triazolyl, or pyridyl; R5 = CN, OH, halo, alkenyl, alkynyl, hydroxycarbonyl, alkoxycarbonyl, or (un)substituted (cyclo)alkyl, alkoxy, amino, or carbamoyl, etc.; R7 = halo or (un)substituted (cyclo)alkyl, alkenyl, alkynyl, alkylthio, carboxy, carbamoyl, acyl(amino), etc.; or pharmaceutically acceptable salts, N-oxides, or stereochem. isomeric forms thereof] were prepd. For example, N-[2-(3-chlorobenzoyl)-4-(4-chlorobenzoyl)phenyl]acetamide was cyclized with NH3 in i-PrOH to give (4-chlorophenyl)[4-(3-chlorophenyl)-2-methyl-6-quinazolinyl]methanone (36%). Addn. of 1-methyl-1H-imidazole in the presence of BuLi and SiEt3Cl in THF afforded II (40%). I have potent farnesyl transferase inhibitory effect and are useful for inhibiting proliferative diseases and growth of tumors expressing an activated ras oncogene (no data).

IT **405549-30-6P**, 1-[4-(3-Chlorophenyl)-6-[(4-chlorophenyl)(1-methyl-1H-imidazol-5-yl)methyl]-2-quinolinecarbonyl]-4-methylpiperazine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(farnesyl transferase inhibitor; preparation of quinoline and quinazoline derivs. as farnesyl transferase inhibitors for treatment of tumors and proliferative diseases)

RN 405549-30-6 CAPLUS

CN Piperazine, 1-[[4-(3-chlorophenyl)-6-[(4-chlorophenyl)(1-methyl-1H-imidazol-5-yl)methyl]-2-quinolinyl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 21 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2002:185086 CAPLUS
DOCUMENT NUMBER: 136:247505
TITLE: Preparation of aminoquinolines as inhibitors of cGMP phosphodiesterase
INVENTOR(S): Bi, Yingzhi; Yu, Guixue; Rotella, David P.; Macor, John E.
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 96 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

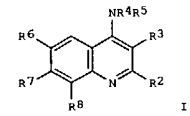
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002020489	A2	20020314	WO 2001-US26130	20010821
WO 2002020489	A3	20020606		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2002177587	A1	20021128	US 2001-933066	20010820
US 6576644	B2	20030610		
AU 2001085163	A5	20020322	AU 2001-85163	20010821
JP 2004527459	T2	20040909	JP 2002-525111	20010821
US 2003225128	A1	20031204	US 2003-412969	20030414

PRIORITY APPLN. INFO.: US 2000-230267P P 20000906
US 2001-933066 A3 20010820
WO 2001-US26130 W 20010821

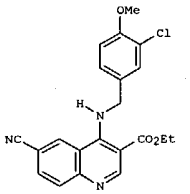
OTHER SOURCE(S): MARPAT 136:247505
GI

L4 ANSWER 20 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

L4 ANSWER 21 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



I

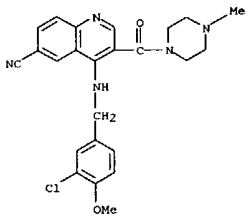


II

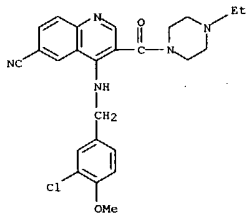
AB Title compds. I [R2, R6, R7, and R8 = independently H, halo, (un)substituted alkyl, alkoxy, nitro, etc.; R4 and R5 = independently H, (un)substituted alkyl, cycloalkyl, aryl, or heteroaryl with provision R4 and R5 are not both H; R3 = (CH2)zY, wherein z = 0-3 and Y is independently selected from (un)substituted imidazole, triazole, OR9, CO2R9, CH(CO2R9)2, NR10R11, NR10CONR11R12, etc.; or R4 and R5 together with Y form a heterocyclic ring; R9 = H, OH, (un)substituted alkyl, alkoxy, aryl, heteroaryl, etc.; R10, R11 and R12 = independently H, (un)substituted alkyl, alkoxy, cycloalkyl, heterocyclo, heteroaryl, etc.; or R10 forms a 3-7 membered heterocyclo ring with R11 or R12, or R11 forms a 3-7 membered ring with R12] are prepared and disclosed as inhibitors of cGMP PDE, especially type 5. Thus, II was prepared via substitution of 4-chloro-6-cyanoquinoline-3-carboxylic acid Et ester with 3-chloro-4-methoxybenzylamine hydrochloride (97% yield). As inhibitors of cGMP phosphodiesterase, I are useful in treatment of cardiovascular disorders, diabetes, gastrointestinal disorders and sexual dysfunction, in particular erectile dysfunction (no data).

IT **403839-27-0P 403839-34-9P 403839-35-0P**
403839-36-1P 403839-42-9P 403839-43-0P
403839-44-1P 403839-45-2P 403839-46-3P
403839-47-4P 403839-49-6P 403840-16-4P
403840-17-5P 403840-18-6P 403840-20-0P
403840-21-1P 403840-23-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(target compound; preparation of aminoquinolines as inhibitors of cGMP

L4 ANSWER 21 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
phosphodiesterase)
RN 403839-27-0 CAPLUS
CN Piperazine, 1-[[4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-6-cyano-3-quinolinyl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)

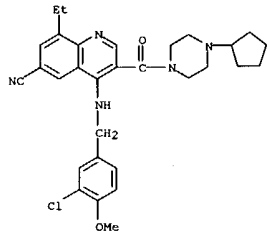


RN 403839-34-9 CAPLUS
CN Piperazine, 1-[[4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-6-cyano-3-quinolinyl]carbonyl]-4-ethyl- (9CI) (CA INDEX NAME)

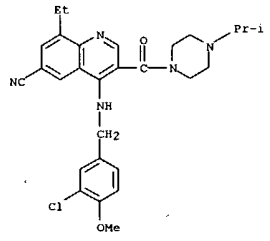


RN 403839-35-0 CAPLUS
CN Piperazine, 1-[[4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-6-cyano-3-quinolinyl]carbonyl]-4-cyclopentyl- (9CI) (CA INDEX NAME)

L4 ANSWER 21 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

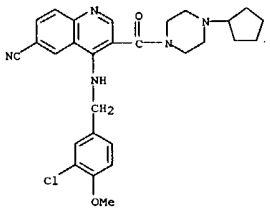


RN 403839-43-0 CAPLUS
CN Piperazine, 1-[[4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-6-cyano-8-ethyl-3-quinolinyl]carbonyl]-4-(1-methylethyl)- (9CI) (CA INDEX NAME)

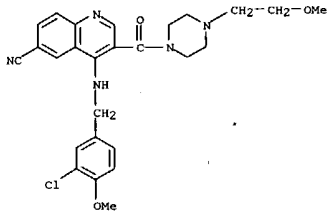


RN 403839-44-1 CAPLUS
CN Piperazine, 1-[[4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-6-cyano-3-quinolinyl]carbonyl]-4-(1-methylethyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 21 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

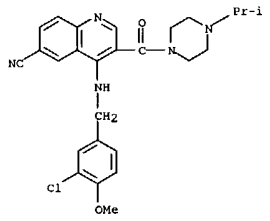


RN 403839-36-1 CAPLUS
CN Piperazine, 1-[[4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-6-cyano-3-quinolinyl]carbonyl]-4-(2-methoxyethyl)- (9CI) (CA INDEX NAME)

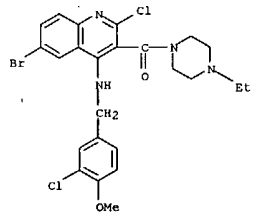


RN 403839-42-9 CAPLUS
CN Piperazine, 1-[[4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-6-cyano-8-ethyl-3-quinolinyl]carbonyl]-4-cyclopentyl- (9CI) (CA INDEX NAME)

L4 ANSWER 21 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

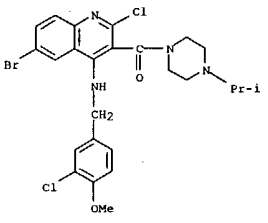


RN 403839-45-2 CAPLUS
CN Piperazine, 1-[[6-bromo-2-chloro-4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-3-quinolinyl]carbonyl]-4-ethyl- (9CI) (CA INDEX NAME)

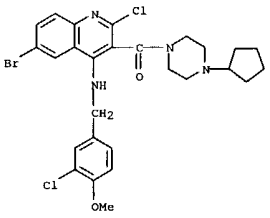


RN 403839-46-3 CAPLUS
CN Piperazine, 1-[[6-bromo-2-chloro-4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-3-quinolinyl]carbonyl]-4-(1-methylethyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 21 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

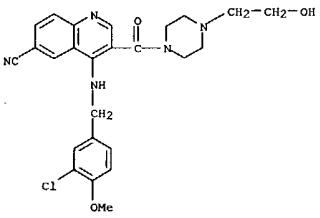


RN 403839-47-4 CAPLUS
CN Piperazine, 1-[[6-bromo-2-chloro-4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-3-quinolinyl]carbonyl]-4-cyclopentyl- (9CI) (CA INDEX NAME)

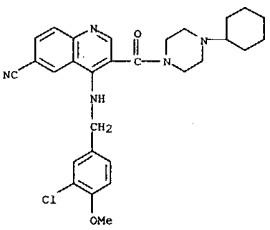


RN 403839-49-6 CAPLUS
CN Piperazine, 1-[[6-bromo-2-chloro-4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-3-quinolinyl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)

L4 ANSWER 21 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

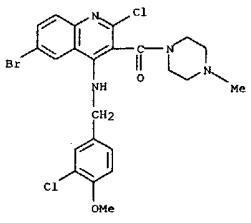


RN 403840-10-6 CAPLUS
CN Piperazine, 1-[[4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-6-cyano-3-quinolinyl]carbonyl]-4-cyclohexyl- (9CI) (CA INDEX NAME)

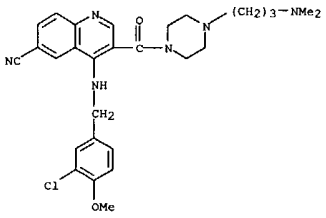


RN 403840-20-0 CAPLUS
CN Piperazine, 1-[[4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-6-cyano-3-quinolinyl]carbonyl]-4-(2-pyridinyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 21 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

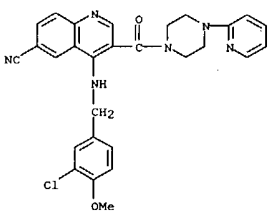


RN 403840-16-4 CAPLUS
CN 1-Piperazinepropanamine, 4-[[4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-6-cyano-3-quinolinyl]carbonyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

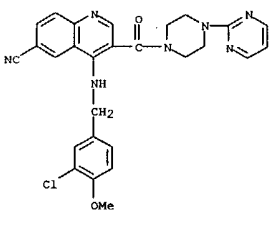


RN 403840-17-5 CAPLUS
CN 1-Piperazineethanol, 4-[[4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-6-cyano-3-quinolinyl]carbonyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 21 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

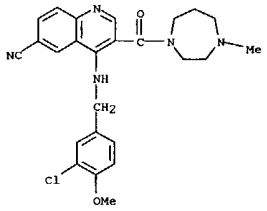


RN 403840-21-1 CAPLUS
CN Piperazine, 1-[[4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-6-cyano-3-quinolinyl]carbonyl]-4-(2-pyrimidinyl)- (9CI) (CA INDEX NAME)



RN 403840-23-3 CAPLUS
CN 1H-1,4-Diazepine, 1-[[4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-6-cyano-3-quinolinyl]carbonyl]hexahydro-4-methyl- (9CI) (CA INDEX NAME)

L4 ANSWER 21 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



L4 ANSWER 22 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN

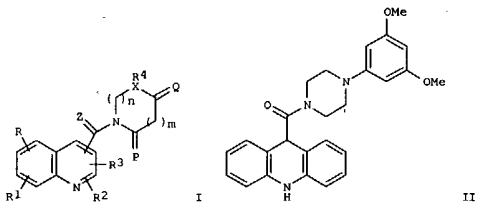
ACCESSION NUMBER: 2002:90012 CAPLUS
DOCUMENT NUMBER: 136:134790
TITLE: Preparation of quinolylcarbonylpiperazines and related compounds for treatment of tumors.
INVENTOR(S): Emig, Peter; Guenther, Eckhard; Schmidt, Juergen; Nickel, Bernd; Kutscher, Bernhard
PATENT ASSIGNEE(S): Zentaris A.-G., Germany
SOURCE: PCT Int. Appl., 44 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002008192	A1	20020131	WO 2001-EP8261	20010718
W: AU, BG, BR, BY, CN, CO, CZ, EE, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LT, LV, MK, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TR, UA, UZ, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
DE 10035928	A1	20020307	DE 2000-10035928	20000721
EP 1305290	A1	20030502	EP 2001-957978	20010718
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001012589	A	20030520	BR 2001-12589	20010718
JP 2004504381	T2	20040212	JP 2002-514099	20010718
CA 2353369	AA	20020121	CA 2001-2353369	20010720
US 2002103214	A1	20020801	US 2001-910141	20010720
ZA 2002010180	A	20030212	ZA 2002-10180	20021217
NO 2003000298	A	20030120	NO 2003-298	20030120
BG 107508	A	20030930	BG 2003-107508	20030130
US 2004097530	A1	20040520	US 2003-713859	20031114
US 2004132747	A1	20040708	US 2003-741310	20031219

PRIORITY APPLN. INFO.:
DE 2000-10035928 A 20000721
WO 2001-EP8261 W 20010718
US 2001-910141 A3 20010720

OTHER SOURCE(S): MARPAT 136:134790
GI

L4 ANSWER 22 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

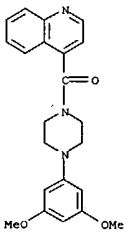


I II

AB Title compds. [I; R-R3 = H, alkyl, cycloalkyl, alkylcarbonyl, alkoxy, halo, aralkoxy, NO2, amino, cyano, CO2H, CF3, etc.; RR1, R2R3 = atoms to form condensed 6-membered aromatic rings; Z = O, S; X = N, CR5; R5 = H, alkyl; R4 = (substituted) (unsatd.) alkyl, aryl, aralkyl, etc.; P, Q = O, H2; m, n = 0-3], were prepared Thus, quinoline-4-carboxylic acid in DMF was treated with N-methylmorpholine, Py-BOP (1-benzotriazolyltripyrrolidinophosphoniumhexafluorophosphate), and 1-(3,5-dimethoxyphenyl)piperazine in DMF. The mixture was stirred 12 h to give 78.3% 1-(3,5-dimethoxyphenyl)-4-(4-quinolylcarbonyl)piperazine. Title compound (II) (D-43411) showed antiproliferative activity with IC50 <0.0003 μg/mL against SKOV-3 tumor cells.

IT **393111-09-6P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinolylcarbonylpiperazines for treatment of tumors)

RN 393111-09-6 CAPLUS
CN Piperazine, 1-(3,5-dimethoxyphenyl)-4-(4-quinolinylcarbonyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 22 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

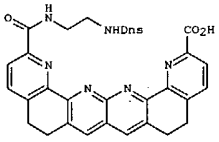
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 23 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:51477 CAPLUS
DOCUMENT NUMBER: 136:115097
TITLE: Methods and kits and arginine compound-recognizing substances for the detection of arginine compounds in body samples
INVENTOR(S): Kaddurah-Daouk, Rima; Bell, Thomas W.; Khasanov, Alisher B.
PATENT ASSIGNEE(S): Fal Diagnostics, USA
SOURCE: PCT Int. Appl., 56 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002004465	A1	20020117	WO 2001-US21374	20010705
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 2002081626	A1	20020627	US 2001-900495	20010705
US 6720188	B2	20040413		
EP 1299398	A1	20030409	EP 2001-953421	20010705
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004502948	T2	20040129	JP 2002-509329	20010705

PRIORITY APPLN. INFO.: US 2000-216180P P 20000706
WO 2001-US21374 W 20010705

GI

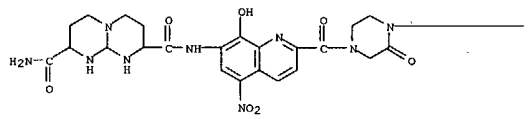


I

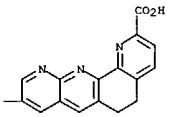
AB Methods and kits for determine arginine compds. are discussed. The methods and

L4 ANSWER 23 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
kits of the invention can be used for the diagnosis of arginine compd. assocd. disorders. ACRSA (I) (prepd. from quinaldine and dansyl chloride)
detected arginine by an increase in the intensity of ACRSA's fluorescence spectra.
IT **389623-58-9D**, compds.
RL: ARG (Analytical reagent use); DGN (Diagnostic use); ANST (Analytical study); BIOL (Biological study); USES (Uses)
(as ADMA-recognizing substances; methods and kits and arginine compound-recognizing substances for detection of arginine compds. in body
samples)
RN 389623-58-9 CAPLUS
CN Pyrido[2,3-b][1,10]phenanthroline-2-carboxylic acid, 9-[4-[[7-[[[8-(aminocarbonyl)octahydro-2H-pyrimido[1,2-a]pyrimidin-2-yl]carbonyl]amino]-8-hydroxy-5-nitro-2-quinolinyl]carbonyl]-2-oxo-1-piperazinyl]-5,6-dihydro- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

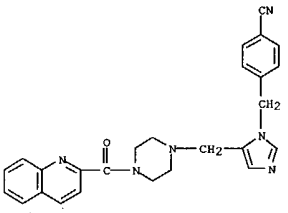
L4 ANSWER 24 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:247178 CAPLUS
DOCUMENT NUMBER: 134:275776
TITLE: Method using a geranylgeranyl-protein transferase inhibitor for preventing osteoporosis, pharmaceutical compositions, and compound preparation
INVENTOR(S): Reszka, Alfred A.; Rodan, Gideon A.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 210 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001022963	A1	20010405	WO 2000-US26357	20000925
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: US 1999-156234P P 19990927

OTHER SOURCE(S): MARPAT 134:275776
AB A method for preventing or inhibiting bone resorption in a mammal comprises administering to a mammal in need thereof a therapeutically effective amount of an inhibitor of geranylgeranyl-protein transferase type
I.
IT **290819-49-7**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(geranylgeranyl-protein transferase inhibitor for preventing bone resorption, pharmaceutical comps., and compound preparation)
RN 290819-49-7 CAPLUS
CN Piperazine, 1-[[1-[(4-cyanophenyl)methyl]-1H-imidazol-5-yl]methyl]-4-(2-quinolinylcarbonyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 24 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

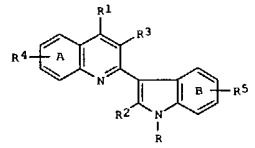
L4 ANSWER 25 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:222008 CAPLUS
DOCUMENT NUMBER: 134:252257
TITLE: Preparation of 2-(indolin-3-yl)quinoline derivatives and compositions in use as antimicrobial agents
INVENTOR(S): Cuny, Gregory D.; Hauske, James R.; Heefner, Donald L.; Hoemann, Michael Z.; Kumaravel, Gnanasambandam; Melikian-Badalian, Anita; Rossi, Richard F.
PATENT ASSIGNEE(S): Sepracor, Inc., USA
SOURCE: U.S., 112 pp., Cont.-in-part of U.S. Ser. No. 878,781, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6207679	B1	20010327	US 1998-45051	19980319
WO 9857931	A2	19981223	WO 1998-US12762	19980618
WO 9857931	A3	19990429		
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
EP 991623	A2	20000412	EP 1998-930396	19980618
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
US 6172084	B1	20010109	US 1998-99640	19980618
JP 2002505689	T2	20020219	JP 1999-504835	19980618
AU 757059	B2	20030130	AU 1998-79797	19980618
US 6103905	A	20000815	US 1998-213385	19981211
NO 9906269	A	20000216	NO 1999-6269	19991217
US 6376670	B1	20020423	US 2000-658690	20000908

PRIORITY APPLN. INFO.: US 1997-878781 B2 19970619
US 1998-45051 A2 19980319
US 1998-99640 A2 19980618
WO 1998-US12762 W 19980618
US 1998-213385 A1 19981211
US 2000-639622 A2 20000815

OTHER SOURCE(S): MARPAT 134:252257
GI

L4 ANSWER 25 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



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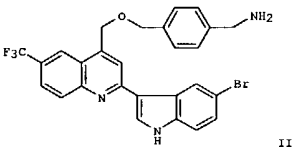
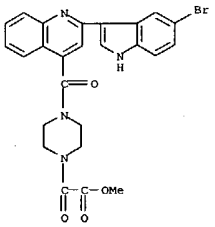


II

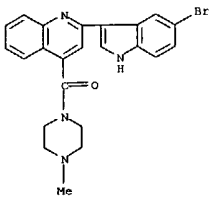
AB Title compds. I [wherein; R, R1, R2 and R3 are H, halo, alk(en)(yn)yl, OH, alkoxy, amino, nitro, SH, imine, amide, CO, -(CH2)0-8-R80, etc.; R4 is the same as R-R3 but not H; R5 is the same as R4 except that at least 1(-8) CH2 precede R80; A is (un)substituted with any number of R4 up to the number limited by stability and rules of valence; B is substituted with at least one instance of R5 up to the number limited by stability and rules of valence; R80 is (substituted) aryl, cycloalk(en)yl, heterocyclyl or polycyclyl.} and related quinoline derivs. are prepared as antimicrobial agents. For instance, synthesis of II is accomplished by alkylation of 4-hydroxymethyl-6-trifluoromethyl-2-(N-t-butoxycarbonylindol-3-yl)quinoline with (4-t-butoxycarbonylaminomethyl)benzyl iodide followed by deprotection. There are 282 examples of I provided. The min. inhibitory concentration (MIC) of I against at least one Gram-pos. bacterium is 0.1-10 μg/mL. Certain compds. of formula I have a therapeutic index in primates of at least 10 for the inhibition of infection by at least one Gram-pos. bacterium.

IT **218463-16-2P 218463-17-3P 218463-19-5P**
218463-32-2P 218463-49-1P 218463-50-4P
218463-51-5P 218463-52-6P 218463-53-7P
218463-54-8P 218463-55-9P 218463-56-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and use of quinolinylindole derivs. as antimicrobial agents)

RN 218463-16-2 CAPLUS
CN 1-Piperazineacetic acid, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-α-oxo-, methyl ester (9CI) (CA INDEX NAME)

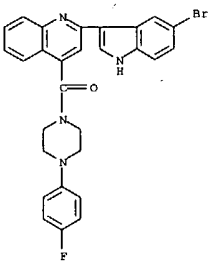


RN 218463-17-3 CAPLUS
CN Piperazine, 1-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)

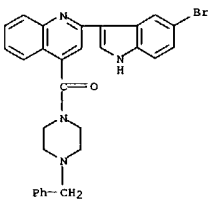


RN 218463-19-5 CAPLUS
CN Piperazine, 1-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-4-(4-fluorophenyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 25 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

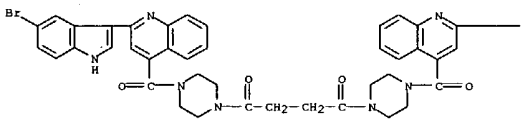


RN 218463-32-2 CAPLUS
CN Piperazine, 1-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-4-(phenylmethyl)- (9CI) (CA INDEX NAME)



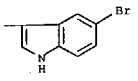
RN 218463-49-1 CAPLUS
CN Piperazine, 1,1'-(1,4-dioxo-1,4-butanediyl)bis[4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

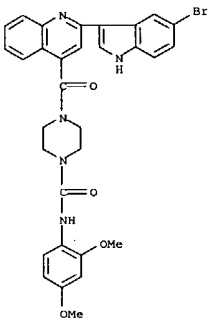


L4 ANSWER 25 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

PAGE 1-B

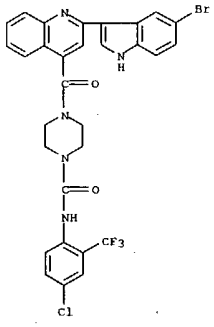


RN 218463-50-4 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-(2,4-dimethoxyphenyl)- (9CI) (CA INDEX NAME)



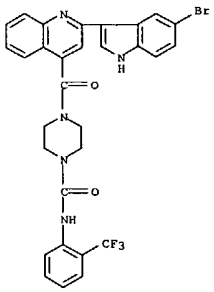
RN 218463-51-5 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 25 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-[4-chloro-2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

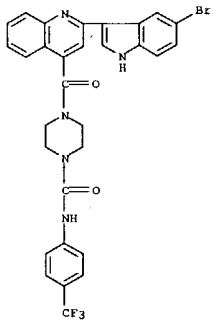


RN 218463-54-8 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-[4-chloro-3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 25 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

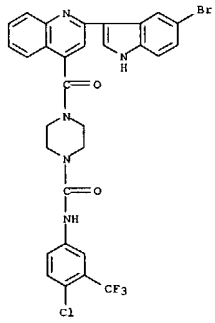


RN 218463-52-6 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

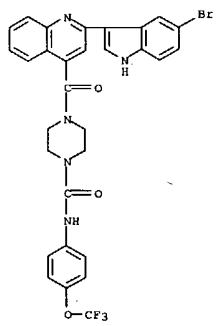


RN 218463-53-7 CAPLUS

L4 ANSWER 25 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

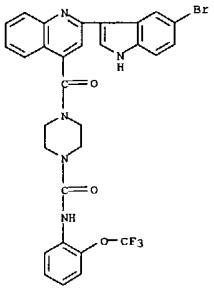


RN 218463-55-9 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



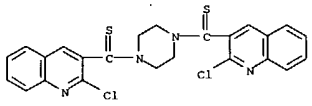
RN 218463-56-0 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-

L4 ANSWER 25 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
quinolinyl]carbonyl]-N-(2-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)

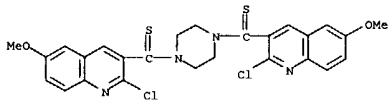


REFERENCE COUNT: 43 THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

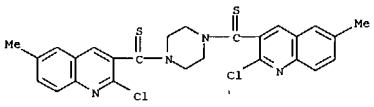
L4 ANSWER 26 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:170064 CAPLUS
DOCUMENT NUMBER: 134:340482
TITLE: Synthesis of 1,4-dithiocarbonyl piperazines under microwave irradiation in solvent-free conditions
AUTHOR(S): Gupta, Mukta; Paul, Satya; Gupta, Rajive
CORPORATE SOURCE: Department of Chemistry, University of Jammu, Jammu Tawi, 180 006, India
SOURCE: Synthetic Communications (2001), 31(1), 53-59
CODEN: SYNCAV; ISSN: 0039-7911
PUBLISHER: Marcel Dekker, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 134:340482
AB A series of 1,4-dithiocarbonyl piperazines were prepared from aldehydes, piperazine, and elementary sulfur under microwave irradiation in solvent-free
conditions. Nonthermal effect of microwave irradiation was studied. Thus,
reaction of piperazine with PhCHO in the presence of S in DMF under microwave irradiation gave 85% 1,4-bis(thiobenzoyl)piperazine.
IT **338458-10-9P 338458-11-0P 338458-12-1P**
338458-13-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of dithiocarbonyl piperazines under microwave irradiation in
solvent-free conditions)
RN 338458-10-9 CAPLUS
CN Piperazine, 1,4-bis[(2-chloro-3-quinolinyl)thioxomethyl]- (9CI) (CA INDEX
NAME)



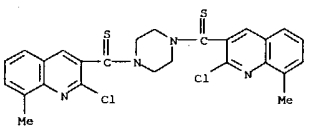
RN 338458-11-0 CAPLUS
CN Piperazine, 1,4-bis[(2-chloro-6-methoxy-3-quinolinyl)thioxomethyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 26 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
RN 338458-12-1 CAPLUS
CN Piperazine, 1,4-bis[(2-chloro-6-methyl-3-quinolinyl)thioxomethyl]- (9CI) (CA INDEX NAME)



RN 338458-13-2 CAPLUS
CN Piperazine, 1,4-bis[(2-chloro-8-methyl-3-quinolinyl)thioxomethyl]- (9CI) (CA INDEX NAME)

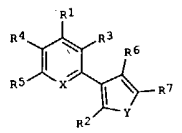


REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 27 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:25778 CAPLUS
DOCUMENT NUMBER: 134:86170
TITLE: Quinoline-indole antimicrobial agents
INVENTOR(S): Cuny, Gregory D.; Hauske, James R.; Heefner, Donald L.; Hoemann, Michael Z.; Kumaravel, Gnanasambandam; Melikian-badalian, Anita; Rossi, Richard F.
PATENT ASSIGNEE(S): Sepracor, Inc., USA
SOURCE: U.S., 151 pp., Cont.-in-part of U.S. Ser. No. 45,051.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6172084	B1	20010109	US 1998-99640	19980618
US 6207679	B1	20010327	US 1998-45051	19980319
US 6103905	A	20000815	US 1998-213385	19981211
US 6376670	B1	20020423	US 2000-658690	20000908
PRIORITY APPLN. INFO.:			US 1997-878781	B2 19970619
			US 1998-45051	A2 19980319
			US 1998-99640	A2 19980618
			US 1998-213385	A1 19981211
			US 2000-639622	A2 20000815

OTHER SOURCE(S): MARPAT 134:86170
GI



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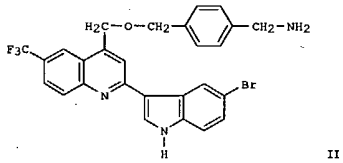


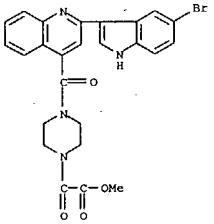
II

L4 ANSWER 27 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

AB Indolylquinolines I [X = N; Y = NR; R-R3 = independently H, halogen, alkyl, alkenyl, alkynyl, OH, alkoxy, silyloxy, NH2, NO2, SH, alkylthio, imino, amido, phosphoryl, phosphonate, phosphine, CO, CONH2, anhydride, silyl, alkylsulfonyl, arylsulfonyl, alkylseleno, aldehyde, ester, heteroalkyl, CN, guanidine, amidine, acetal, ketal, amine oxide, (hetero)aryl, azide, aziridine, carbamate, epoxide, C(:NH)OH, imide, oxime, SO2NH2, CSNH2, thiocarbamate, urea, thiourea, or (CH2)mR80; R4R5, R6R7 = atoms required to complete an (un)substituted fused benzo ring system; R80 = (un)substituted aryl, cycloalkyl, cycloalkenyl, heterocycle, or polycycle; m = 0-8] were prepared by conventional or combinatorial synthetic methods for use as bactericides. Thus, 4-H2NCH2C6H4CO2H was esterified, N-tert-butoxycarbonylated, reduced, and treated with iodine to give 4-BocNHCH2C6H4CH2I, which was coupled with the indolylquinolinemethanol fragment and deblocked to give the product II. II had MIC's <7 μg/mL against methicillin-resistant Staphylococcus aureus, vancomycin-resistant Enterobacter sp., and Streptococccus pneumoniae.

IT **218463-16-2P 218463-17-3P 218463-19-5P**
218463-32-2P 218463-49-1P 218463-50-4P
218463-51-5P 218463-52-6P 218463-53-7P
218463-54-8P 218463-55-9P 218463-56-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of indolylquinoline bactericides by conventional or combinatorial methods)

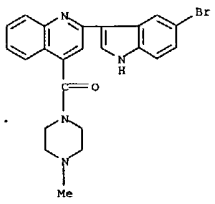
RN 218463-16-2 CAPLUS
CN 1-Piperazineacetic acid, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-α-oxo-, methyl ester (9CI) (CA INDEX NAME)



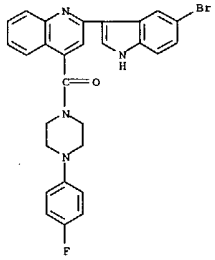
RN 218463-17-3 CAPLUS

L4 ANSWER 27 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

CN Piperazine, 1-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)

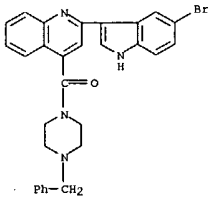


RN 218463-19-5 CAPLUS
CN Piperazine, 1-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-4-(4-fluorophenyl)- (9CI) (CA INDEX NAME)



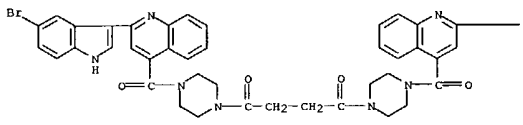
RN 218463-32-2 CAPLUS
CN Piperazine, 1-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-4-(phenylmethyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 27 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

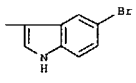


RN 218463-49-1 CAPLUS
CN Piperazine, 1,1'-(1,4-dioxo-1,4-butanediyl)bis[4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

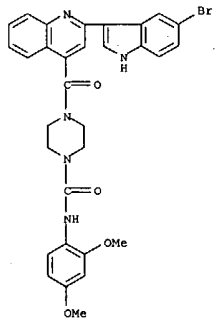


PAGE 1-B

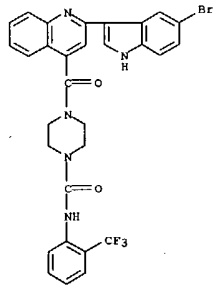


RN 218463-50-4 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-(2,4-dimethoxyphenyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 27 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

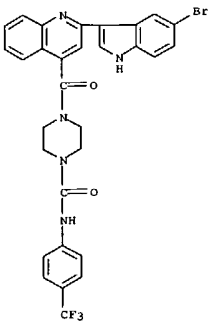


RN 218463-51-5 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



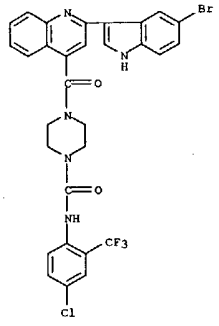
RN 218463-52-6 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 27 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



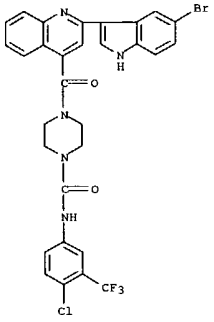
RN 218463-53-7 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-[4-chloro-2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 27 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

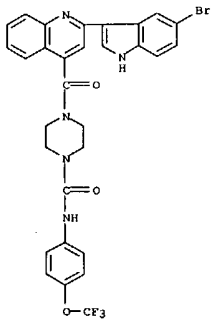


RN 218463-54-8 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-[4-chloro-3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 27 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

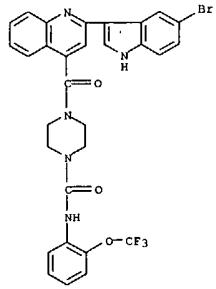


RN 218463-55-9 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



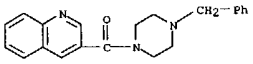
RN 218463-56-0 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-

L4 ANSWER 27 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
quinolinyl]carbonyl]-N-[2-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 28 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:825244 CAPLUS
DOCUMENT NUMBER: 134:147129
TITLE: A rapid approach for the optimization of polymer supported reagents in synthesis
AUTHOR(S): Jamieson, Craig; Congreve, Miles S.; Emiabata-Smith, David F.; Ley, Steven V.
CORPORATE SOURCE: Department of Chemistry, University of Cambridge, Cambridge, CB2 1EW, UK
SOURCE: Synlett (2000), (11), 1603-1607
CODEN: SYNLES; ISSN: 0936-5214
PUBLISHER: Georg Thieme Verlag
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 134:147129
AB The technique of Design of Expts. (DoE) was employed to facilitate the rapid automated optimization of amide formation using a polymer-supported carbodiimide system. Using an optimized set of reaction conditions, an array of 80 compds. was synthesized in a 96-well plate with the reagent being delivered via an IRORI kan to each individual well. The carbodiimide reagent is com. available (Argonaut Technologies); it is represented by chloromethylated styrene-bound 3-(cyclohexylazo)-1-propanol [i.e., N-cyclohexylcarbodiimide-N'-(propyloxy)methyl polystyrene (sic)].
IT **322763-78-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of amides by polymer-supported carbodiimide-mediated condensation of amines with carboxylic acids (optimization of polymer-supported reagents in synthesis))
RN 322763-78-0 CAPLUS
CN Piperazine, 1-(phenylmethyl)-4-(3-quinolinylcarbonyl)- (9CI) (CA INDEX NAME)



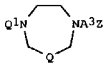
REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 29 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:627999 CAPLUS
DOCUMENT NUMBER: 133:222744
TITLE: Preparation of 1-acyl-4-cyanobenzylimidazolylmethylpiperazines and related compounds as inhibitors of prenyl-protein transferases.
INVENTOR(S): Stump, Craig A.; Williams, Theresa M.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 122 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000051614	A1	20000908	WO 2000-US5354	20000301
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2362495	AA	20000908	CA 2000-2362495	20000301
EP 1165084	A1	20020102	EP 2000-910386	20000301
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002538121	T2	20021112	JP 2000-602082	20000301

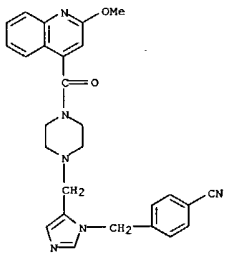
PRIORITY APPLN. INFO.: US 1999-122971P P 19990303
US 1999-127252P P 19990331
WO 2000-US5354 W 20000301

OTHER SOURCE(S): MARPAT 133:222744
GI



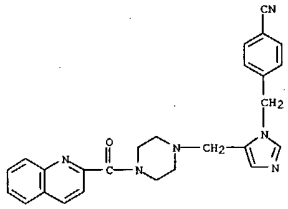
I

AB Title compds. I; R1a, R1b = H, aryl, heterocyclyl, cycloalkyl, alkenyl, alkynyl, (substituted) alkyl, etc.; R8 = H, (substituted) aryl, heterocyclyl, cycloalkyl, alkenyl, alkynyl, perfluoroalkyl, F, Cl, Br, N3, NO2, cyano, etc.; R9 = H, alkenyl, alkynyl, perfluoroalkyl, F, Cl, Br, (substituted) alkyl, etc.; A1, A2 = bond, CH:CH, C.tplbond.C, CO, O, S, SO, SO2, etc.; A3 = CO, S, SO, SO2; V = H, heterocyclyl, aryl, alkyl, alkenyl; W = heterocyclyl; Z = (substituted) aryl, heteroaryl; Q = (CH2)s;
Q1 = (R8)mVA1[C(R1a)2]nA2[C(R1a)2]nW(R9)q[C(R1b)2]p; m = 0-5; n, p = 0-4; q = 1, 2; s = 0, 1; with provisos, were prepd. Thus, 1-[1-(4-cyanobenzyl)imidazol-5-ylmethyl]piperazine trihydrochloride, 2-methoxyquinoline-4-carboxylic acid, EDC hydrochloride, hydroxybenzotriazole, and EtN(CHMe2)2 were stirred in DMF to give 4-[1-(4-cyanobenzyl)imidazol-5-ylmethyl]-1-(2-methoxyquinolin-4-oyl)piperazine trihydrochloride. Tested I inhibited human farnesyl protein transferase with IC50≤5 μM.
IT **290819-31-7P 290819-49-7P 290819-57-7P**
290819-80-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 1-acyl-4-cyanobenzylimidazolylmethylpiperazines and related compds. as inhibitors of prenyl-protein transferases)
RN 290819-31-7 CAPLUS
CN Piperazine, 1-[[1-[(4-cyanophenyl)methyl]-1H-imidazol-5-yl]methyl]-4-[(2-methoxy-4-quinolinyl)carbonyl]- (9CI) (CA INDEX NAME)

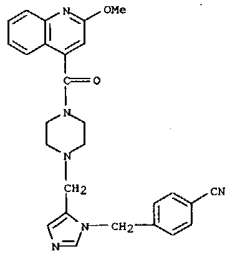


RN 290819-49-7 CAPLUS
CN Piperazine, 1-[[1-[(4-cyanophenyl)methyl]-1H-imidazol-5-yl]methyl]-4-(2-quinolinylcarbonyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 29 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



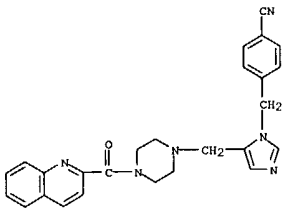
RN 290819-57-7 CAPLUS
CN Piperazine, 1-[[1-[(4-cyanophenyl)methyl]-1H-imidazol-5-yl]methyl]-4-[(2-methoxy-4-quinolinyl)carbonyl]-, trihydrochloride (9CI) (CA INDEX NAME)



●3 HCl

RN 290819-80-6 CAPLUS
CN Piperazine, 1-[[1-[(4-cyanophenyl)methyl]-1H-imidazol-5-yl]methyl]-4-(2-quinolinylcarbonyl)-, trihydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 29 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



● 3 HCl

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

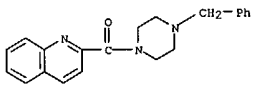
L4 ANSWER 30 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2000:595751 CAPLUS
DOCUMENT NUMBER: 133:290645
TITLE: Synthesis and antidepressant activity study of aryl and heteroaryl carboxamides of benzylpiperazine
AUTHOR(S): Younes-El Hage, S.; Labssita, Y.; Baziard-Mouysset, G.; Payard, M.; Caignard, D.-H.; Rubat, C.
CORPORATE SOURCE: Chim. Pharm., Fac. Pharm., Toulouse, F-31400, Fr.
SOURCE: Annales Pharmaceutiques Francaises (2000), 58(4), 254-259
CODEN: APFRAD; ISSN: 0003-4509
PUBLISHER: Masson Editeur
DOCUMENT TYPE: Journal
LANGUAGE: French

AB The synthesis of 44 original amide derivs. of benzylpiperazine and some analogs of befuraline and piberaline is reported. All compds. were tested for antidepressant activity and 3 appeared active.

IT **219744-25-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis and antidepressant activity of aryl and heteroaryl carboxamides of benzylpiperazine)

RN 219744-25-9 CAPLUS
CN Piperazine, 1-(phenylmethyl)-4-(2-quinolinylcarbonyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

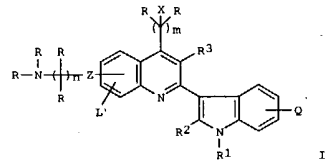
L4 ANSWER 31 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2000:568542 CAPLUS
DOCUMENT NUMBER: 133:150464
TITLE: Preparation of quinolinylindole derivatives and compositions in use as antimicrobial agents
INVENTOR(S): Cuny, Gregory D.; Hauske, James R.; Heefner, Donald L.; Hoemann, Michael Z.; Kumaravel, Gnanasambandam; Melikian-Badalian, Anita; Rossi, Richard F.; Xie, Roger L.
PATENT ASSIGNEE(S): Sepracor, Inc., USA
SOURCE: U.S., 228 pp., Cont.-in-part of U.S. Ser. No. 99,640.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6103905	A	20000815	US 1998-213385	19981211
US 6207679	B1	20010327	US 1998-45051	19980319
US 6172084	B1	20010109	US 1998-99640	19980618
WO 2000034265	A2	20000615	WO 1999-US28744	19991203
WO 2000034265	A3	20021003		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6376670	B1	20020423	US 2000-658690	20000908
PRIORITY APPLN. INFO.:			US 1997-878781	B2 19970619
			US 1998-45051	A2 19980319
			US 1998-99640	A2 19980618
			US 1998-213385	A 19981211
			US 2000-639622	A2 20000815

OTHER SOURCE(S): MARPAT 133:150464
GI

L4 ANSWER 31 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



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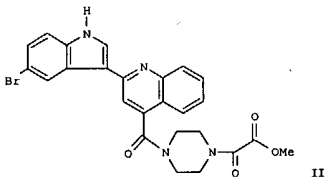


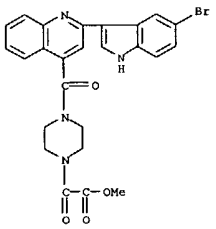
II

AB Title compds. [I; Q = hydrophobic group, H; X = heterocyclyl, amidinyl, formamidonyl, guanidinyl, CN, CSNR2, OR, SR; Z = CC, (E)-CH:CH, (Z)-CH:CH, (CH2)2; L = hydrophobic group, H; R represents independently for each occurrence = H, alkyl, heteroalkyl, aryl, heteroaryl, acyl, sulfonyl; R1 = H, alkyl, aryl, 4-CH3C6H4SO2, (CH2)d; d = 1-6; R2 = H, alkyl, aryl; R3 = H, alkyl, aryl; m = 1-8; n = 1-4] and pharmaceutical prepns. using title compds. are prepared as antimicrobial agents. The MIC value of I against at least one Gram-pos. bacterium ranged from 0.1-10 μg/mL. Thus, the title compound II was prepared and has a therapeutic index in primates of at least 10 for the inhibition of infection by at least one Gram-pos. bacterium.

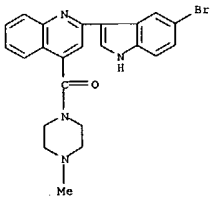
IT **218463-16-2P 218463-17-3P 218463-19-5P**
218463-32-2P 218463-49-1P 218463-50-4P
218463-51-5P 218463-52-6P 218463-53-7P
218463-54-8P 218463-55-9P 218463-56-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of quinolinylindole derivs. as antimicrobial agents)

RN 218463-16-2 CAPLUS
CN 1-Piperazineacetic acid, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-α-oxo-, methyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 31 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

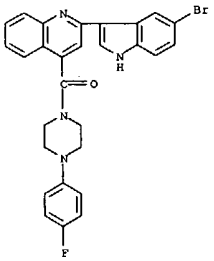


RN 218463-17-3 CAPLUS
CN Piperazine, 1-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)

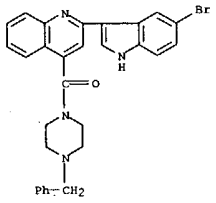


RN 218463-19-5 CAPLUS
CN Piperazine, 1-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-4-(4-fluorophenyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 31 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



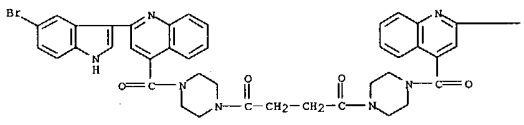
RN 218463-32-2 CAPLUS
CN Piperazine, 1-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-4-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 218463-49-1 CAPLUS
CN Piperazine, 1,1'-(1,4-dioxo-1,4-butanediyl)bis[4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 31 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

PAGE 1-A



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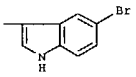
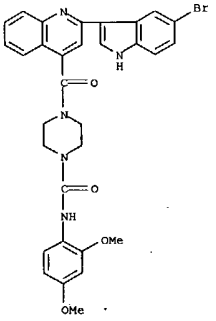
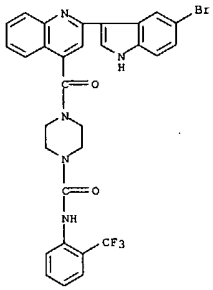


RN 218463-50-4 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-(2,4-dimethoxyphenyl)- (9CI) (CA INDEX NAME)

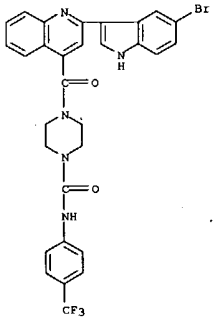


RN 218463-51-5 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 31 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

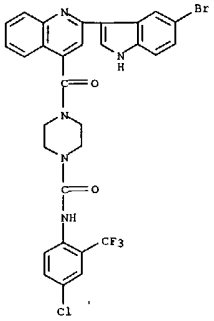


RN 218463-52-6 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

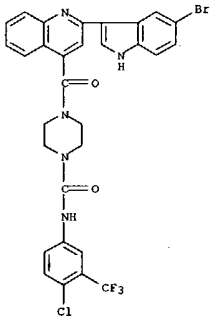


RN 218463-53-7 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-[4-chloro-2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

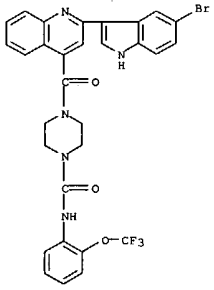
L4 ANSWER 31 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 218463-54-8 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-[4-chloro-3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



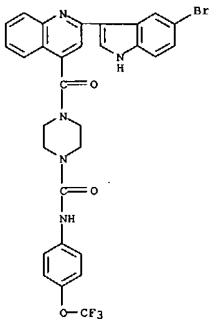
L4 ANSWER 31 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



REFERENCE COUNT: 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 31 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 218463-55-9 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



RN 218463-56-0 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-[2-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 32 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2000:401813 CAPLUS
DOCUMENT NUMBER: 133:43453
TITLE: Preparation of 2-(3-indolyl)quinolines as antibacterial agents
INVENTOR(S): Cuny, Gregory D.; Hauske, James R.; Heefner, Donald L.; Hoemann, Michael Z.; Kumaravel, Ghanasambandam; Melikian-Badalian, Anita; Rossi, Richard F.; Xie, Roger L.
PATENT ASSIGNEE(S): Sepracor, Inc., USA
SOURCE: PCT Int. Appl., 155 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000034265	A2	20000615	WO 1999-US28744	19991203
WO 2000034265	A3	20021003		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6103905	A	20000815	US 1998-213385	19981211
PRIORITY APPLN. INFO.:			US 1998-213385	A 19981211
			US 1997-878781	B2 19970619
			US 1998-45051	A2 19980319
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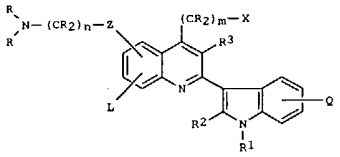
OTHER SOURCE(S): MARPAT 133:43453
GI

L4 ANSWER 32 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



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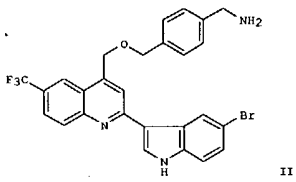


II

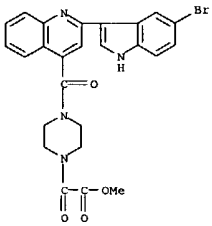
AB The title compds. (I) [wherein L and Q = independently a hydrophobic group or is absent; X = heterocyclyl, (form)amidinyl, guanidinyl, CN, C(S)NR2, N(R)C(S)R, OR, SR, NR2, or PR2; Z = C.tplbond.C, CH:CH, or CH2CH2; R = independently H, (hetero)alkyl, (hetero)aryl, acyl, sulfonyl, etc.; R1 = H, alkyl, aryl, p-toluenesulfonyl, phthalimidoalkyl, or aminoalkyl; R2 and R3 = independently H, alkyl, or acyl] were prepared by standard synthetic and solid phase combinatorial methods. For example, II was synthesized in a 3-step sequence involving: (1) reduction of 2-[5-bromo-1-(tert-butoxycarbonyl)indol-3-yl]-6-(trifluoromethyl)-4-quinolinecarboxylic acid to the alc. with LiAlH4 (44%), (2) addition of 4-iodo-N-(tert-butoxycarbonyl)benzylamine (preparation given) to the alc. (82%), and (3) indolyl and amine deprotection using TFA (78%). Nearly two-thirds of the 534 indolylquinolines tested in assays against cultures of methicillin-resistant Staphylococcus aureau (MRSA), ciprofloxacin-resistant Staphylococcus aureus (CRSA), vancomycin-resistant Enterococcus spp.(VRE), and/or penicillin-resistant Pseudomonas (PRP) had in vitro min. inhibitory concns. (MICs) ≤ 10 μM. For 12 of the 15 compds. tested in vivo for toxicity, all mice were surviving 7 days after administration of 40 mg/kg doses.

IT **218463-16-2P 218463-17-3P 218463-19-5P**
218463-32-2P 218463-49-1P 218463-50-4P
218463-51-5P 218463-52-6P 218463-53-7P

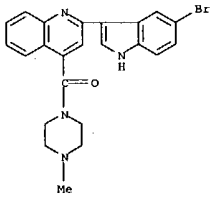
L4 ANSWER 32 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

218463-54-8P 218463-55-9P 218463-56-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 2-(3-indolyl)quinolines as antibacterial agents)

RN 218463-16-2 CAPLUS
CN 1-Piperazineacetic acid, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-α-oxo-, methyl ester (9CI) (CA INDEX NAME)

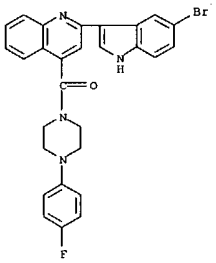


RN 218463-17-3 CAPLUS
CN Piperazine, 1-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)

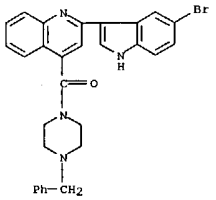


RN 218463-19-5 CAPLUS
CN Piperazine, 1-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-4-(4-fluorophenyl)- (9CI) (CA INDEX NAME)

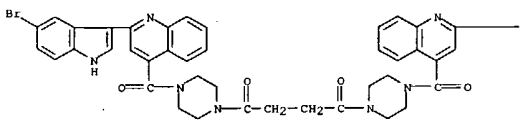
L4 ANSWER 32 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 218463-32-2 CAPLUS
CN Piperazine, 1-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-4-(phenylmethyl)- (9CI) (CA INDEX NAME)

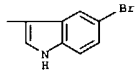


RN 218463-49-1 CAPLUS
CN Piperazine, 1,1'-(1,4-dioxo-1,4-butanediyl)bis[4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]- (9CI) (CA INDEX NAME)

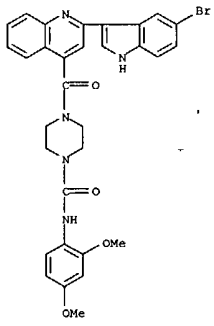


L4 ANSWER 32 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

PAGE 1-B

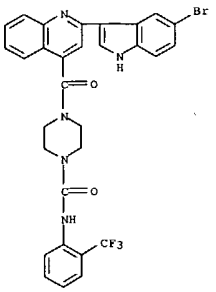


RN 218463-50-4 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-(2,4-dimethoxyphenyl)- (9CI) (CA INDEX NAME)

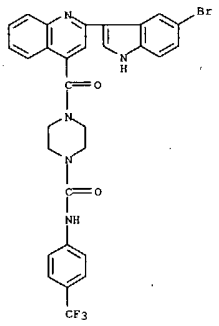


RN 218463-51-5 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 32 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

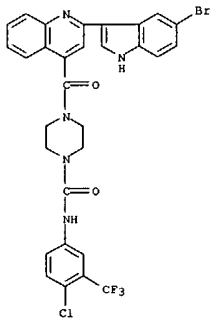


RN 218463-52-6 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

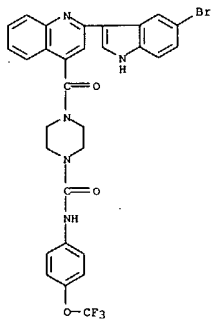


RN 218463-53-7 CAPLUS

L4 ANSWER 32 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

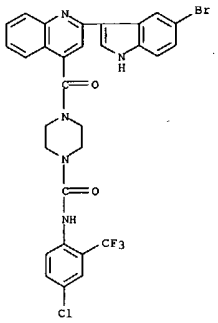


RN 218463-55-9 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



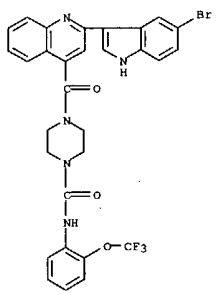
RN 218463-56-0 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-

L4 ANSWER 32 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-[4-chloro-2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 218463-54-8 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-[4-chloro-3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 32 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
quinolinyl]carbonyl]-N-[2-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



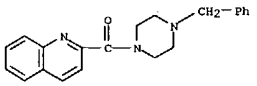
L4 ANSWER 33 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:184027 CAPLUS
DOCUMENT NUMBER: 132:321845
TITLE: Synthesis and structure-activity relationships of novel arylalkyl 4-benzylpiperazine derivatives as σ-site selective ligands
AUTHOR(S): Younes, Salome; Labssita, Youssef; Baziard-Mouysset, Genevieve; Payard, Marc; Rettori, Marie-Claire; Renard, Pierre; Pfeiffer, Bruno; Caignard, Daniel-Henri
CORPORATE SOURCE: Laboratoire de chimie pharmaceutique, faculte de pharmacie, Toulouse, 31062, Fr.
SOURCE: European Journal of Medicinal Chemistry (2000), 35(1), 107-121
CODEN: EJMCA5; ISSN: 0223-5234
PUBLISHER: Editions Scientifiques et Medicales Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Continuing our previous work that established that some chromones substituted by an arylalkyl piperazino alkyl side-chain are potent and selective σ ligands and could be interesting in the treatment of psychosis, we synthesized 60 new compds., replacing the chromone moiety by various cyclic systems. Many derivs. bind to the σ sites in the nanomolar range and are generally selective in comparison with 5HT1A and the D2 receptors. One of the most potent ligands of these series, 1-(2-naphthylmethyl)-4-benzylpiperazine, was studied in various pharmacol. tests. Although it does not have potential in the treatment of psychosis, the results we obtained confirm the data which indicates that such derivs. could be interesting in the treatment of inflammatory diseases.

IT **266674-17-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and structure-activity relationships of arylalkylated benzylpiperazines as σ-site selective ligands)

RN 266674-17-3 CAPLUS
CN Piperazine, 1-(phenylmethyl)-4-(2-quinolinylcarbonyl)-, dihydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 33 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

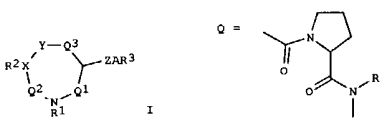


●2 HCl

L4 ANSWER 34 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:34889 CAPLUS
DOCUMENT NUMBER: 132:93658
TITLE: Preparation of amino acid and peptide derivatives as microbial efflux pump inhibitors.
INVENTOR(S): Chamberland, Suzanne; Ishida, Yohei; Lee, Ving J.; Leger, Roger; Nakayama, Kiyoshi; Ohta, Toshiharu; Ohtsuka, Masami; Renau, Thomas W.; Watkins, William J.; Zhang, Zhijia J.
PATENT ASSIGNEE(S): Microcide Pharmaceuticals, Inc., USA; Daiich Pharmaceutical Co., Ltd.
SOURCE: PCT Int. Appl., 387 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2000001714	A1	20000113	WO 1999-US14871		19990629
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
US 6399629	B1	20020604	US 1998-108906		19980701
AU 9952073	A1	20000124	AU 1999-52073		19990629
PRIORITY APPLN. INFO.:			US 1998-108906	A	19980701
			US 1998-87514P	P	19980601
			WO 1999-US14871	W	19990629

OTHER SOURCE(S): MARPAT 132:93658
GI



I

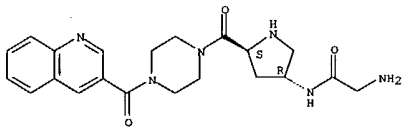
AB A method for treating a microbial infection comprises administration of title compds. [I; Q1 = (CH2)n1; Q2 = (CH2)n2; Q3 = (CH2)n3; n1 = 0, 1; n2 = 0-3; n3 = 0-2; n1+n2+n3 = 1-4; X = N, CR2a, CR2b; R2a = H, alkyl; R2b = OH, F; Y = bond, S, O, NR23; R23 = H, alkyl; R1, R2 = H, C(:NR)R', C(:NR)NR'R'', etc.; R, R', R'' = H, alkyl; Z = bond, (CHR4)nCONR4, Q,

L4 ANSWER 34 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
etc.; R4 = H, alkyl, aralkyl; n = 0-3; A = bond, (CHR5)nX1(CHR5)n; X1 = O, S, bond, cycloalkylene, heterocycloalkylene; R5 = H, alkyl; R3 = H, (substituted) aryl, tetrahydronaphthyl, indanyl, thienyl, furyl, pyridyl, quinolyl, cycloalkyl, etc.; with provisos]. Thus, 1-(trans-4-aminomethyl-L-prolyl]-4-(3-chloro-2-methylphenyl)piperazine (soln. phase prepn. given) at 2.5 μg/mL together with levofloxacin 0.25 μg/mL gave 100% inhibition of Pseudomonas aeruginosa PAM1001 growth.

IT **254881-29-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amino acid and peptide derivs. as microbial efflux pump inhibitors)

RN 254881-29-3 CAPLUS
CN Acetamide, 2-amino-N-[(3R,5S)-5-[[4-(3-quinolinylcarbonyl)-1-piperazinyl]carbonyl]-3-pyrrolidinyl]-, trihydrochloride (9CI) (CA INDEX NAME)

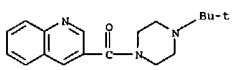
Absolute stereochemistry.



●3 HCl

IT **254883-32-4P 254883-35-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of amino acid and peptide derivs. as microbial efflux pump inhibitors)

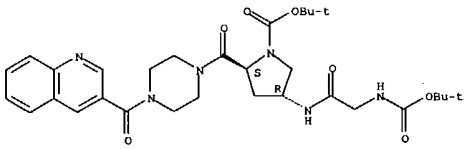
RN 254883-32-4 CAPLUS
CN Piperazine, 1-(1,1-dimethylethyl)-4-(3-quinolinylcarbonyl)- (9CI) (CA INDEX NAME)



RN 254883-35-7 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, [illegible]y)carbonyl]amino]ace

L4 ANSWER 34 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
tyl]amino]-2-[[4-(3-quinolinylcarbonyl)-1-piperazinyl]carbonyl]-, 1,1-dimethylethyl ester, (2S,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

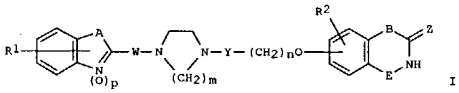
FORMAT

L4 ANSWER 35 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1999:64796 CAPLUS
DOCUMENT NUMBER: 130:110287
TITLE: Preparation of piperazine and homopiperazine derivatives having anti-histamine and anti-leukotriene activities
INVENTOR(S): Timmerman, Henk; Zang, Mingqiang; Onogi, Kazuhiro; Tamura, Masahiro; Tohma, Tsutomu; Wada, Yasushi
PATENT ASSIGNEE(S): Kowa Co., Ltd., Japan
SOURCE: PCT Int. Appl., 55 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9902520	A1	19990121	WO 1998-JP3054	19980707
W: JP, KR, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 957100	A1	19991117	EP 1998-929866	19980707
EP 957100	B1	20041027		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 3588126	B2	20041110	JP 1999-505455	19980707
US 6127360	A	20001003	US 1999-147777	19990305
PRIORITY APPLN. INFO.:			JP 1997-181196 A	19970707
			WO 1998-JP3054 W	19980707

OTHER SOURCE(S): MARPAT 130:110287
GI



AB Diamine derivs. represented by general formula (I) or salts thereof [wherein R1 represents H, OH, an aralkyloxy group, or a halogen atom; R2 represents H or a lower alkyl group; A represents C(R3):CH, CH:N, N(R4) (wherein R3 represents H or OH and R4 represents a lower alkyl group or an alkoxyalkyl group), O, or S; B represents a single bond, C(R5)(R6)(CH2)k (wherein R5 and R6 represent each H or a lower alkyl group and k is 0 to 2), S(O)qCH(R7), or CH:CH; E represents a single bond or (CH2)3; W and Y represent each CH2 or CO; Z represents O or S; p is 0 or 1; m is 2 or 3; and n is 1 to 4, provided that when B represents a single bond, E

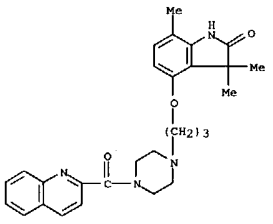
L4 ANSWER 35 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
represents (CH2)3, while when B represents a group other than a single bond, E represents a single bond]. The diamine derivs. or salts thereof have both anti-leukotriene and antihistaminic activities and are reduced in intracranial migration compared to terfenadine, thus being useful as pharmaceuticals, such as prophylactic and therapeutic agents for a wide range of allergic diseases such as asthma, allergic rhinitis, allergic dermatitis, allergic conjunctivitis, hives, and psoriasis. Thus, 5-(2-chloroethoxy)-3,3-dimethyl-2,3-dihydroindol-2-one and N-(2-quinolylmethyl)piperazine were stirred at 150° for 3 h to give 73% 3,3-dimethyl-5-(2-[4-(2-quinolylmethyl)-1-piperazinyl]ethoxy)-2,3-dihydro-1H-indol-2-one (II). II and 7-(3-[4-(2-quinolylmethyl)-1-piperazinyl]propoxy)-1,2-dihydroquinolin-2-one showed IC50 of 1.73+10-7 and 3.49+10-12 M, resp., for inhibiting the binding of [3H]mepyramine to histamine H1 receptor of guinea pig brain membrane protein (vs. 1.52+10-7 M for terfenadine) and 3.24+10-6 and 1.99+10-6 M, resp., for inhibiting the binding of [3H]LTD4 to LTD4 receptor of guinea pig lung protein.

IT **219743-65-4P 219743-67-6P 219743-69-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperazine and homopiperazine derivs. having anti-histamine and anti-leukotriene activities fro treatment of allergic diseases)

RN 219743-65-4 CAPLUS
CN Piperazine, 1-[3-[(2,3-dihydro-3,3,7-trimethyl-2-oxo-1H-indol-4-yl)oxy]propyl]-4-(2-quinolinylcarbonyl)-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 219743-64-3
CMF C28 H32 N4 O3

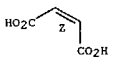


CM 2

CRN 110-16-7
CMF C4 H4 O4

L4 ANSWER 35 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

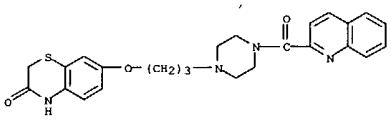
Double bond geometry as shown.



RN 219743-67-6 CAPLUS
CN Piperazine, 1-[3-[(3,4-dihydro-3-oxo-2H-1,4-benzothiazin-7-yl)oxy]propyl]-4-(2-quinolinylcarbonyl)-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

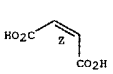
CRN 219743-66-5
CMF C25 H26 N4 O3 S



CM 2

CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.

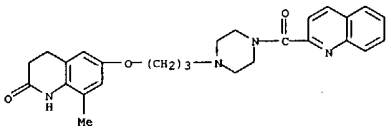


RN 219743-69-8 CAPLUS
CN Piperazine, 1-(2-quinolinylcarbonyl)-4-[3-[(1,2,3,4-tetrahydro-8-methyl-2-oxo-6-quinolinyl)oxy]propyl]-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 219743-68-7
CMF C27 H30 N4 O3

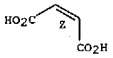
L4 ANSWER 35 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



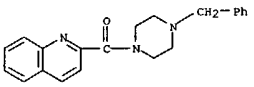
CM 2

CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.



IT **219744-25-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of piperazine and homopiperazine derivs. having anti-histamine and anti-leukotriene activities fro treatment of allergic diseases)
RN 219744-25-9 CAPLUS
CN Piperazine, 1-(phenylmethyl)-4-(2-quinolinylcarbonyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 36 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN

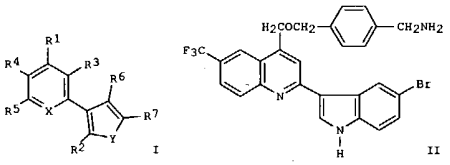
ACCESSION NUMBER: 1999:27676 CAPLUS
DOCUMENT NUMBER: 130:81422
TITLE: Quinoline-indole antimicrobial agents
INVENTOR(S): Kumaravel, Gnanasambandam; Hoemann, Michael Z.; Melikian-Badalian, Anita; Cuny, Gregory D.; Hauske, James R.; Heefner, Donald L.; Rossi, Richard F.
PATENT ASSIGNEE(S): Sepracor, Inc., USA
SOURCE: PCT Int. Appl., 146 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9857931	A2	19981223	WO 1998-US12762	19980618
WO 9857931	A3	19990429		
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 6207679	B1	20010327	US 1998-45051	19980319
EP 991623	A2	20000412	EP 1998-930396	19980618
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002505689	T2	20020219	JP 1999-504835	19980618
AU 757059	B2	20030130	AU 1998-79797	19980618
NO 9906269	A	20000216	NO 1999-6269	19991217

PRIORITY APPLN. INFO.: US 1997-878781 A 19970619
US 1998-45051 A2 19980319
WO 1998-US12762 W 19980618

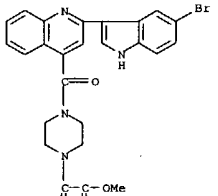
OTHER SOURCE(S): MARPAT 130:81422
GI

L4 ANSWER 36 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

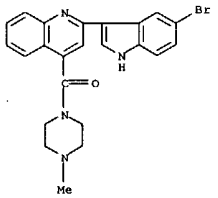


AB Indolylquinolines I [X = (un)substituted CH, N, N(O), P, As; Y = (un)substituted CH2, NH, O, Ph, S, AsH, Se; R1-R3 = H, halogen, alkyl, alkenyl, alkynyl, OH, alkoxy, silyloxy, NH2, NO2, SH, alkylthio, imino, amido, phosphoryl, phosphonate, phosphine, CO, CO2H, CONH2, anhydride, silyl, alkylsulfonyl, alkylseleno, aldehyde, ester, heteroalkyl, CN, epoxide, C(:NH)OH, oxime, SO2NH2, CSNH2, CS2NH2, urea, thiourea; R4R5, R6R7 = atoms required to complete a moncyclic or polycyclic ring system] were prepared individually or by combinatorial synthesis for use as bactericides. Thus, 4-H2NC6H4CO2H was esterified, N-tert-butoxycarbonylated, reduced and treated with iodine to give 4-BocNHC6H4CH2I which was coupled with the indolylquinolinemethanol fragment and deblocked to give the product II. II had MIC's <7 μg/mL against methicillin-resistant Staphylococcus aureus, vancomycin-resistant Enterobacter sp., and Streptococcus pneumoniae.
IT **218463-16-2P 218463-17-3P 218463-19-5P**
218463-32-2P 218463-49-1P 218463-50-4P
218463-51-5P 218463-52-6P 218463-53-7P
218463-54-8P 218463-55-9P 218463-56-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of indolylquinoline bactericides)
RN 218463-16-2 CAPLUS
CN 1-Piperazineacetic acid, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-α-oxo-, methyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 36 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

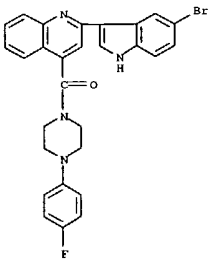


RN 218463-17-3 CAPLUS
CN Piperazine, 1-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)

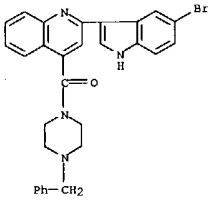


RN 218463-19-5 CAPLUS
CN Piperazine, 1-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-4-(4-fluorophenyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 36 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



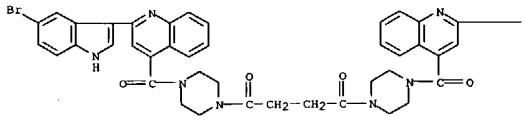
RN 218463-32-2 CAPLUS
CN Piperazine, 1-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-4-(phenylmethyl)- (9CI) (CA INDEX NAME)



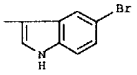
RN 218463-49-1 CAPLUS
CN Piperazine, 1,1'-(1,4-dioxo-1,4-butanediyl)bis[4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 36 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

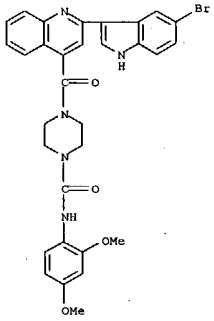
PAGE 1-A



PAGE 1-B

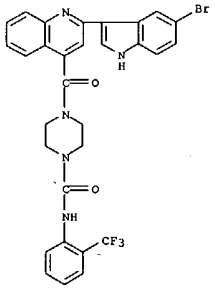


RN 218463-50-4 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-(2,4-dimethoxyphenyl)- (9CI) (CA INDEX NAME)

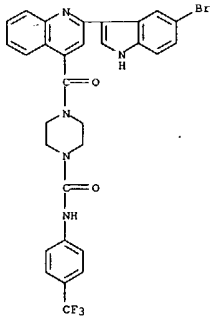


RN 218463-51-5 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-

L4 ANSWER 36 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
quinolinyl]carbonyl]-N-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

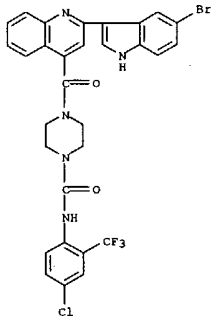


RN 218463-52-6 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

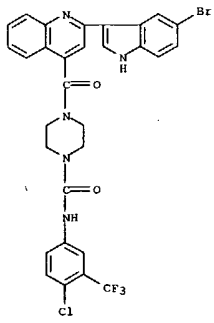


RN 218463-53-7 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-

L4 ANSWER 36 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
quinolinyl]carbonyl]-N-[4-chloro-2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

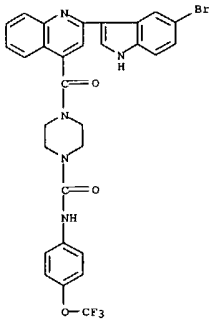


RN 218463-54-8 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-[4-chloro-3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



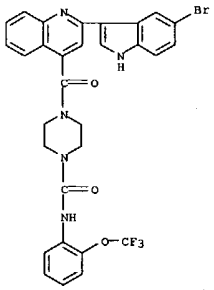
L4 ANSWER 36 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 218463-55-9 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



RN 218463-56-0 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-[2-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 36 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

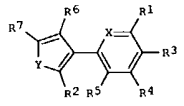


L4 ANSWER 37 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1999:9834 CAPLUS
DOCUMENT NUMBER: 130:81421
TITLE: Preparation of indolyl(iso)quinolines as bactericides
INVENTOR(S): Kumaravel, Gnanasambandam; Hoemann, Michael Z.; Melikian-Badalian, Anita; Cuny, Gregory D.; Hauske, James R.; Heefner, Donald L.; Rossi, Richard F.
PATENT ASSIGNEE(S): Sepracor Inc., USA
SOURCE: PCT Int. Appl., 138 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9857952	A1	19981223	WO 1998-US12706	19980618
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9882586	A1	19990104	AU 1998-82586	19980618
PRIORITY APPLN. INFO.:			US 1997-878781	A2 19970619
			WO 1998-US12706	W 19980618

OTHER SOURCE(S): MARPAT 130:81421
GI

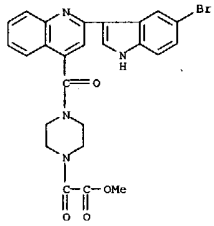


I

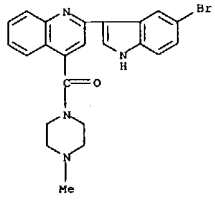
AB Title compds. [I; X = CR, N, NO, P, As; Y = CR2, NR, O, PR, S, AsR, Se; R,R1-R3 = H, halo, alkyl, alkoxy, etc.; R4R5,R6R7 = atoms to complete (un)substituted rings] were prepared Thus, solid-phase synthesis of a 1-(3-indolyl)isoquinoline-3-aminoalkylcarboxamide was described. Data for biol. activity of I were given.
IT **218463-16-2P 218463-17-3P 218463-19-5P 218463-32-2P 218463-49-1P 218463-50-4P 218463-51-5P 218463-52-6P 218463-53-7P 218463-54-8P 218463-55-9P 218463-56-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of indolyl(iso)quinolines as bactericides)

L4 ANSWER 37 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 218463-16-2 CAPLUS
CN 1-Piperazineacetic acid, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-α-oxo-, methyl ester (9CI) (CA INDEX NAME)

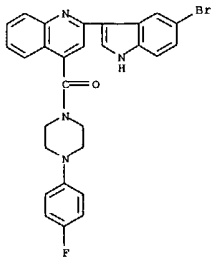


RN 218463-17-3 CAPLUS
CN Piperazine, 1-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)

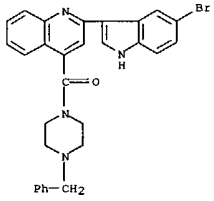


RN 218463-19-5 CAPLUS
CN Piperazine, 1-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-4-(4-fluorophenyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 37 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



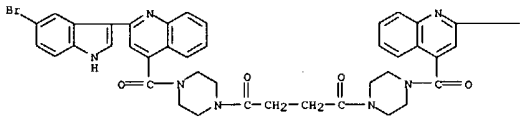
RN 218463-32-2 CAPLUS
CN Piperazine, 1-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-4-(phenylmethyl)- (9CI) (CA INDEX NAME)



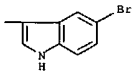
RN 218463-49-1 CAPLUS
CN Piperazine, 1,1'-(1,4-dioxo-1,4-butanediyl)bis[4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 37 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

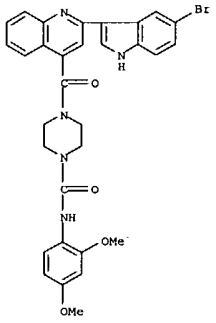
PAGE 1-A



PAGE 1-B

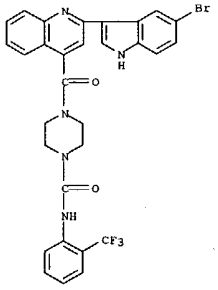


RN 218463-50-4 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-(2,4-dimethoxyphenyl)- (9CI) (CA INDEX NAME)

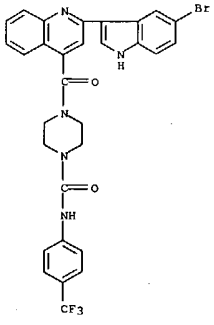


RN 218463-51-5 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-

L4 ANSWER 37 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
quinolinyl]carbonyl]-N-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

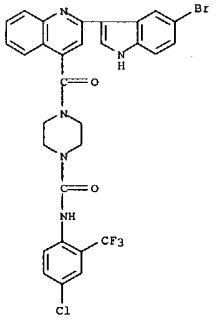


RN 218463-52-6 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

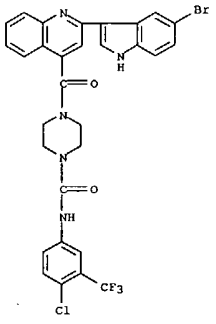


RN 218463-53-7 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-

L4 ANSWER 37 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
quinolinyl]carbonyl]-N-[4-chloro-2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

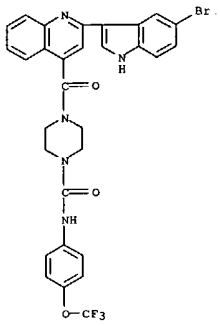


RN 218463-54-8 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-[4-chloro-3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



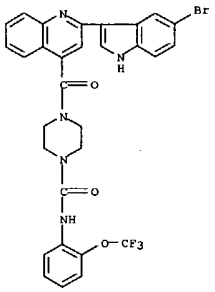
L4 ANSWER 37 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 218463-55-9 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



RN 218463-56-0 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-[2-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 37 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

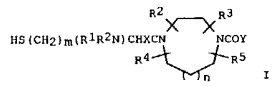
L4 ANSWER 38 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:	1998:220858 CAPLUS
DOCUMENT NUMBER:	128:270614
TITLE:	Preparation of acylpiperazines and related compounds as inhibitors of farnesyl-protein transferase.
INVENTOR(S):	Graham, Samuel L.; Williams, Theresa M.
PATENT ASSIGNEE(S):	Merck and Co., Inc., USA
SOURCE:	U.S., 50 pp., Cont.-in-part of U.S. Ser. No. 237,586, abandoned. CODEN: USXXAM
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5736539	A	19980407	US 1995-549829	19951116
WO 9500497	A1	19950105	WO 1994-US5634	19940519
W: AU, BB, BG, BR, BY, CA, CN, CZ, FI, GE, HU, JP, KG, KR, KZ, LK, LV, MD, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SI, SK, TJ, TT, UA, US, US, UZ				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
ZA 9404326	A	19951214	ZA 1994-4326	19940617
PRIORITY APPLN. INFO.:			US 1993-80028	19930618
			US 1994-237586	19940511
			WO 1994-US5634	19940519

OTHER SOURCE(S): MARPAT 128:270614
GI



AB Title compds. e.g., [I; X = O, H2; m = 1, 2; n = 0, 1; t = 1, 4; R, R1 = H, alkyl, aralkyl; R2-R5 = H, (substituted) alkyl, alkenyl, alkynyl, aryl, heterocyclyl, acyl; Y = (substituted) aryl, heterocyclyl], were prepared Thus, 1-[2(R)-amino-3-mercaptopropyl]-2(S)-[2-(3-pyridylmethoxy)ethyl]-4-(1-naphthoyl)piperazine trihydrochloride (preparation given) inhibited RAS farnesylation with IC50 = 1 nM.

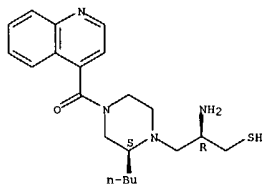
IT **169449-21-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of acylpiperazines and related compds. as inhibitors of farnesyl-protein transferase)

RN 169449-21-2 CAPLUS

L4 ANSWER 38 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

CN 1-Piperazinepropanethiol, β-amino-2-butyl-4-(4-quinolinylcarbonyl)-, trihydrochloride, [S-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



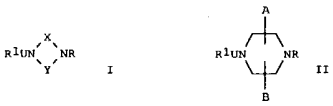
●3 HCl

REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 39 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:499179 CAPLUS
DOCUMENT NUMBER: 127:176441
TITLE: Preparation of N-heterocyclylalkyl- or N-[(polycyclyl)-alkyl]-N'-substituted piperazines as insecticides.
INVENTOR(S): Silverman, Ian R.; Ali, Syed F.; Cohen, Daniel H.; Lyga, John W.; Simmons, Kirk A.; Cullen, Thomas G.
PATENT ASSIGNEE(S): FMC Corp., USA
SOURCE: PCT Int. Appl., 59 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

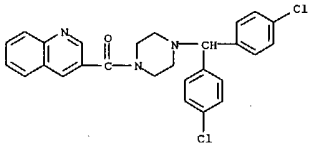
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9726252	A1	19970724	WO 1997-US804	19970115
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 2007	H1	20011204	US 1997-780371	19970109
AU 9715809	A1	19970811	AU 1997-15809	19970115
PRIORITY APPLN. INFO.:			US 1996-10237P	P 19960119
			US 1997-780371	A 19970109
			WO 1997-US804	W 19970115

OTHER SOURCE(S): MARPAT 127:176441
GI



AB Title compds. [I; A, B = alkyl; U = alkylene, alkenylene, CHZ; Z = H, alkyl, cycloalkyl, Ph; R = (substituted) Ph, dibenzocycloalkyl, etc.; R1 = (substituted) Ph, naphthyl, tetrazolylphenyl, benzothienyl, benzimidazolyl, indolyl, pyrrolyl, quinolinyl, etc.; X = (CH2)m; Y = (CH2)n; m = 2,3; n = 1-3], were prepared Thus, reaction of N-[bis(4-trifluoromethylphenyl)methyl]piperazine and 4-(pyrid-2-

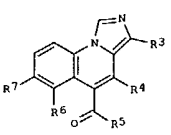
L4 ANSWER 39 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
yloxy)benzyl chloride in Me2SO contg. NaI and diisopropylethylamine gave N-[4-(pyrid-2-yloxy)phenylmethyl]-N'-[bis(4-trifluoromethylphenyl)methyl]piperazine. The latter at 50 micromolar in feed gave 100% inhibition of the growth of tobacco budworms.
IT **194017-29-3P**
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-heterocyclylalkyl- or N-[(polycyclyl)-alkyl]-N'-substituted piperazines as insecticides)
RN 194017-29-3 CAPLUS
CN Piperazine, 1-[bis(4-chlorophenyl)methyl]-4-(3-quinolinylcarbonyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 40 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:178811 CAPLUS
DOCUMENT NUMBER: 126:171597
TITLE: Preparation of imidazo[1,5-a]quinolines as neuroprotective agents
INVENTOR(S): Carter, Donald B.
PATENT ASSIGNEE(S): Upjohn Co., USA; Carter, Donald B.
SOURCE: PCT Int. Appl., 94 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

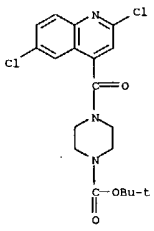
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9700074	A1	19970103	WO 1996-US7952	19960531
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR				
AU 9660259	A1	19970115	AU 1996-60259	19960531
EP 833638	A1	19980408	EP 1996-917855	19960603
EP 833638	B1	20011121		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI				
US 5935970	A	19990810	US 1996-657119	19960603
JP 2001518056	T2	20011009	JP 1997-503090	19960603
AT 209036	E	20011215	AT 1996-917855	19960603
ES 2167569	T3	20020516	ES 1996-917855	19960603
PRIORITY APPLN. INFO.:			US 1995-246P	P 19950615
			WO 1996-US7952	W 19960531

OTHER SOURCE(S): MARPAT 126:171597
GI

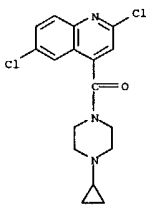


AB The title compds. [I; R3 = COOH, COOC1-6 alkyl, (un)substituted Ph, etc.; R4 = H, C1-4 alkyl, CF3; R5 = C1-6 alkyl, pyrrolidino, morpholino, etc.; R6, R7 = H, halo, CN, etc.], useful in treating chronic neurodegenerative diseases such as amyotrophic lateral sclerosis, Parkinson's disease, dementia of the Alzheimer type, Wilson's disease, Huntington's disease,

L4 ANSWER 40 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
Guam degeneration (Lytico Bodig), progressive supranuclear palsy, Pick's disease, Hallervorden-Spatz syndrome, Creutzfeld-Jacob disease, Gerstmann-Straussler Scheinker syndrome, Kuru and corticobasal ganglionic degeneration, were prepd. Thus, treatment of pyrrolidino 2-hydroxyquinoline-4-carboxamide in DMF with tBuOK/THF followed by addn. of di-Et chlorophosphate, 3-isocyanomethyl-5-cyclopropyl-1,2,4-oxadiazole, and tBuOK/THF afforded I [R3 = 5-cyclopropyl-1,2,4-oxadiazol-3-yl; R4, R6, R7 = H; R5 = pyrrolidino]. Compds. I are effective at 1-4 mg/kg/day.
IT **170568-75-9P 170568-77-1P 170568-78-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of imidazo[1,5-a]quinolines as neuroprotective agents)
RN 170568-75-9 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[(2,6-dichloro-4-quinolinyl)carbonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



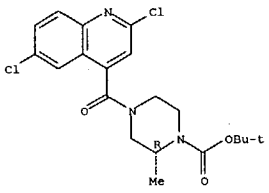
RN 170568-77-1 CAPLUS
CN Piperazine, 1-cyclopropyl-4-[(2,6-dichloro-4-quinolinyl)carbonyl]- (9CI) (CA INDEX NAME)



RN 170568-78-2 CAPLUS

L4 ANSWER 40 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
CN 1-Piperazinecarboxylic acid, 4-[(2,6-dichloro-4-quinolinyl)carbonyl]-2-methyl-, 1,1-dimethylethyl ester, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



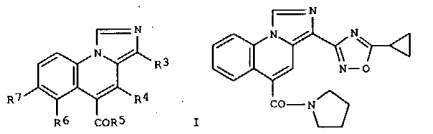
L4 ANSWER 41 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1995:931242 CAPLUS
DOCUMENT NUMBER: 123:340118
TITLE: Imidazo[1,5-a]quinolines for treatment of anxiety and sleep disorders
INVENTOR(S): Jacobsen, Eric Jon; Ten Brink, Rugh Elizabeth
PATENT ASSIGNEE(S): Upjohn Co., USA
SOURCE: PCT Int. Appl., 71 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9514020	A1	19950526	WO 1994-US12197	19941027
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, US				
RW: KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2174106	AA	19950526	CA 1994-2174106	19941027
AU 9480896	A1	19950606	AU 1994-80896	19941027
AU 683507	B2	19971113		
EP 729469	A1	19960904	EP 1994-932018	19941027
EP 729469	B1	19980923		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
CN 1135753	A	19961113	CN 1994-194222	19941027
CN 1067684	B	20010627		
JP 09505291	T2	19970527	JP 1994-514452	19941027
AT 171453	E	19981015	AT 1994-932018	19941027
ES 2123836	T3	19990116	ES 1994-932018	19941027
JP 3516453	B2	20040405	JP 1995-514452	19941027
US 5594140	A	19970114	US 1996-640973	19960513
US 35840	E	19980707	US 1997-877611	19970617

PRIORITY APPLN. INFO.: US 1993-155405 A 19931119
US 1994-242556 A 19940513
WO 1994-US12197 W 19941027
US 1996-640973 A5 19960513

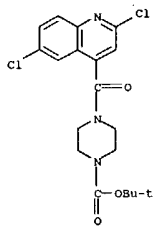
OTHER SOURCE(S): MARPAT 123:340118
GI

L4 ANSWER 41 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



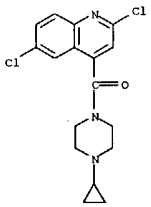
I II

AB Imidazo[1,5-a]quinolines I are claimed [wherein R3 = CO2H or esters, CHO, alkanoyl, aroyl, (un)substituted Ph, oxadiazolyl, isoxazolyl; R4 = H, alkyl, CF3; R5 = alkyl, (un)substituted Ph, OH, (un)substituted alkoxy or PhO, (un)substituted (a)cyclic amino; R6 = H, F, Br, alkyl, cyano, nitro, (un)substituted alkoxy, CO2H or esters, (un)substituted CONH2, etc.; R7 = H, F, Br, iodo, alkyl, cyano, nitro, CO2H or esters, (un)substituted CONH2, etc.]. Twenty-one specific compds. are claimed and prepared I are useful for treatment of anxiety, sleep disorders, panic states, convulsions, and muscle disorders (no data). For example, 2-hydroxyquinoline-4-carboxylic acid was treated with HCl in MeOH to give its Me ester, which reacted with pyrrolidine in THF at 80° to give the corresponding pyrrolidine amide. Reaction of this compound with KOBu-tert, followed by ClP(O)(OEt)2, then 3-(isocyanomethyl)-5-cyclopropyl-1,2,4-oxadiazole and addnl. KOBu-tert, gave title compound II.
IT **170568-75-9P 170568-77-1P 170568-78-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of imidazoquinolines as anxiolytics and sedatives)
RN 170568-75-9 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[(2,6-dichloro-4-quinolinyl)carbonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



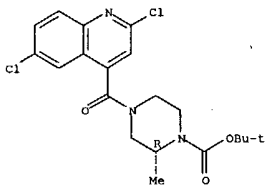
RN 170568-77-1 CAPLUS
CN Piperazine, 1-cyclopropyl-4-[(2,6-dichloro-4-quinolinyl)carbonyl]- (9CI)

L4 ANSWER 41 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
(CA INDEX NAME)



RN 170568-78-2 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[(2,6-dichloro-4-quinolinyl)carbonyl]-2-methyl-, 1,1-dimethylethyl ester, (R)- (9CI) (CA INDEX NAME)

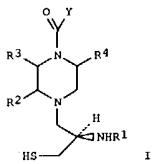
Absolute stereochemistry.



L4 ANSWER 42 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1995:881293 CAPLUS
DOCUMENT NUMBER: 123:286080
TITLE: Preparation of α-(mercaptoalkyl)-1-piperazineethanamines as inhibitors of farnesyl-protein transferase
INVENTOR(S): Graham, Samuel L.; Williams, Theresa M.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: PCT Int. Appl., 156 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9500497	A1	19950105	WO 1994-US5634	19940519
W: AU, BB, BG, BR, BY, CA, CN, CZ, FI, GE, HU, JP, KG, KR, KZ, LK, LV, MD, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SI, SK, TJ, TT, UA, US, US, UZ				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2165176	AA	19950105	CA 1994-2165176	19940519
AU 9470412	A1	19950117	AU 1994-70412	19940519
AU 675145	B2	19970123		
EP 703905	A1	19960403	EP 1994-919174	19940519
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
JP 09500109	T2	19970107	JP 1994-502810	19940519
ZA 9404326	A	19951214	ZA 1994-4326	19940617
US 5736539	A	19980407	US 1995-549829	19951116
PRIORITY APPLN. INFO.:			US 1993-80028	19930618
			US 1994-237586	19940511
			WO 1994-US5634	19940519

OTHER SOURCE(S): MARPAT 123:286080
GI

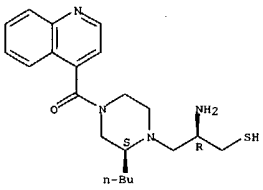


I

L4 ANSWER 42 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

AB Compds. which inhibit farnesyl-protein transferase (FTase) and the farnesylation of the oncogene protein Ras were disclosed. More narrowly defined claimed compds. are α-(mercaptomethyl)-1-piperazineethanamines I (Y = Ph, aryl, furanyl, etc.; R1-R4 = H, alkyl, substituent, etc.). The invention is further directed to chemotherapeutic compns. containing the compds. of this invention and methods for inhibiting farnesyl-protein transferase and the farnesylation of the oncogene protein Ras.
IT **169449-21-2P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of α-(mercaptoalkyl)-1-piperazineethanamines farnesyl-protein transferase inhibitors)
RN 169449-21-2 CAPLUS
CN 1-Piperazinepropanethiol, β-amino-2-butyl-4-(4-quinolinylcarbonyl)-, trihydrochloride, [S-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

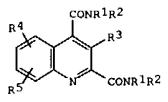


●3 HCl

L4 ANSWER 43 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1994:244697 CAPLUS
DOCUMENT NUMBER: 120:244697
TITLE: Preparation of quinoline-2,4-dicarboxylic acid diamide derivatives as antiphlogistics and immunosuppressants
INVENTOR(S): Suzuki, Fumio; Nakazato, Nobusuke; Oomori, Takemori; Nakajima, Hiroshi
PATENT ASSIGNEE(S): Kyowa Hakko Kogyo Kk, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 9 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 05310702	A2	19931122	JP 1992-113603	19920506
PRIORITY APPLN. INFO.:			JP 1992-113603	19920506

OTHER SOURCE(S): MARPAT 120:244697
GI



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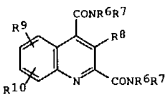
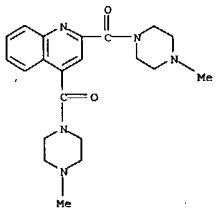


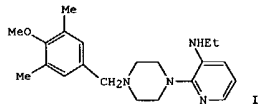
II

AB The title derivs. I [R1, R2 = H, lower alkyl, aralkyl, (un)substituted aryl; R3 = H, lower alkyl, aryl; R4, R5 = H, halo; n = 2-5; NR1R2 = (un)substituted heterocyclyl], their pharmaceutically acceptable salts, II [R6, R7 = H, (CH2)nPh, substituted aryl; R8 = H, lower alkyl; R9, R10 = H, halo; n = 2-5; NR6R7 = (un)substituted heterocyclyl], or their pharmaceutically acceptable salts are prepared Antiphlogistics and immunosuppressants containing I, II, or their pharmaceutically acceptable salts as effective components are also claimed. A suspension of quinoline-2,4-dicarboxylic acid in PhMe was treated dropwise with SOCl2 and DMF at room temperature, refluxed for 2 h, then treated with 3-phenyl-1-propylamine and Et3N at room temperature for 10 h to give 70% N,N'-bis(3-phenylpropyl)quinoline-2,4-dicarboxylic acid diamide. 2,4-Bis[(thiomorpholin-1-yl)carbonyl]quinoline at 100 mg/kg P.O. inhibited 28.0% the carrageenin-induced rat paw edema.
IT **153814-48-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as antiphlogistic and immunosuppressant)
RN 153814-48-3 CAPLUS
CN Piperazine, 1,1'-(2,4-quinolinediyldicarbonyl)bis[4-methyl- (9CI) (CA INDEX NAME)

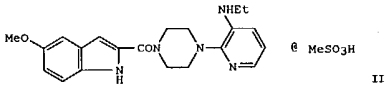
L4 ANSWER 43 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



L4 ANSWER 44 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1994:207980 CAPLUS
DOCUMENT NUMBER: 120:207980
TITLE: Discovery, Synthesis, and Bioactivity of Bis(heteroaryl)piperazines. 1. A Novel Class of Non-Nucleoside HIV-1 Reverse Transcriptase Inhibitors
AUTHOR(S): Romero, Donna L.; Morge, Raymond A.; Biles, Carolyn; Berrios-Pena, Norman; May, Paul D.; Palmer, John R.; Johnson, Paul D.; Smith, Herman W.; Busso, Mariano; et al.
CORPORATE SOURCE: Upjohn Laboratories, Kalamazoo, MI, 49001, USA
SOURCE: Journal of Medicinal Chemistry (1994), 37(7), 999-1014
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



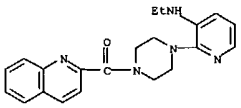
I



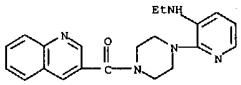
II

AB A variety of analogs of U-80493E (I) were synthesized and evaluated for their inhibition of human immunodeficiency virus type 1 (HIV-1) reverse transcriptase (RT). Replacement of the substituted aryl moiety with various substituted indoles provided bis(heteroaryl)piperazines (BHAPs) that were 10-100-fold more potent than U-80493E. The pyridyl portion of the lead mol. was found to be very sensitive to modifications. Extensive preclin. evaluations of several of these compds. led to the selection of U-87201E (atevirdine mesylate) (II) for clin. evaluation.
IT **153473-43-9P 153473-44-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and HIV-1 reverse transcriptase inhibitory activity of)
RN 153473-43-9 CAPLUS
CN Piperazine, 1-[3-(ethylamino)-2-pyridinyl]-4-(2-quinolinylcarbonyl)-(9CI)
(CA INDEX NAME)

L4 ANSWER 44 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 153473-44-0 CAPLUS
CN Piperazine, 1-[3-(ethylamino)-2-pyridinyl]-4-(3-quinolinylcarbonyl)-(9CI)
(CA INDEX NAME)

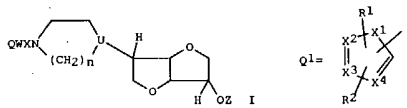


L4 ANSWER 45 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1991:536644 CAPLUS
DOCUMENT NUMBER: 115:136644
TITLE: Preparation of heterocyclylhexitols as coronary vasodilators
INVENTOR(S): Suzuki, Fumio; Hayashi, Hiroaki; Kuroda, Takeshi; Kubo, Kazuhiro; Ikeda, Junichi
PATENT ASSIGNEE(S): Kyowa Hakko Kogyo Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 92 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

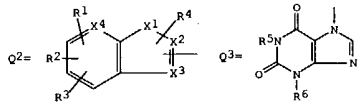
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 393574	A2	19901024	EP 1990-107245	19900417
EP 393574	A3	19910821		
EP 393574	B1	19960131		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
CA 2014520	AA	19901017	CA 1990-2014520	19900412
CA 2014520	C	19960716		
US 5053408	A	19911001	US 1990-508701	19900413
JP 03218381	A2	19910925	JP 1990-100005	19900416
JP 2954647	B2	19990927		
AT 133671	E	19960215	AT 1990-107245	19900417
ES 2085295	T3	19960601	ES 1990-107245	19900417
PRIORITY APPLN. INFO.:			JP 1989-97032	19890417
			JP 1989-293125	19891110

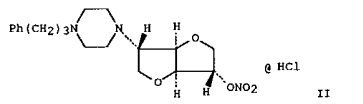
OTHER SOURCE(S): MARPAT 115:136644
GI

L4 ANSWER 45 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



I



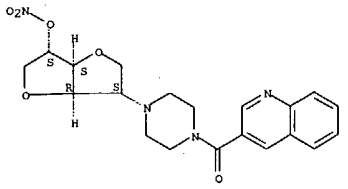


II

AB Title compds. [I; Q = Q1, Q2, Q3, etc.; X1 = NH, O, S; X2-X4 = CH, N; R1-R4 = H, alkyl, CF3, aryl, alkanoyloxy, amino, alkanoyl, halo, NO2, etc.; R5, R6 = H, alkyl; U = N, N(O); W = bond, O, S; X = (CY1Y2)1, CY3:CY4 = (CY1Y2)1; Y1, Y2 = H, alkyl, OH, alkanoyloxy, cyano, Ph; Y1Y2 = O; Y3, Y4 = H, alkyl; l = 0-6; Z = H, NO2; n = 2, 3], were prepared Thus, a mixture of 1,4:3,6-dianhydro-D-glucitol 5-methanesulfonate was refluxed 36 h with piperazine in BuOH to give 5-deoxy-5-piperazin-1-yl-1,4:3,6-dianhydro-L-iditol methanesulfonate. The latter in aqueous H2SO4 was added to a -15° mixture of urea and 86% HNO3 in concentrate H2SO4 to give 38% 5-deoxy-5-piperazin-1-yl-1,4:3,6-dianhydro-L-iditol 2-nitrate. The latter was refluxed 24 h with 1-chloro-3-phenylthiopropane and Et3N in EtOH to give 34% of title compound II. II at 0.3 mg/kg i.d. was effective against propranolol-induced heart failure in dogs.
IT **134186-14-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as coronary vasodilator)
RN 134186-14-4 CAPLUS
CN L-Iditol, 1,4:3,6-dianhydro-2-deoxy-2-[4-(3-quinolinylcarbonyl)-1-piperazinyl]-, 5-nitrate, hydrochloride (9CI) (CA INDEX NAME)

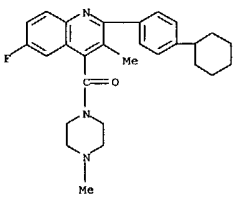
Absolute stereochemistry.

L4 ANSWER 45 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



●x HCl

L4 ANSWER 46 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



L4 ANSWER 46 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN

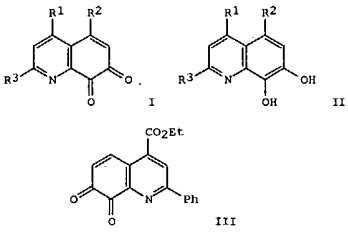
ACCESSION NUMBER: 1991:35413 CAPLUS
DOCUMENT NUMBER: 114:35413
TITLE: Structure-activity relationship of quinoline carboxylic acids. A new class of inhibitors of dihydroorotate dehydrogenase
AUTHOR(S): Chen, Shih Fong; Papp, Lisa M.; Ardecky, Robert J.; Rao, Ganti V.; Hesson, David P.; Forbes, Martin; Dexter, Daniel L.
CORPORATE SOURCE: Pharm. Biotechnol. Res. Dev. Div., E. I. Du Pont de Nemours and Co., Wilmington, DE, 19898, USA
SOURCE: Biochemical Pharmacology (1990), 40(4), 709-14
CODEN: BCPCA6; ISSN: 0006-2952
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB The novel anticancer drug candidate brequinar sodium [DuP 785, I] and other quinoline carboxylic acids inhibit dihydroorotate dehydrogenase, the fourth enzyme in the de novo pyrimidine biosynthetic pathway leading to the formation of UMP. Sixty-nine quinoline 4-carboxylic acid analogs were analyzed as inhibitors of L1210 dihydroorotate dehydrogenase. This structure-activity relationship study identified three critical regions of brequinar sodium and its analogs, where specific substitutions are required for the inhibition of the activity of dihydroorotate dehydrogenase. The three principal regions are (i) the C(2) position where bulky hydrophobic substituents are necessary, (ii) the C(4) position which has a strict requirement for the carboxylic acid and its corresponding salts, and (iii) the benzo portion of the quinoline ring with appropriate substitutions. These results will be useful in the elucidation of the precise nature of the interaction between brequinar sodium and dihydroorotate dehydrogenase.
IT **130507-56-1**
RL: BIOL (Biological study)
(dihydroorotate dehydrogenase inhibition by, antitumor activity of, structure in relation to)
RN 130507-56-1 CAPLUS
CN Piperazine, 1-[[2-(4-cyclohexylphenyl)-6-fluoro-3-methyl-4-quinolinyl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)

L4 ANSWER 47 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1990:532029 CAPLUS
DOCUMENT NUMBER: 113:132029
TITLE: Preparation of quinoline derivatives as antioxidants
INVENTOR(S): Kuroki, Yoshiaki; Asada, Hideki; Oda, Hiroyuki; Chihara, Yasuaki; Izumi, Noriyoshi; Shimada, Shuji
PATENT ASSIGNEE(S): Ube Industries, Ltd., Japan; Yoshitomi Pharmaceutical Industries, Ltd.
SOURCE: Jpn. Kokai Tokkyo Koho, 21 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

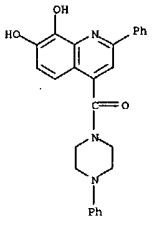
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 02129169	A2	19900517	JP 1988-281830	19881108
PRIORITY APPLN. INFO.:			JP 1988-281830	19881108

OTHER SOURCE(S): MARPAT 113:132029
GI

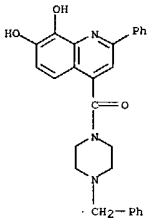


AB The title compds. I [R1 = H, CO2H, alkoxycarbonyl, etc.; R2 = H, alkoxy, NH2; R3 = alkyl, pyridyl, (substituted) Ph, etc.] and II which inhibit lipid peroxidn. and blood platlet aggregation and are useful as cardiovascular agents, are prepared A mixt of 4-ethoxycarbonyl-7,8-dihydroxy-2-phenylquinoline and Jones reagent in acetone was stirred for 2 h to give quinoline III. In an in vitro test using rat liver microsomes, III exhibited an IC50 of 17 μM against lipid peroxidn.
IT **129375-84-4P 129376-30-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as antioxidant)
RN 129375-84-4 CAPLUS
CN Piperazine, 1-[(7,8-dihydroxy-2-phenyl-4-quinolinyl)carbonyl]-4-phenyl- (9CI) (CA INDEX NAME)

L4 ANSWER 47 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



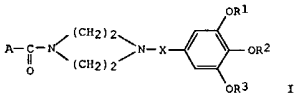
RN 129376-30-3 CAPLUS
CN Piperazine, 1-[(7,8-dihydroxy-2-phenyl-4-quinolinyl)carbonyl]-4-(phenylmethyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 48 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1989:625318 CAPLUS
DOCUMENT NUMBER: 111:225318
TITLE: Preparation of 1,4-disubstituted piperazines and their
use as antagonists of platelet-activating factor
INVENTOR(S): Sugihara, Hirosada; Itoh, Katsumi; Nishikawa, Kohei
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: Eur. Pat. Appl., 35 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 318235	A2	19890531	EP 1988-311022	19881122
EP 318235	A3	19910502		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
JP 01230570	A2	19890914	JP 1988-295244	19881122
US 4937246	A	19900626	US 1988-274975	19881122
PRIORITY APPLN. INFO.:			JP 1987-296887	19871125

GI



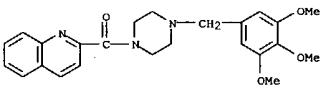
I

AB The title compds. I [A = (un)substituted Ph, (un)substituted heterocyclyl; X = CH2, C(:O), C(:S); R1, R2, R3 = lower alkyl] or their salts, a means of their preparation, and compns. containing them are provided for inhibition of platelet-activating factor (PAF). 1-(3-Methoxy-5-nitro-4-propoxybenzoyl)-4-(3,4,5-trimethoxybenzyl)piperazine-HCl (II) was prepared from 1-(3,4,5-trimethoxybenzyl)piperazine dihydrochloride and 3-methoxy-5-nitro-4-propoxy-benzoyl chloride (preparation given). II (3 + 10-5M) completely inhibited PAF-induced aggregation of rabbit platelets; 30 mg II/kg inhibited PAF-induced hypotension in rats.

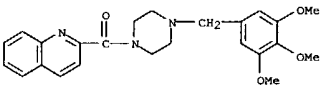
IT **123947-37-5P 123947-38-6P 123947-46-6P 123947-47-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as inhibitor of platelet-activating factor)

RN 123947-37-5 CAPLUS
CN Piperazine, 1-(2-quinolinylcarbonyl)-4-[(3,4,5-trimethoxyphenyl)methyl]-(9CI) (CA INDEX NAME)

L4 ANSWER 48 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

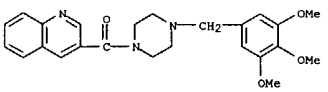


RN 123947-38-6 CAPLUS
CN Piperazine, 1-(2-quinolinylcarbonyl)-4-[(3,4,5-trimethoxyphenyl)methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

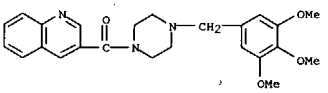


● HCl

RN 123947-46-6 CAPLUS
CN Piperazine, 1-(3-quinolinylcarbonyl)-4-[(3,4,5-trimethoxyphenyl)methyl]-(9CI) (CA INDEX NAME)



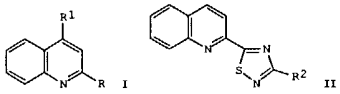
RN 123947-47-7 CAPLUS
CN Piperazine, 1-(3-quinolinylcarbonyl)-4-[(3,4,5-trimethoxyphenyl)methyl]-, dihydrochloride (9CI) (CA INDEX NAME)



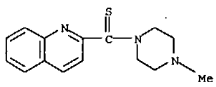
●2 HCl

L4 ANSWER 48 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

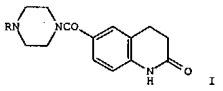
L4 ANSWER 49 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1989:173062 CAPLUS
DOCUMENT NUMBER: 110:173062
TITLE: Reactions of thionyl chloride with C-methyl heterocycles. Part 1. The formation of dichloro(2-quinolyl)methanesulfenyl chlorides from 2-methylquinolines
AUTHOR(S): Al-Shaar, Adnan H. M.; Gilmour, David W.; Lythgoe, David J.; McClenaghan, Ian; Ramsden, Christopher A.
CORPORATE SOURCE: Pharm. Res. Cent., Rhone-Poulenc Ltd., Dagenham/Essex, RM10 7XS, UK
SOURCE: Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1972-1999) (1988), (11), 3019-23
CODEN: JCPRB4; ISSN: 0300-922X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 110:173062
GI



AB Hot SOCl2 converted 2-methylquinolines, e.g., I (R = Me, R1 = H, Cl) into dichloro(2-quinolyl)methanesulfenyl chlorides I (R = CCl2SCl), which, upon treatment with secondary amines gave thioamides I (R = CSR1; R1 = 4-methylpiperazin-1-yl, N-methylanilino, NEt2, morpholino]. Reaction of I (R = CCl2SCl, R1 = H) with amidines gave quinolylthiadiazoles II (R = H, Me, Ph, Et, CH2Ph, CCl3, NMe2, SMe, etc.).
IT **120095-83-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 120095-83-2 CAPLUS
CN Piperazine, 1-methyl-4-(2-quinolinylthioxomethyl)- (9CI) (CA INDEX NAME)

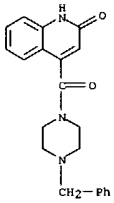


L4 ANSWER 50 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1987:102057 CAPLUS
DOCUMENT NUMBER: 106:102057
TITLE: Studies on positive inotropic agents. II. Synthesis of [(4-substituted 1-piperazinyl)carbonyl]-2(1H)-quinolinone derivatives
AUTHOR(S): Tominaga, Michiaki; Yo, Eiyu; Ogawa, Hidenori; Yamashita, Shuji; Yabuuchi, Youichi; Nakagawa, Kazuyuki
CORPORATE SOURCE: Tokushima Res. Inst., Otsuka Pharm. Co., Ltd., Tokushima, 771-01, Japan
SOURCE: Chemical & Pharmaceutical Bulletin (1986), 34(2), 682-93
CODEN: CPBTAL; ISSN: 0009-2363
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 106:102057
GI



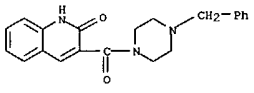
AB (1-Piperazinylcarbonyl)quinolinones, e.g., I [R = (CH2)nBz (n = 2,3), Ph, Pr, (CH2)2OPh] were synthesized and examined for pos. inotropic activity on the canine heart. Among them, I [R = (CH2)nBz (n = 2,3) had potent activity.
IT **91300-89-9P 91300-90-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and catalytic hydrogenation of)
RN 91300-89-9 CAPLUS
CN Piperazine, 1-[(1,2-dihydro-2-oxo-4-quinolinyl)carbonyl]-4-(phenylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 50 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



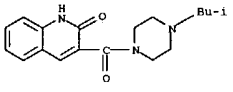
● HCl

RN 91300-90-2 CAPLUS
CN Piperazine, 1-[(1,2-dihydro-2-oxo-3-quinolinyl)carbonyl]-4-(phenylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



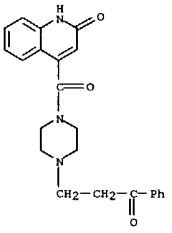
● HCl

IT **106752-37-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and inotropic activity of)
RN 106752-37-8 CAPLUS
CN Piperazine, 1-[(1,2-dihydro-2-oxo-3-quinolinyl)carbonyl]-4-(2-methylpropyl)- (9CI) (CA INDEX NAME)



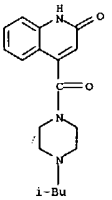
IT **91300-92-4P 91300-93-5P 91300-94-6P 91300-97-9P 91300-98-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)

L4 ANSWER 50 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
(prepn. of)
RN 91300-92-4 CAPLUS
CN Piperazine, 1-[(1,2-dihydro-2-oxo-4-quinolinyl)carbonyl]-4-(3-oxo-3-phenylpropyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

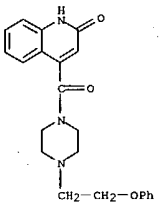
RN 91300-93-5 CAPLUS
CN Piperazine, 1-[(1,2-dihydro-2-oxo-4-quinolinyl)carbonyl]-4-(2-methylpropyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

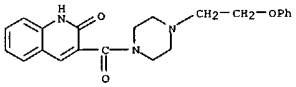
RN 91300-94-6 CAPLUS
CN Piperazine, 1-[(1,2-dihydro-2-oxo-4-quinolinyl)carbonyl]-4-(2-phenoxyethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 50 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



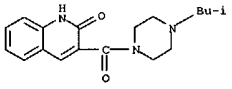
● HCl

RN 91300-97-9 CAPLUS
CN Piperazine, 1-[(1,2-dihydro-2-oxo-3-quinolinyl)carbonyl]-4-(2-phenoxyethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 91300-98-0 CAPLUS
CN Piperazine, 1-[(1,2-dihydro-2-oxo-3-quinolinyl)carbonyl]-4-(2-methylpropyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

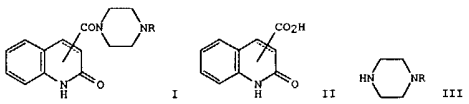
L4 ANSWER 50 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

L4 ANSWER 51 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1984:472628 CAPLUS
DOCUMENT NUMBER: 101:72628
TITLE: Carbostyril derivatives
PATENT ASSIGNEE(S): Otsuka Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 14 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 59029668	A2	19840216	JP 1982-141305	19820813
JP 02022751	B4	19900521		
PRIORITY APPLN. INFO.:			JP 1982-141305	19820813

OTHER SOURCE(S): CASREACT 101:72628
GI

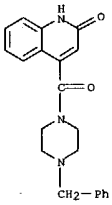


AB Fourteen carbostyril derivs. I (R = H, alkyl, Ph, phenoxyalkyl, benzoylalkyl, phenylalkyl) were prepared by, e.g., reaction of II with III. I had cardiotonic, coronary blood stream enhancing, and hypotensive activities (no data). Thus, 12 mL ClCO2CH2CHMe2 was added to a mixture of 15 g 4-carboxycarbostyril and 13 mL Et3N in DMF at 0-5°, the whole stirred 1 h with ice cooling, a mixture of 17.6 g III (R = PhCH2) and 6 mL Et3N in DMF added, and stirred overnight at room temperature to give 8.59 g 4-(4-benzyl-1-piperazinylcarbonyl)carbostyril HCl.

IT **91300-89-9P 91300-90-2P 91300-92-4P 91300-93-5P 91300-94-6P 91300-95-7P 91300-97-9P 91300-98-0P 91300-99-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

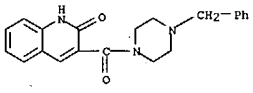
RN 91300-89-9 CAPLUS
CN Piperazine, 1-[(1,2-dihydro-2-oxo-4-quinolinyl)carbonyl]-4-(phenylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 51 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



● HCl

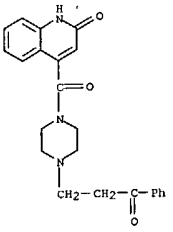
RN 91300-90-2 CAPLUS
CN Piperazine, 1-[(1,2-dihydro-2-oxo-3-quinolinyl)carbonyl]-4-(phenylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

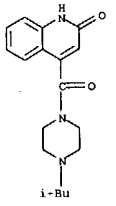
RN 91300-92-4 CAPLUS
CN Piperazine, 1-[(1,2-dihydro-2-oxo-4-quinolinyl)carbonyl]-4-(3-oxo-3-phenylpropyl)-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 51 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



● HCl

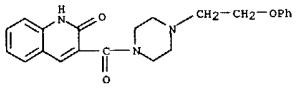
RN 91300-93-5 CAPLUS
CN Piperazine, 1-[(1,2-dihydro-2-oxo-4-quinolinyl)carbonyl]-4-(2-methylpropyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

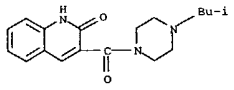
RN 91300-94-6 CAPLUS
CN Piperazine, 1-[(1,2-dihydro-2-oxo-4-quinolinyl)carbonyl]-4-(2-phenoxyethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 51 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



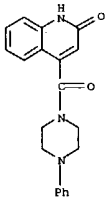
● HCl

RN 91300-98-0 CAPLUS
CN Piperazine, 1-[(1,2-dihydro-2-oxo-3-quinolinyl)carbonyl]-4-(2-methylpropyl)-, monohydrochloride (9CI) (CA INDEX NAME)

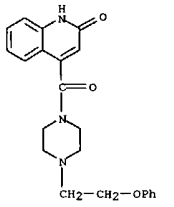


● HCl

RN 91300-99-1 CAPLUS
CN Piperazine, 1-[(1,2-dihydro-2-oxo-4-quinolinyl)carbonyl]-4-phenyl- (9CI) (CA INDEX NAME)

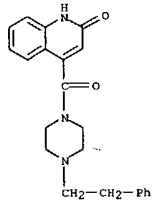


L4 ANSWER 51 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



● HCl

RN 91300-95-7 CAPLUS
CN Piperazine, 1-[(1,2-dihydro-2-oxo-4-quinolinyl)carbonyl]-4-(2-phenylethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 91300-97-9 CAPLUS
CN Piperazine, 1-[(1,2-dihydro-2-oxo-3-quinolinyl)carbonyl]-4-(2-phenoxyethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 52 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1984:407051 CAPLUS
DOCUMENT NUMBER: 101:7051
TITLE: 2-Substituted 4-amino-6,7-dimethoxyquinolines
INVENTOR(S): Campbell, Simon Fraser; Hardstone, John David
PATENT ASSIGNEE(S): Pfizer Ltd., UK; Pfizer Corp.
SOURCE: Eur. Pat. Appl., 51 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

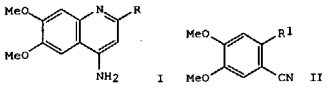
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 100200	A1	19840208	EP 1983-304196	19830720
EP 100200	B1	19870506		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
US 4656174	A	19870407	US 1983-515095	19830719
AT 26978	E	19870515	AT 1983-304196	19830720
FI 8302658	A	19840125	FI 1983-2658	19830721
FI 78296	B	19890331		
FI 78296	C	19890710		
ES 524320	A1	19850416	ES 1983-524320	19830721
PL 139498	B1	19870131	PL 1983-243131	19830721
DK 8303373	A	19840125	DK 1983-3373	19830722
DK 166821	B1	19930719		
NO 8302688	A	19840125	NO 1983-2688	19830722
NO 171594	B	19921228		
NO 171594	C	19930407		
AU 8317222	A1	19840126	AU 1983-17222	19830722
AU 548036	B2	19851121		
JP 59033264	A2	19840223	JP 1983-134244	19830722
JP 02019112	B4	19900427		
HU 31688	O	19840528	HU 1983-2594	19830722
HU 190907	B	19861228		
ZA 8305355	A	19840530	ZA 1983-5355	19830722
DD 211555	A5	19840718	DD 1983-253330	19830722
SU 1251801	A3	19860815	SU 1983-3618703	19830722
CS 247073	B2	19861113	CS 1983-5509	19830722
IL 69311	A1	19870130	IL 1983-69311	19830722
CA 1255670	A1	19890613	CA 1983-433023	19830722
SU 1340589	A3	19870923	SU 1984-3732816	19840426
US 4686228	A	19870811	US 1986-925029	19861030
US 4758568	A	19880719	US 1987-48343	19870511
NO 9003181	A	19840125	NO 1990-3181	19900717
NO 173605	B	19930927		
NO 173605	C	19940105		

PRIORITY APPLN. INFO.:

GB 1982-21457	19820724
US 1983-515095	19830719
EP 1983-304196	19830720
NO 1983-2688	19830722
US 1986-925029	19861030

GI

L4 ANSWER 52 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

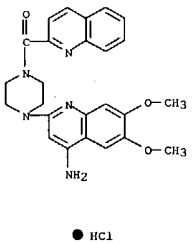


AB Antihypertensive (no data) aminodimethoxyquinolines I (R = tertiary amino) were prepared Thus the aniline II (R1 = NH2) was treated with MeC(OEt)3 to give II (R1 = N:CMeOEt) which was treated with N-benzylpiperazine to give II [R1 = 1-(4-benzylpiperazino)ethylideneamino, III]. Cyclization of III with ZnCl2 gave I (R = 4-benzylpiperazino) which was hydrogenolyzed to I (R = piperazino). Acylation of I (R = piperazino) with 1,4-benzodioxan-2-carbonyl chloride gave I [R = 4-(1,4-benzodioxan-2-ylcarbonyl)piperazino].

IT **90402-04-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 90402-04-3 CAPLUS

CN Piperazine, 1-(4-amino-6,7-dimethoxy-2-quinolinyl)-4-(2-quinolinylcarbonyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L4 ANSWER 53 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1980:146914 CAPLUS

DOCUMENT NUMBER: 92:146914

TITLE: 2-[4-(Quinolinecarbonyl)piperazino]-4-amino-6,7-dimethoxyquinazolines

INVENTOR(S): Maruyama, Isamu; Aono, Shunji; Katsube, Junki

PATENT ASSIGNEE(S): Sumitomo Chemical Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 3 pp.
CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 54128582	A2	19791005	JP 1978-37603	19780330
JP 62041232	B4	19870902		
PRIORITY APPLN. INFO.:			JP 1978-37603	19780330

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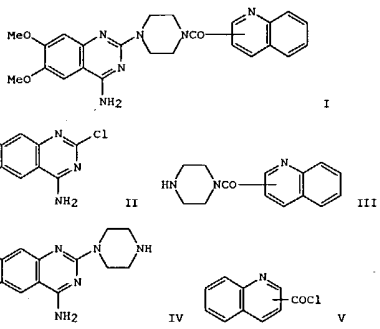


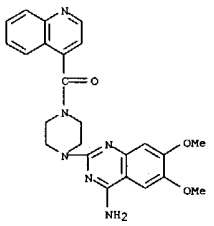
AB Antihypertensive (no data) title compds. I (2-, 3-, and 4-substituted) were prepared by reaction of II with III or by reaction of IV with V. Thus, refluxing II with III (4-substituted) in BuOH 10 h gave I (4-substituted) (yield not given).

L4 ANSWER 53 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

IT **73242-39-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 73242-39-4 CAPLUS

CN Piperazine, 1-(4-amino-6,7-dimethoxy-2-quinazolinyl)-4-(4-quinolinylcarbonyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 54 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1977:468114 CAPLUS

DOCUMENT NUMBER: 87:68114

TITLE: Synthesis of some quinoline derivatives of potential antiamebic activity

AUTHOR(S): Ibrahim, El-Sebai A.; Chaaban, I.; El-Khawass, S. M.

CORPORATE SOURCE: Fac. Pharm., Univ. Alexandria, Alexandria, Egypt

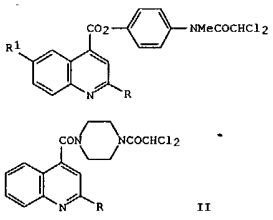
SOURCE: Pharmazie (1977), 32(3), 155-6
CODEN: PHARAT; ISSN: 0031-7144

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 87:68114

GI



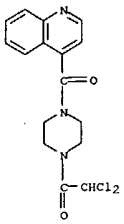
AB Esters I (R, R1 given; H, H; Me, H; Cl, H; Ph, H; H, Cl) were prepared in 70-80% yield by reaction of diloxanide with the corresponding cinchoninic acid chloride. Amides II (R = H, CH2COCHCl2, 4-dichloroacetyl-1-piperazinyl, Ph) were prepared by reaction of a cinchoninate ester with piperazine followed by reaction of the piperazine amide with Cl2CHCOCl.

IT **63591-75-3P 63591-76-4P 63591-77-5P**
63591-78-6P 63591-84-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

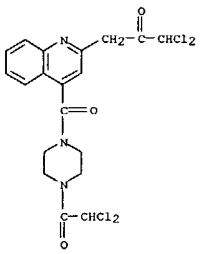
RN 63591-75-3 CAPLUS

CN Piperazine, 1-(dichloroacetyl)-4-(4-quinolinylcarbonyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 54 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

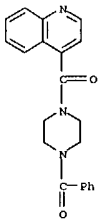


RN 63591-76-4 CAPLUS
CN Piperazine, 1-(dichloroacetyl)-4-[[2-(3,3-dichloro-2-oxopropyl)-4-quinolinyl]carbonyl]- (9CI) (CA INDEX NAME)

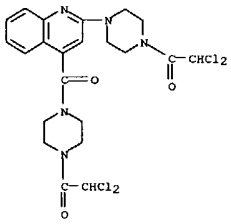


RN 63591-77-5 CAPLUS
CN Piperazine, 1-(dichloroacetyl)-4-[4-[[4-(dichloroacetyl)-1-piperazinyl]carbonyl]-2-quinolinyl]- (9CI) (CA INDEX NAME)

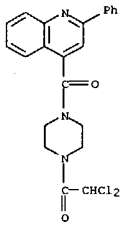
L4 ANSWER 54 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



L4 ANSWER 54 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 63591-78-6 CAPLUS
CN Piperazine, 1-(dichloroacetyl)-4-[(2-phenyl-4-quinolinyl)carbonyl]- (9CI) (CA INDEX NAME)



RN 63591-84-4 CAPLUS
CN Piperazine, 1-benzoyl-4-(4-quinolinylcarbonyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 55 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1968:410467 CAPLUS
DOCUMENT NUMBER: 69:10467
TITLE: 1-[(Heterocyclyl)-lower alkyl]-4-substituted-piperazines
INVENTOR(S): Archer, Sydney
PATENT ASSIGNEE(S): Sterling Drug Inc.
SOURCE: U.S., 17 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3362956	A	19680109	US 1965-481075	19650819
PRIORITY APPLN. INFO.:			US 1965-481075	19650819

GI For diagram(s), see printed CA Issue.

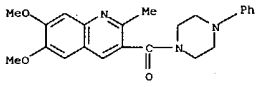
AB Preparation of the title compds. (I) is described. The compds. are useful as tranquilizers, sedatives, adrenolytic agents, hypothermic agents, anticonvulsants, hypotensive agents, and cardiovascular agents. Thus, a solution of 0.35 mole Me2NH, 0.35 mole 37% formalin, 80.5 ml. AcOH, and 58 ml. water was treated slowly at 20.7° with 0.23 mole 2-methyl-7-azaindole 30 min., stirred 1 hr. at 20°, allowed to stand overnight, giving 44 g. 3-dimethylaminomethyl-2-methyl-7-azaindole which (9 g.) was suspended in 18.9 ml. concentrated HCl, treated with a solution of 11.2 g. NaCN in 1130 ml. water, refluxed 6 hrs., to give 11.1 g. of 3-cyanomethyl-2-methyl-7-azaindole (II), m. 206-8°. II (9 g.) was dissolved in concentrated HCl, refluxed 20 hrs. to give 7.7 g. (2-methyl-7-aza-3-indolyl)acetic acid (III), m. 250.6-1.8°. III (5.1 g.) was suspended in 150 ml. acetone, treated with 2.7 g. Et3N, cooled to -10° treated with 3.7 g. iso-BuO2CCl in 20 ml. acetone, kept at -10° for 30 min., treated with 9.0 g. 1-phenylpiperazine in 20 ml. acetone, kept at 0° for several hrs., cooled to -15°, giving 1-[(2-methyl-7-aza-3-indolyl)acetyl]-4-phenylpiperazine (IV), m. 187-9°. IV (5.2 g.) was dissolved in 50 ml. tetrahydrofuran (THF), treated with a solution of 3.4g. LiAlH4 in 50 ml. THF, and refluxed under N 5.5 hrs. to give 3.5 g. 1-[2-(2-methyl-7-aza-3-indolyl)ethyl]-4-phenylpiperazine (V), m. 197.8-9.2°. The dosage of V required for psychomotor depressant activity in 50% of a group of mice was 2.5 ± 1.9 mg./kg. (i.p.). A dosage of 3.92 ± 1.33 mg./kg. (i.p.) was required for sedative activity in mice in the hexobartial potentiation test. An i.v. dosage of 10 μg./kg. promoted adrenolytic activity in rats. An i.v. dosage of 1.0 mg./kg. promoted hypothermic activity in mice. A dosage of 6.25-50.0 mg./kg. (i.p.) protected 50% of a group of mice against pentylenetetrazol-induced convulsions. The average effective dosage for hypotensive activity was 15 mg./kg. (peroral). Another prepared compound, 1-[2-(7-aza-3-indolyl)ethyl]-4-phenylpiperazine (m. 197.1-9.5°), in dogs, produced an 92.9% increase in heart force and an increase in blood pressure and heart rate of only 2.5% and 10.9%, resp., when a dosage of 1.85 mg./kg. (i.v.) was given in 0.1, 0.25, 0.5, and 1.0 mg. increments. Also prepared were the following 1,4-disubstituted piperazines

L4 ANSWER 55 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
(1,4-substituents and m.p. given): [2-(2-aza-3-indolyl)ethyl, phenyl, 171.5-8.2°; 3-(2-methyl-7-aza-3-indolyl)propyl, 2-methoxyphenyl, 132.6-5.0°; 3-(7-aza-3-indolyl)propyl, 2-methoxyphynyl, 108.6-9.8°; 3-(2-methyl-7-aza-3-indolyl)propyl, phenyl, 150.8-1.8°; 3-(7-aza-3-indolyl)propyl, phenyl, 149.8-51.8°, 3-(7-aza-3-indolyl)propyl, 4-methoxyphenyl, 149.2-50.4°; 2-(7-aza-3-indolyl)ethyl, 4-methoxyphenyl, 176.0-7.0°; 3-(2-methyl-7-aza-3-indolyl)propyl, 4-methylphenyl, 174.0-5.0°; 2-(7-aza-3-indolyl)ethyl, 4-methylphenyl, 189.6-90.0°; 3-(2-methyl-7-aza-3-indolyl)propyl, 4-methoxyphenyl, 161.8-3.2°; 3-(2-methyl-7-aza-3-indolyl)propyl, 4-chlorophenyl, 213.2-3.8°; 2-methyl-7-aza-3-indolyl)methyl, methyl, 161.0-4.4°; 3-(7-aza-1-indolyl)-propyl, phenyl, 73.6-75.8°; 2-(7-aza-3-indolyl)ethyl, 3-methoxyphenyl, 138.0-9.0°; 3-(7-aza-3-indolyl)propyl, 3-methoxypheynl, 127.6-9.0°; 3-(7-aza-3-indolyl)propyl, 4-methlphenyl, 154.8-6.2°; 2-(7-aza-3-indolyl)ethyl, 2-pyridyl, 153.0-5.2°; 3-(7-aza-3-indolyl)propyl, 2-pyridyl, 160.2-2.0°; 3-(7-aza-3-indolyl)-2-methylpropyl, phenyl, 162.8-4.0°; 3-(7-aza-3-indolyl)propyl, benzyl, -; 2-[4(5)-imidazolyl]ethyl, phenyl, 164.0-5.2°; 2-(3-thianaphthenyl)ethyl, phenyl, 69.2-70.6°; 6,7-dimethoxy-2-methyl-3-quinolyl]methyl, phenyl, 154.6-5.2°; 2-(1 benzimidazolyl)ethyl, 2-methoxyphenyl, 121.5-2.6°; 2-(1-benzimidazolyl)ethyl, phenyl, 121.2-2.6°; 2-(2-benzimidazolyl)-ethyl, phenyl, 200.5-2.9°; 2-(3-pyrido[2,1-c]-s-triazolyl)ethyl, phenyl, 108.8-10.0°; 2-(2-methylbenz[g]indol-3-yl)ethyl, phenyl, 163.0-5.0°; 3,4-dihydro-6,7 -6,7 -dimethoxy - 1 -isoquinolyl)methyl, phenyl, (trihydrochloride, m. 233.8-5.4°); 2-[2-(1,4-benzodioxanyl)ethyl, phenyl, 95.6-7.8°; 95.6-7.8°; 2-[2-(1,4-benzodioxanyl)ethyl, 2-methoxyphenyl, 75.8-8.6°; 2-(1,4-benzodioxanyl)methyl, 2-methoxyphenyl (hydrochloride, m. 203.0-3.8°).

IT **18505-74-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 18505-74-3 CAPLUS

CN Piperazine, 1-[(6,7-dimethoxy-2-methyl-3-quinolyl)carbonyl]-4-phenyl- (8CI) (CA INDEX NAME)



L4 ANSWER 56 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

L4 ANSWER 56 OF 56 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1963:81413 CAPLUS
DOCUMENT NUMBER: 58:81413
ORIGINAL REFERENCE NO.: 58:13912c-f
TITLE: Preparation of some quinoline derivatives of possible therapeutic interest. II
AUTHOR(S): Roushdi, I. M.; El-Sebai, A. I.
CORPORATE SOURCE: Univ. Alexandria, Egypt
SOURCE: J. Pharm. Sci. U. Arab. Rep. (1961), 2, 109-15
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
GI For diagram(s), see printed CA Issue.

AB Quinoline acid amides were prepared from quinoline-carboxylic acids via the acid chlorides, as well as by a modification of the Pfitzinger reaction, whereby they were obtained in good yields in one step by the condensation of isatin and ketones in the presence of ammonia. Attempts to synthesize substituted amides by using diethylamine or piperazine in place of ammonia were unsuccessful. The reaction of piperazine hexahydrate with 2-phenylquinoline-4-carbonyl chloride-HCl yielded 1,4-bis(2-phenylquinoline-4-carbonyl)piperazine instead of 1-(2-phenyl-quinoline-4-carbonyl)piperazine. I. HCl prepared by the reaction between the acid and SOCl2 were (R and m.p. given): 6-Me, 199°; 6-iodo, 280-1°; 6-methyl-8-iodo, 270°. 2-(4-Methoxyphenyl)-quinoline-4-carbonyl chloride. HCl m. 235-7°. The amides (II) were prepared by reaction with NH3. H, 199°; 6-Me, 256.7°; 6-iodo, 256°; 6-methyl-8-iodo, 291°. 2-(4-Methoxyphenyl)-quinoline-4-carboxamide m. 223°, and 2-phenylquinoline-4-carboxylic acid diethylamide, m. 97°, were also prepared

IT **106278-44-8**, Piperazine, 1,4-bis(2-phenylcinchoninoyl)-
(preparation of)

RN 106278-44-8 CAPLUS

CN Piperazine, 1,4-bis(2-phenylcinchoninoyl)- (7CI) (CA INDEX NAME)